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PROCESS FOR THEIR PREPARATION, AND

HERBICIDAL AND DEFOLIANT

alkoxycarbonyl, alkylsulfonamide, unsubstituted or substituted alkyl, haloalkyl, alkoxy, haloalkoxy,

substituted alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonylalkoxy, benzyloxy, aryloxy, or heteroaiyloxy;

# COMPOSITIONS CONTAINING THEM RELATION TO OTHER APPLICATIONS

This application is the U.S. national stage entry of PCT application No. PCT/US98/17197, filed Aug. 21, 1998, and is a continuation-in-part application of U.S. Ser. No. 08/958, 313, filed Oct. 27, 1997.

The present invention relates to substituted benzene compounds, process for their preparation, and herbicidal and defoliant compositions containing them.

#### BACKGROUND OF THE INVENTION

Use of uracils as herbicides has previously been reported. For example, U.S. Pat. Nos. 4,859,229 and 4,746,352 describe 3-phenyl uracil derivatives as herbicides. However the phenyl ring in the described compounds carry only four substituents. U.S. Pat. No. 4,927,451 describes herbicidal 20 compounds carrying five substituents on the phenyl ring with a dihydrouracil ring. EP Patent 0705829 describes uracil herbicides caring pentasubstituted phenyl ring with a carbon linked substituent at position 2 of the phenyl ring. U.S. Pat. Nos. 5,346,881, 5,441,925, 5,169,431, 5,476,834, 25 5,602,077, and WO Patents 97/08170, 08171, 12886 and 42188 describe uracil herbicides carrying a fused pentasubstituted phenyl ring where the 2 position of the phenyl ring is substituted either with a carbon, oxygen or nitrogen. U.S Pat. No. 5,116,404 and JP Patent 05025144 describe uracil 30 compounds with a 3-phenyl group which may be pentasubstituted but none of these Patents appears to make obvious the compounds of the present invention which carry a nitrogen linked substituent at position 2 of the phenyl ring alongwith substituents at positions 3, 4, and 6 and there appears to be no indication as to the criticality of the substitution pattern of the phenyl moiety in order to introduce the high herbicidal activity in combination with selectivity towards crops. Similarly use of pyrazole, tetrahydrophthalimide, triazolinone, tetrazolinone, and triazolidine derivatives as herbicides has been described before 40 such as U.S. Pat. Nos. 5,281,571, 4,881,967, 5,084,085, WO Patent 85/01939, and Japanese Pat. No. 1-121290 respectively. Pyridazinones, pyridyls, bicyclic hydantoins, phthalimides, pyrimidinones, pyrazinones, and pyridinones have also been described as herbicides such as WO Patent 45 97107104, 95102580, 95123509, EP Patent 0786453, WO Patent 97/06150, 97/11060, and 97/28127. However, despite the broad coverage of these Patents, the general structure of the present invention has not been described.

#### SUMMARY OF THE INVENTION

This invention delineates a method for the control of undesired vegetation in a plantation crop by the application to the locus of the crop an effective amount of a compound described herein. The herbicidal and defoliant compounds of the present invention are described by the following general formula I or its salts:

wherein X is hydrogen, halogen, nitro, amino, NMR, N(R)<sub>2</sub>, amide, thioamide, cyano, alkylcarbonyl,

Y is hydrogen, halogen, or nitro;

W is hydrogen, OR, SR, NH, N(R)<sub>2</sub>, CH<sub>2</sub>R, CH(R)<sub>2</sub>, or C(R)3, halogen, nitro, or cyano, where multiple R groups represent any possible combination of substituents described by R; R is hydrogen, alkyl, alkenyl, alkynyi, cycloalkyi, aryl, heteroaryl, alkoxy, cycloalkyloxy, aryloxy, heteroaryloxy, alkylsulfonyl, benzyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, arylcaibonyl, heteroarylcarbonyl, aryloxycarbonyl, alkoxycarbonyl, heteroaryloxycarbonyl, where any of these groups may be unsubstituted or substituted with any of the functional groups represented by one or more of the following: halogen, cyano, nitro, amino, carboxyl; alkyl, haloalkyl, alkylsilyl, alkylcarbonyl, haloalkylcarbonyl, alkoxycarbonyl, haloalkoxy, haloalkoxycarbonyl, alkylsulfonyl, haloalkylsulfonyl, aryl, heteroaryl, or cycloalkyl;

Q is a heterocycle, examples of which are as follows:

Q9

Q8

-continued -continued 5 
$$R_8$$
  $Q_{10}$   $Q_{11}$   $Q_{12}$   $Q_{13}$   $Q_{14}$   $Q_{15}$   $Q_{15}$   $Q_{15}$ 

wherein R<sub>1</sub> is hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, amino, alkoxyalkyl, acetyl, alkoxycarbonylamino, alkylcarbonylamino, or alkoxycarbonyl;

R<sub>2</sub> is alkyl or haloalkyl;

R<sub>1</sub> and R<sub>2</sub> could combine to form a five- or six-membered 35 heterocyclic ring;

R<sub>3</sub> is hydrogen, halogen, nitro, amino, alkylamino, haloalkylamino, cyano, or amide;

R<sub>8</sub> and R<sub>9</sub> are independently oxygen, sulfur, or imino group;

Q6, Q7, and Q10 may optionally be unsaturated containing one or two double bonds in the 6-membered ring;

Z is amino, hydroxyl, thiol, formyl, carboxyl, cyano, alkylcarbonyl, arylcarbonyl, azido, or one of the following:

wherein R<sub>4</sub> is alkyl, alkenyl, alkynyl, amino, cycloalkyl, heterocycloalkyl, alkylsulfonyl, arylsulfonyl, benzyl, aryl, heteroaryl, alkylcarbonyl, 55 alkenylcarbonyl, alkynylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, alkylithiocarbonyl, cycloalkyloxycarbonyl, aryloxycarbonyl, arylthiocarbonyl, aryloxycarbonyl, arylthiocarbonyl, heteroaryloxycarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, alkoxyabonylcarbonyl or arylcarbonylcarbonyl, where any of these groups may be unsubstituted or substituted with any of the functional groups represented by one or more of the following: halogen, cyano, nitro, amino, dialkylamino, hydroxyl, carboxyl, alkyl, alkenyl, alkynyl, cycloalkyl,

alkylcarbonyl, alkylcarbonyloxy, alkoxy, alkoxycarbonyl, alkylthio, alkylthiocarbonyl, alkoxythiocarbonyl, alkylaminocarbonyl, arylaminocarbonyl alkylsulfonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, aryl, arylcarbonyl, aryloxy, aryloxycarbonyl, arylthio, heteroaryl, heteroaryloxycarbonyl or methylenedioxy, wherein the alkyl moiety or aryl moiety may be substituted with halogen, cyano, nitro, alkyl, alkoxy, haloalkyl, haloalkoxy, alkoxycarbonyl, cycloalkyl, aryl, or heterocycloalkyl; and  $R_5$  is hydrogen or any one of the groups represented by  $R_4$ ; or  $R_4$  and  $R_5$  could combine to form a 4–8 membered heterocyclic ring;

$$-N$$
 $R_7$ 

wherein  $R_6$  represents alkyl, haloalkyl, dialkylamino, unsubstituted or substituted aryl and heteroaryl; and  $R_7$  represents hydrogen, halogen or any of the groups represented by  $R_6$ ;

-OR₄,

—SR₄,

 $-CH_2R_{10}$ ,

 $-CH(R_{10})_2$ ,

 $-C(R_{10})_3$ , or

-CH=CHR<sub>10</sub>

wherein R<sub>10</sub> is carboxyl, alkyl, alkenyl, anyl, amino, cycloalkyl, heterocycloalkyl, alkylsulfonyl, arylsulfonyl, benzyl, aryl, heteroaryl, alkylcarbonyl, alkenylcarbonyl, alknykarbonyl, cycloalkylcarbonyl, atylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, alkyliiocarbonyl, cycloalkyloxycarbonyl, aryloxycarbonyl, arylthio-carbonyl, aryl-thiocarbonyl, heteroaryloxycarbonyl, aminocarbonyl, alkylaminocarbonyl, arylaminocaibonyl, heteroarylaminocarbonyl, alkoxycarbonylcarbonyl or arylcarbonylcarbonyl, where any of these groups may be unsubstituted or substituted with any of the functional groups represented by one or more of the following: halogen, cyano, nitro, amino, dialkylamino, hydroxyl, carboxyl, alkyl, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkylcarbonyloxy, alkoxy, alkoxycarbonyl, alkylthio, alkylthiocarbonyl, alkoxythiocarbonyl alkylaminocarbonyl, arylaminocarbonyl, alkylsulfonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, aryl, arylcarbonyl, aryloxy, aryloxycarbonyl, arylthio, heteroaryl, heteroaryloxycarbonyl or methylenedioxy, wherein the alkyl moiety or aryl moiety may be substituted with halogen, cyano, nitro, alkyl, alkoxy, haloalkyl, haloalkoxy, alkoxycarbonyl, cycloalkyl, aryl, or heterocycloalkyl; provided that (1) Z is not alkyl, alkoxy, haloalkyl, haloalkoxy, alkylthio, haloalkylthio, alklenyl, haloalkenyl, amino, monoalkylamino, dialkylamino, alkoxyalkoxy or cyano, when Q is Q1 and R2 is haloalkyl,

(2) Z is not amino when Q is Q3, and

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(3) Z is not hydroxyl, alkoxy, alkenyloxy, alkynyloxy, haloalkoxy, haloalkenyloxy, or —NR<sub>4</sub>R<sub>5</sub>, wherein R<sub>4</sub> is alkyl, alkenyl, alkynyl, cycloalkyl, haloalkyl, haloalkenyl, alkylsulfonyl, alkylcarbonyl, salkoxycatbonyl, or cycloalkylalkyl, and R<sub>5</sub> is alkyl, alkenyl, alkynyl, cycloalkyl, haloalkyl, haloalkenyl, alkylcarbonyl, alkoxycarbonyl, or cycloalkylalkyl, when Q is Q14 or Q15.

### DETAILED DESCRIPTION OF THE INVENTION

In the above definitions, the term alkyl used either alone or in compound words such as haloalkyl indicates either straight chain or branched alkyls containing 1-8 carbon 15 atoms. Alkenyl and alkynyl include straight chain or branched alkenes and alkynes respectively containing 2-8 carbon atoms. The term halogen either alone or in the compound words such as haloalkyl indicates fluorine, chlorine, bromine, or iodine. Further a haloalkyl is represented by an alkyl partially or fully substituted with halogen atoms which may be same or different. A cycloalkyl group implies a saturated or unsaturated carbocycle containing 3-8 carbon atoms. A heterocycloalkyl group is a cycloalkyl group carrying 1-4 heteroatoms which are represented by oxygen, nitrogen, or sulfur atoms. An aryl group signifies an 25 aromatic carbocycle containing 4-10 carbon atoms, and may be phenyl or naphthyl. A heteroaryl group is an aromatic ring containing 1-4 heteroatoms which are represented by oxygen, nitrogen, or sulfur atoms, and may for example be furanyl, pyridyl, thienyl, pyrimidinyl, benzofuranyl, 30 quinolyl, benzothienyl or quinoxalyl.

The compound of the formula I may form a salt with an acidic substance or a basic substance. The salt with an acidic substance may be an inorganic acid salt such as a hydrobromide, a hydrobromide, a phosphate, a sulfate or a nitrate. The salt with a basic substance may be a salt of an inorganic or organic base such as a sodium salt, a potassium salt, a calcium salt, a quaternary ammonium salt such as ammonium salt or a dimethylamine salt.

The compound of the formula I may exist as geometrical 40 or optical isomers and the present invention includes all of these isomeric forms.

Preferred compounds for the reasons of ease of synthesis or greater herbicidal efficacy are represented by the formula I wherein

(1) Z is  $-NR_4$ , or  $-CH_2R_{10}$ ,

(2) X is halogen or cyano; Y is halogen; W is —OR; and R is alkyl, alkenyl, or alkynyl, where any of these groups may be unsubstituted or substituted with any of the functional groups represented by one or more of the following: halogen, cyano, nitro, amino, or carboxyl, or

(3) Q is Q1 or Q6; R<sub>1</sub> is alkyl, amino or haloalkyl; R<sub>2</sub> is haloalkyl; R<sub>3</sub> is hydrogen; and R<sub>8</sub> and R<sub>9</sub> are independently oxygen, sulfur, or imino group,

Still more preferred compounds for the reasons of greater berbicidal efficacy are represented by formula I wherein X is halogen; Y is fluorine; W is OR; R is alkyl, alkenyl, or alkynyl, where any of these groups may be unsubstituted or substituted with halogen or cyano; Q is Q1 or Q6;  $R_1$  is alkyl, amino, or haloalkyl;  $R_2$  is haloalkyl;  $R_3$  is hydrogen; and  $R_8$  and  $R_9$  are independently oxygen, sulfur, or imino group; Z is —NR<sub>4</sub>R<sub>5</sub>;  $R_4$  is alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, cycloalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, alkylthiocarbonyl, cycloalkyloxycarbonyl, arylthio-carbonyl, aryl-thiocarbonyl, heteroaryloxycarbonyl, aminocarbonyl, alkylaminocarbonyl, arylaminocarbonyl, arylaminocarbonyl,

heteroarylaminocarbonyl, alkoxycarbonylcarbonyl, arylcarbonylcarbonyl, where any of these groups may be unsubstituted or substituted with any of the functional groups represented by one or more of the following: halogen, cyano, nitro, amino, dialkylamino, hydroxyl, carboxyl, alkyl, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkylcarbonyloxy, alkoxy, alkoxycarbonyl, alkylthio, alkylthiocarbonyl, alkoxythiocarbonyl alkylaminocarbonyl, arylaminocarbonyl, alkylsulfonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, aryl, arylcarbonyl, aryloxy, aryloxycarbonyl, arylthio, heteroaryl, heteroaryloxycarbonyl, or methylenedioxy, wherein the alkyl moiety or aryl moiety may be substituted with halogen, cyano, nitro, alkyl, alkoxy, haloalkyl, haloalkoxy, alkoxycarbonyl, cycloalkyl, aryl, or heterocycloalkyl; and  $R_s$  is hydrogen; or Z is  $-CH_2R_{10}$ ;  $R_{10}$  is carboxyl alkyl, alkenyl or alkynyl, where any of these groups may be unsubstituted or substituted with any of the functional groups represented by one or more of the following: halogen, cyano, nitro, amino, dialkylamino, hydroxyl, caroxyl, alkyl, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkylcarbonyloxy, alkoxy, alkoxycarbonyl, alkylthio, alkylthiocarbonyl, alkoxythiocarbonyl alkylaminocarbonyl, arylaminocarbonyl, alkylsulfonyl, alkenyloxycarbonyl, alkynyloxycarbonyl, aryl, arylcarbonyl, aryloxy, aryloxycarbonyl, arylthio, heteroaryl, heteroaryloxycarbonyl, or methylenedioxy, wherein the alkyl moiety or aryl moiety may be substituted with halogen, cyano, nitro, alkyl, alkoxy, haloalkyl, haloalkoxy, alkoxycarbonyl, cycloalkyl, aryl, or heterocycloalkyl.

Certain intermediates of the present invention are novel. These are 3-(2-amino-4-chloro-6fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione, 3-(2-amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-amino-6-trifluoromethyl-2,4(1H,3H)-pyrimidinedione and represented by the following formulae (III-V):

45 wherein X, Y, W and Q are the same as defined above; and M is nitro.

$$R$$
 $NH_2$ 
 $NO_2$ 
 $NH_2$ 
 $NO_2$ 

wherein X' and Y' are halogens; and R is the same as defined above.

The compounds described by the formula I can be prepared by the procedures as described herein. In general, the compounds described in this invention can be prepared by one of the two routes depending on whether the heterocyclic ring (e.g. uracil ring) is formed prior to or after the nitration at the 2 position of the phenyl ring in the final product.

As depicted in Scheme 1, the starting materials for these preparations are the compounds represented by the formula 5 VIc. These compounds can be prepared starting from the nitro compound VIa via the amine VIb by the procedures described in literature, for example U.S. Pat. No. 4,859,229 (1989). Nitration of VIc is typically carried out by its slow addition to a mixture of sulfuric acid and nitric acid in a ratio of 9:1. Typically 34 ml of the nitration mixture is used for 2-3 mmol of VI and the addition is carried out between 0 to -30° C. followed by stirring at ambient temperature for 0.5-2 hr. Product (VII) is separated by addition of the solution to ice water and filtration of the precipitate. The product can also be extracted from aqueous layer into 15 organic solvents such as ether or ethyl acetate and purified by crystallization or column chromatography. Alkylation of VII to VIII can be accomplished by treatment of VII with alkyl halide, haloalkyl halide, especially the respective chloride, bromide, or sulfate in the presence of a base such 20 as potassium carbonate or sodium hydride in an inert solvent such as acetone, dimethylformamide, dimethylsulfoxide, tetrahydrofuran, methyl ethyl ketone, or acetonitrile at a temperature range of 0 to 130° C. VIII can be reduced to the amine (IX) under typical reduction conditions such as treatment with iron in acetic acid or ethanolic hydrochloric acid; or by hydrogenation using palladium on carbon or platinum oxide as catalyst. The product IX is purified by typical purification procedures of recrystallization or column chromatography.

The amine (IX) can be derivatized to yield a variety of products generally represented by the formula X. For example amides can be prepared by treatment of IX with alkyl or aryl acid halides, typically chlorides, or anhydrides in the presence of base in an inert solvent. Typically organic bases such as triethylamine, diisopropylethylamine, or pyridine can be used in inert solvents such as tetrahydrofuran, acetonitrile, or dioxane at a temperature range of ambient to reflux temperature for 2-24 hr. Pyrdine can be used alone as solvent and base. Acylation catalysts such as dimethylaminopyridine (DMAP) can be added to facilitate the reaction.

Typical work-up procedure includes removal of solvent followed by partitioning of the product between aqueous and organic solvents such as ether, ethyl acetate or methylene chloride. Depending upon the reactivity of the acid halide, the product typically consists of a monoamide, diamide, or a mixture of the two. These can be purified/resolved typically by column chromatography. Mono or dialkyl (amino) derivatives of IX can be prepared by its treatment with alkyl or haloalkyl halides in the presence of base such as potassium or sodium carbonate, or sodium hydride in an inert solvent such as tetrahydrofuran or dimethylformamide at a temperature of ambient to 120° C. for 2-24 hr. Mono or dicarbamoyl derivatives of IX can be prepared by its treatment with alkylhaloformates such as methyl or ethylchloroformate in the presence of base such as potassium or sodium carbonate in an inert solvent such as tetrahydrofuran or dimethylformamide at a temperature of ambient to 120° C. for 2-24 hr. Mono or di urea derivatives of IX can be prepared by its treatment with an alkyl or aryl isocyanate, for example methyl or ethyl isocayante, in the presence of a base such as triethylamine in an inert solvent such as toluene or tetrahydrofuran. Alternatively, IX is first converted into its isocyanate derivative by treatment with phosgene or triphosgene in toluene or tetrahydrofuran at reflux temperature for 2-6 hr. This isocyanate can, in turn, be treated with an alkyl or aryl amine such as methyl or ethyl amine in the presence of a base such as triethylamine in an inert solvent such as toluene or tetrahydrofuran at a temperature range of ambient to 130° C. for 2-12 hr to finish the corresponding urea. IX can be treated with an alkyl dihalide such as 1,4-diiodobutane in an inert solvent such as toluene or acetonitrile at reflux temperature in the presence of a base such as potassium or sodium carbonate to furnish the corresponding cyclized product such as a pyrrolidine derivative. IX can be treated with an aromatic or aliphatic aldehyde or ketone or its diethyl or dimethyl acetal derivative in an inert solvent such as toluene or methylene chloride to furnish the corresponding imino derivative. Alternatively, a monoacetyl derivative of IX can be treated with a dehydrochlorinating agent such as phosphorus pentachloride to furnish the corresponding iminochloride.

#### SCHEME 1

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A

(a) catalytic reduction; (b) 1) triphosgene, 2) NaH, ethyl 3-amino-4,4,4-trifluorocrotonate;
(c) H<sub>2</sub>SO<sub>4</sub>-HNO<sub>3</sub>; (d) dimethyl sulfate, base (R<sub>1</sub>=CH<sub>3</sub>); (e) Fe-AcOH; (f) (CF<sub>3</sub>CO)<sub>2</sub>O, (e.g. Z=NHCOCF<sub>3</sub>)

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The starting uracil derivative represented by formula XI 15 in Scheme 2 can be prepared according to the procedure as described before. The compound XI is nitrated with concentrated nitric acid at 0° C. to ambient temperature for 15-30 minutes. Product (XII) is obtained by addition of the product mixture to ice-water followed by filtration.

The starting uracil derivative represented by formula XIII in Scheme 3 can be prepared according to the procedure as previously described. Compound XIII can be nitrated with 65 nitric acid at 0° C. for 15-30 minutes. Product (XIV) is obtained by addition of ice followed by filtration.

#### SCHEME 3

$$\begin{array}{c|c} X & Y & O \\ \hline \\ H & O & NO_2 \\ \hline \\ XIV & \\ \end{array}$$

The desired starting tetrazole derivatives represented by formula XV in Scheme 4 can be prepared according to the literature procedure of WO 85/01939. These compounds can be nitrated with nitric acid at ambient temperature or at 0° C. for 15-30 minutes. Product (XVI) is isolated by addition of ice followed by extraction into an organic solvent such as ether or ethyl acetate and purified. XVII can be prepared by the reduction of XVI typically by catalytic hydrogenation in presence of catalysts such as palladium on carbon or by treatment with iron in acetic acid or in ethanolic hydrochloric acid. XXII can be prepared by reacting XVII with a halide in presence of a base at 50 to 120° C. for 1-5 hours. Further modification of XVIII to XIX is carried out according to the general procedures described for the preparation of X from IX (Scheme I).

#### SCHEME 4

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The starting triazolinone derivative represented by formula XX in Scheme 5 can be prepared according to the literature procedure of U.S. Pat. No. 4,980,480 (1990). The compound XX is nitrated with concentrated nitric acid at -15 to 0° C. for 0.5-2 hr. Product (XXI) is obtained by addition of the product mixture to ice-water followed by <sup>30</sup> filtration.

#### SCHEME 5

-continued

X

Y

NO2

N

R2

XXI

The desired starting pyrazole derivatives represented by formula XXII in Scheme 6 can be prepared according to the literature procedure of U.S. Pat. No. 5,281,571 (1994). These compounds can be nitrated in sulfuric acid-nitric acid mixture (9:1) with a ratio of 34 ml of the nitrating solution to 34 mmol of XXII. The addition is carried out between -15 to -30° C. followed by stirring at ambient temperature for 1-2 hr. Product X is isolated by addition of water followed by extraction into an organic solvent such as ether or ethyl acetate and purified. XXIV can be prepared by the reduction of XXII typically by catalytic hydrogenation in presence of catalysts such as palladium on carbon or by treatment with iron in acetic acid or in ethanolic hydrochloric acid. Further modification of XXIV to XXV is carried out according to the general procedures described for the preparation of X from IX (Scheme I).

#### SCHEME 6

-continued

(a) H2SO4-HNO3; (b) catalytic reduction; (c) (CF3CO)2O, (e.g. Z = NHCOCF3)

The desired starting tetrahydrophthalimide derivative represented by formula XXVI in Scheme 7 can be prepared 15 according to the literature procedure of U.S. Pat. No. 4,484, 941 (1984). The compound can be nitrated with nitric acid at 0° C. to ambient temperature for half hour. The product (XXVII) is isolated by addition of ice followed by extraction into an organic solvent such as ether, ethyl acetate, or methylene chloride and purified. XXVIII can be prepared by

the reduction of XXVII typically by catalytic hydrogenation in presence of catalysts such as palladium on carbon or by treatment with iron in acetic acid or in ethanolic hydrochloric acid. XXIX can be prepared by reacting XXVIII with (substituted)alkyl halide in the presence of a base such as potassium carbonate. Further modification of XXIX to XXX is carried out according to the general procedures described for the preparation of X from IX (Scheme I).

SCHEME 7

(a) HNO3; (b) Fe-ACOH; (c) R-X, K2CO3; (d) (CF3CO)2O, (e.g. Z=NHCOCF3)

Scheme 8 describes the preparation of intermediates represented by the formulae XXXIII and IV. The starting 55 materials (amino phenols and alkyl derivatives represented by the formula VIb) are prepared according to the procedure as described in literature such as U.S. Pat. No. 4,670,046 (1987) which upon treatment with phthalic anhydride in acetic acid can afford phthalimide derivative (XXI). Nitration of XXXI can be carried out by its addition to a mixture of sulfuric acid and nitric acid (9:1) at -15 to -30° C. followed by addition of water and extraction of the product (XXII) in organic solvents such as ethyl acetate or ether. XXXII can be reduced to the corresponding amine (XXXIII) by conventional methods such as treatment with iron in 65 acetic acid or ethanolic hydrochloric acid or by catalytic hydrogenation in the presence of palladium on carbon. Amino group of XXXIII can be derivatized as described before in Scheme 1 to furnish XXXIV which in turn can be deprotected to finish XXXV. Removal of the protecting phthalimido group can be accomplished by several methods such as treatment with hydrazine in a polar solvent such as dimethylsulfoxide or by treatment with on organic amine 5 such as methyl amine in ethanol. XXV can then be derivatized to the desired compound (X) according to the known

procedures as described before in Scheme 1. Alternatively, XXXII can first be subjected to deprotection to afford the amine IV which can be modified to introduce the heterocyclic ring such as the uracil ring (U in XXXVI) according to the known procedures. Nitro group in XXXVI can then be reduced to afford the amine which can then be derivatized as described previously to afford X.

#### SCHEME 8

X
XXXVI

(a) AcOH, phthalic anhydride; (b) H<sub>2</sub>SO<sub>4</sub>-HNO<sub>3</sub>; (c) Fe-AcOH; (d) dimethyl sulfate, base, [c.g. Z=N(CH<sub>3</sub>)<sub>2</sub>]; (e) DMSO-bydrazine; (f) 1) triphosgene, 2) NaH, ethyl 3-amino-4,4,4-trifluorocrotonate, 3) CH<sub>3</sub>I (R<sub>1</sub>=CH<sub>3</sub>, R<sub>2</sub>=CF<sub>3</sub>); (g) 1) triphosgene, 2) NaH, ethyl 3-amino-4,4,4-

Scheme 9 delineates a process for the preparation of the intermediates represented by the formula V. Starting materials represented by the formula XXXIX are prepared by the nitration of XXXVII which gives XXXVIII which can be reduced to XXXIX according to the literature procedure of Japanese Pat. No. 01186849 (1989). The amino group in XXXIX is protected by forming amide or carbamate XL and the latter is nitrated to give XLI. Deprotection of XLI leads to the ortho-nitro aniline V. V can be converted into the desired compounds represented by XLV according to the procedures as shown in the scheme.

(a)  $H_2SO_4$ -HNO<sub>5</sub>; (b) Fe-AcOH; (c) pyridine-CICOOEt (e.g. J=NHCOOEt); (d)  $H_2SO_4$ -HNO<sub>5</sub>; (e) HBR-AcOH; (f) 1) triphosgene, 2) NaH, ethyl 3-amino-4,4,4-trifluorocrotonate, 3) CH<sub>3</sub>l (Q=uracil ring as in  $X_1$   $R_1$ =CH<sub>3</sub>,  $R_2$ =CF<sub>3</sub>); (g) ROH, base (e.g T=O, R=CH<sub>3</sub>); (b) Fe-AcOH; (i) (CF<sub>3</sub>CO)<sub>2</sub>O, (e.g. Z=NHCOCF<sub>3</sub>).

Scheme 10 describes the preparation of intermediate represented by the formulae XLVIII. The starting material (XLVI) can be prepared according to the method described in patents, such as U.S. Pat. No. 5,154,755 (1992). XLVI reacts with ethyl chloroformate at basic condition to give the

carbamate XLVII. The latter is nitrated with a mixture of nitric acid and sulfuric acid to give the intermediate XLVII which can be N-alkylated with an alkylhalide in the presence of base to furnish XLIX.

#### SCHEME 10

Scheme 11 describes an alternative procedure for the preparation of compounds represented by the formula LVII with varying R groups. Reduction of L to LI is carried out using conventional procedures such as catalytic reduction or iron-acetic acid mixture. The aniline LI is reacted with phenyl chloroformate to afford a carbamte represented by the formula LII which is nitrated with an inorganic salt such as ammonium or potassium nitrate in an acid anhydride such as acetic anhydride according to published procedure such as described in WO 97/42188. Resultant nitro derivative LIII

is cyclized to furnish the uracil derivative LIV upon reaction with an appropriately substituted amino crotonate in the presence of an inorganic or organic base exemplified by 1,8-diazabicylo[5.4.0]undec-7-ene (DBU). LIV is N-derivatized to afford LV followed by reduction to aniline LVI according to conventional procedures as described before. LVII is then derivatized to afford the final compounds represented by the formula LVII according to the procedures as described before.

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(a) catalytic reduction; CICO  $_2$ CeH5; (c) Ac $_2$ O-NH4NO3; (d) ethyl 3-amino-4,4,4-trifluorocrotonate, DBU, DMF; (c) CH3I; (f) Fe-AcOH (g) (CF3CO) $_2$ O, (e.g. Z=NHCOCF3)

Scheme 12 describes a process for the preparation of compounds represented by the formula LXII which are trisubstituted phenyl derivatives. Ortho-nitroaniline derivatives represented by the formula LVIII are the starting materials which are converted to a ortho-nitro uracil derivatives (LX) according to previously described procedures, e.g. via the NH uracil derivative (LIX). Nitro groups is then converted to an amino group (LXI) via conventional reduction procedures such as cataytic or iron-acetic acid reduction followed by derivatization to furnish LXII.

#### SCHEME 12

(a) NaH, ethyl 3-amino-4,4,4-trifluorocrotonate; (b) CH3I; (c) Fe—AcOH; (d) (CF3CO)2O, (e.g. Z = NHCOCF3

Scheme 13 describes a procedure for the preparation of trisubstituted phenyl derivatives represented by the formula LXVI. Direct nitration of LXIII, where X and Q (a heterocylce) are as previously defined, using nitration reagents such as nitric acid or a mixture of sulfuric acid-nitric acid leads to ortho-nitro compounds represented by the formula LXIV which are reduced to the corresponding aniline derivatives (LXV) by reduction procedures such as catallytic reduction or iron-acetic acid. Aniline (LXV) is then derivatized to furnish LXVI.

#### SCHEME 13

-continued

X

C

NH2

LXVI

LXV

(a) AcOH—NH4NO3; (b) Fe—AcOH; (c) (CF3CO)2O, (e.g. Z = NHCOCF3)

Scheme 14 delineates a procedure for the preparation of tetrasubstituted phenyl derivatives represented by the formula LXXIV. The process is akin to one described in scheme 11 for the preparation of pentasubstituted phenyl derivatives (LVII). The nitro intermediates (LXVII) are reduced to the anilines (LXVIII) via conventional procedures followed by derivatization to the phenyl carbamate (LXIX) by reaction with a phenylhaloformate. Nitration to LXX (inorganic nitrate acid anhydirde) is followed by the uracil ring formation (appropriately substituted crotonate-DBU) (LXXI) and N-derivatization to furnish LXXII. Reduciton to the aniline (LXXIII) is carried out by procedures such as catalytic reduction or iron-acetic acid followed by derivatization to furnish LXXIV.

#### SCHEME 14

(a) catalytic reduction; (b) CICO<sub>2</sub>C<sub>6</sub>H<sub>5</sub>; (c) Ac<sub>2</sub>O—NH<sub>4</sub>NO<sub>5</sub>; (d) ethyt 3-amino-4,4,4-trifluorocrotonate, DBU, DMF; (e) CH<sub>3</sub>I; (f) Fe—AcOH (g) (CF<sub>5</sub>CO)<sub>2</sub>O, (e.g. Z = NHCOCF<sub>3</sub>)

Scheme 15 describes various procedures for the derivatization of the amino group in LXXV via diazonium salts 50 represented by LXXVI. The diazonium salts are prepared by treatment of the aniline with an inorganic nitrite solution such as sodium or potassium nitrite in an acid such as sulfuric or hydrochloric acid or by treatment of the aniline with an organic nitrite such as t-butyl nitrite in an organic solvent such as acetonitrile. Reaction is carried out between 10-15° C. which results in a stable solution of the diazonium salt which is reduced to the corresponding hydrazine derivative represented by the formula LXXVII by reducing agents exemplified by stannic chloride. Hydrazine derivatives are then derivatized to a variety of compounds represented by the formula (LXXXVI) via conventional reactions such as acylation, alkylation, Schiff base formation, etc. The diazonium group in LXXVI is replaced by a hydroxyl to furnish the corresponding phenol (LXXVIII) by its treatment with an aqueous solution of cuprous oxide in presence of cupric nitrate or cupric sulfate at ambient temperature. LXXVIII is then derivatized to furnish LXXXVI via con-

ventional reactions such as acylation, alkylation, etc. Treatment of the diazonium salts (LXXVI) with disulfides (RSSR) leads to the formation of corresponding thioethers represented by the formula LXXIX which can be further modified according to conventional procedures leading to sulfur analogs represented by the formula LXXVI. LXXVI can be treated with inorganic cyanides leading to the formation of cyano derivatives (LXXXI) which can be oxidized via conventional routes to furnish carboxylic acids (LXXXV) which can then be derivatized leading to LXXXVI. The diazonium group can also be replaced with an azido group furnishing LXXX. LXXVI can be treated with inorganic iodides to afford the iodo compounds (LXXXII) which can be converted to the corresponding aldehydes (LXXXIII) (which are also directly obtainable from LXXVI via conventional procedures). LXXXIII can be reduced to furnish corresponding benzyl alcohols (LXXXIV) which can be derivatized to LXXXVI.

(a) H<sub>2</sub>SO<sub>4</sub>—NaNO<sub>2</sub>, A = anion; (b) SnCl<sub>2</sub>; (c) (CF<sub>2</sub>CO)<sub>2</sub>O, (e.g. Z = NHCOCF<sub>3</sub>); (d) Cu<sub>2</sub>O; (e) C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>CI (e.g. Z = OCH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>); (f) ethyl acrylate-CuCl<sub>2</sub> (e.g. Z = CH<sub>2</sub>CHCICOOC<sub>2</sub>H<sub>5</sub>); (g) RSSR; (h) MCPBA (e.g. Z = SO<sub>2</sub>R); (i) NaCN; (j) H<sub>2</sub>SO<sub>4</sub>; (k) RNH<sub>2</sub> (e.g. Z = CONHR); (l) Oxime, CuSO<sub>4</sub>—Na<sub>2</sub>SO<sub>3</sub>; (m) KMnO<sub>4</sub>; (n) KI; (o) CO, Pd(II) acetate.trlphenylphosphine; (p) NaBH<sub>4</sub>; (q) e.g. RNCO (Z = CH<sub>2</sub>OCONHR); (r) NaN<sub>3</sub>

Scheme 16 describes an alternatived procedure for the formation of amides (XC). Reaction of the ortho-amino 60 phenol LXXXVII with an aliphatic or aromatic acyl halide in an organic solvent such as 1,4-dioxane or tetrahydrofuran in the absence or presence of an inorganic or organic base such as potassium carbonate, sodium carbonate, or triethylamine, regioselectively leads to the formation of 65 corresponding amide represented by the formula LXXIX. LXXXIX can also be produced by the hydrolysis of a

corresponding alkyl ether such as methyl ether (LXXXVIII) by treatment with strong Lewis acids such as boron tribromide or boron tribromide-dimethyl sulfide complex. Phenol group in LXXIX is then derivatized by treatment with a halide in the presence of base such as sodium carbonate or potassium carbonate in an organic solvent such as as acetone, methyt-ethyl ketone, dimethylsulfoxide, or tetrahydrofuran at ambient to reflux temperatures.

#### SCHEME 16

(a) Acyl halide; (b) BBr<sub>3</sub>.Me<sub>2</sub>S; (c) R<sub>1</sub>X, base, (e.g. R = 2-naphthyl,  $R_1 = CHF_2$ )

Scheme 17 describes a procedure for the preparation of pyridazinone derivatives represented by the formula XCVII 30 and XCVIII. Desired starting pyridazinone derivatives represented by formula XCI and XCIV can be prepared according to the literature procedure of WO 97/07104. These compounds can be nitrated with nitric acid or a mixture of nitric acid and sulfuric acid at ambient temperature or at 0° 35 C. for 15-30 minutes. The products XCII and XCV are isolated by addition of ice followed by filtration. XCII and XCVI can be prepared by the reduction with iron in acetic

acid or in ethanolic hydrochloric acid. Methylation of XCIII can be carried out by reacting XCIII with methyl iodide in presence of a base at 50 to 120° C. for 1~5 hours. Further modification of XCVI to XCVIII is carried out by treatment of the aniline with an organic nitrite (such as t-butyl nitrite) in an organic solvent (such as acetonitrile) and alkyl acrylate in the presence of copper(II) chloride. Modification of XCVI to XCVII is carried out by treatment of the aniline with an alkyl or aryl acid halide at 50 to 120° C. for 1~5 hours.

#### SCHEME 17

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(a) HNO3; (b) Fe-AcOH; (c) CH3L, base; (d) H2SO4-HNO3; (e) R2X, base; (f) t-BuONO-ethyl acrylate-CuCl2

#### **EXAMPLE 1**

Preparation of 3-(4-chloro-6-fluoro-3-methoxy-2nitrophenyl)-6-trifluoromethyl-2,4(1H, 3H)pyrimidinedione (Compound no. 1-1)

3-(4-Chloro-6-fluoro-3-methoxyphenyl)-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (10.0 g, 29.5 mmol) was slowly added to a stirred mixture of con. sulfuric acid (36 ml) and con. nitric acid (4 ml) with stirring at -15°C. The solution was then slowly warmed to room temperature and allowed to stir for 2 hr. Addition of the solution to ice-water resulted in a light yellow precipitate which was separated by filtration to afford the title compound (9.1 g). NMR data for the compound are listed in Table XVIII.

#### **EXAMPLE 2**

Preparation of 3-(4-chloro-6-fluoro-3-methoxy-2nitrophenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 1-5)

3-(4Chloro-6-fluoro-3-methoxy-2-nitrophenyl)-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (9 g, 23.5 mmol) was dissolved in dimethylformamide (90 ml) and to this were added potassium carbonate (3.9 g, 28.2 mmol) and dimethylsulfate (10.2 g, 47 mmol) with stirring. The solution was stirred at ambient temperature for 12 hr and water was added. Product was extracted in ethyl acetate and the organic layer was washed with water and dried over anhydrous sodium sulfate. Removal of the solvent afforded a crude product which was purified by column chromatography on silica gel. Elution of the column with methylene chloride afforded the title compound (7.8 g).

#### EXAMPLE 3

Preparation of 3-(2-amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 1-4)

3-(4-Chloro-6-fluoro-3-methoxy-2-nitrophenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (7.5 g, 18.9 mmol) was dissolved in acetic acid (75 ml) and 4.2 g (75.6 mmol) of iron powder was added. The solution was stirred at ambient temperature under nitrogen atmosphere for 6 hr and water was added. Extraction was carried out with ethyl acetate. Organic layer was washed with water, brine, and dried with anhydrous sodium sulfate followed by evaporation to afford the title compound (6.8 g).

#### **EXAMPLE 4**

Preparation of 3-[4-chloro-2-(2,4difluorobenzoyl) amino-6-fluoro-3-methoxyphenyl]-1-methyl-6-trifluoromethyl-2,4(1H 3H)-pyrimidinedione (Compound no. 2-42)

3-(2-Amino-4-chloro-6fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)pyrimidinedione (2.0

g, 5.4 mmol) and triethylamine (0.66 g, 6.5 mmol) were dissolved in anhydrous tetrahydrofiuran (30 ml) and stirred under ice cooling. To this solution was slowly added 2,4-difluorobenzoyl chloride (0.96 g, 5.4 mmol) and solution refluxed for 2 hr. Another batch of 2,4-difluorobenzoyl chloride (0.19 g, 1.1 mmol) was added and solution refluxed for 2 hr. Solvent was removed in vacuo and the product purified by column chromatography on silica gel using hexane-ethyl acetate (3:1) as the eluent to afford the title compound (2.2 g).

#### **EXAMPLE 5**

Preparation of 3-(4-chloro-2-diacetylamino-6-fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 2-2)

A mixture of 3-(2-amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6 trifluoromethyl-2,4(1H, 3H)-pyrmidinedione (0.5 g, 1.4 mmol), triethylamine (0.53 g, 5.6 mmol), acetic anhydride (0.57 g, 5.6 mmol), and anhydrous toluene (10 ml) was refluxed for 12 hr. Solvent was removed in vacuo and the product purified by chromatography on silica gel. Column was eluted with hexane-ethyl acetate (7:3) to furnish the title compound (0.34 g).

#### **EXAMPLE 6**

Preparation of 3-(4-chloro-2-dimentylainino-6-fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 1-11)

To a solution of 3-(2-amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)pyrimidinedione (0.6 g, 1.6 mmol) in toluene (10 ml) was added potassium carbonate (0.27 g, 1.92 mmol) followed by dimethylsulfate (0.69 g, 3.2 mmol). The solution was refluxed for 2 hr and solvent was removed in vacuo. Residue was chromatographed on silica gel and product eluted with methylene chloride to afford the title compound (0.12 g).

#### **EXAMPLE 7**

Preparation of 3-(4chloro-6-fluoro-3-methoxy-2methoxycarbonylaminophenyl)-1-methyl-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 4-1)

A solution of 3-(2-amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (1.25 g) and triethylamine (1 ml) in ethyl

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acetate (20 ml) was added to a solution of triphosgene (1.0 g) in ethyl acetate (15 ml) stirred under nitrogen The mixture was heated at reflux for 2 hr, cooled, filtered and the filtrate evaporated under reduced pressure to give a buff colored solid (1.4 g). <sup>1</sup> H NMR (CDCl<sub>3</sub>, 300 MHz) 3.58 (3H, s), 4.00 5 (3H, s), 6.38 (1H, s), 7.12 (1H, d, J=8.8 Hz) ppm.

The above isocyanate (0.5 g) dissolved in N,N-dimethylformamide (10 ml) was treated with dry methanol (2 ml) and stirred at room temperature for two days. Water and ethyl acetate were added and the solution separated. The organic phase was dried over sodium sulfate, evaporated, and chromatographed on silica gel eluting with ethyl acetate-hexane (1:3) to give the title compound as a white solid (0.17 g).

#### **EXAMPLE 8**

Preparation of 3-[2-bis(methylaminocarbonyl) amino-4-chloro-6-fluoro-3-methoxyphenyl]-1methyl-6-trifluoromethyl-2,4(1H, 3H)pyrimidinedione (Compound no.3-1)

To a solution of 3-(2-amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (0.5 g, 1.4 mmol) and triethylamine (0.17 g, 1.7 mmol) in anhydrous toluene (10 ml) was added methyl isocyanate (0.1 g, 1.7 mmol) with stirring. The solution was refluxed for 2 hr and solvent removed. Residue was chromatographed on silica gel in methylene chloride-methanol (99:1) to furnish the title compound (0.56 g).

#### **EXAMPLE 9**

Preparation of 3-[4-chloro-2-(dimethylaminomethynyl)imino-6-fluoro-3methoxyphenyl]-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 1-31)

A mixture of 3-(2-amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (0.5 g, 1.4 mmol) and dimethylformamide dimethylacetal (0.8 g, 7 mmol) was refluxed for 4 hr under a blanket of nitrogen. Excess reagent was removed in vacuo and product extracted with ether. Solvent was removed to afford a residue which was chromatographed on silica gel. Elution of the column with hexane-ethyl acetate (6:4) afforded the title compound (0.22 g).

#### **EXAMPLE 10**

Preparation of 3-(2-amino-4-chloro-6-fluoro-3-hydroxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 1-16).

3-(2-Amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (1.1 g, 2.7 mmol) was dissolved in 50 ml of anhydrous 1,2-dichloroethane and 3.4 g (10.8 mmol) of borontribromide imethylsulfide complex was added to the solution. The solution was refluxed for 16 hr and methylene chloride (100 ml) was added. Washing with water followed by drying (anhydrous sodium sulfate) and removal of the solvent afforded a residue which was triturated with ether to afford the title compound (0.6 g).

#### **EXAMPLE 11**

Preparation of 3-(4-chloro-6-fluoro-3-hydroxy-2-nitrophenyl)-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 1-2)

3-(4-chloro-2-fluoro-5-hydroxyphenyl)6-trifluoromethyl-2,4(1H,3H)-pyrmidinedione (2.5 g) was added to an ice

cooled con. nitric acid (50 ml). After stirring for 1 hr, the reaction mixture was poured into ice-cold water. The yellow crystals were collected by filtration to afford the title compound (0.9 g). The filtrate was extracted by ethyl acetate (200 ml) and washed with brine. The organic phase was dried over anhydrous sodium sulfate. After removal of the solvent, 0.6 g of title compound was obtained as yellow crystal.

#### **EXAMPLE 12**

Preparation of 3-(4-chloro-6-fluoro-3-hydroxy-2-nitrophenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrinmidinedione (Compound no. 1-17)

3-(4-Chloro-2-fluoro-5-hydroxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (1.06 g) was added to ice-cold con. nitric acid (10 ml). After stirring for 30 min, crushed ice was added. The yellow crystals were collected by filtration to afford the title compound (1.2 g).

#### **EXAMPLE 13**

Preparation of 1-(4-chloro-6-fluoro-3-hydroxy-2-nitrophenyl)-4-(3-fluoropropyl)-1,4-dihydro-5-oxo-5H-tetrazole (Compound no. 5-4)

1-(4-Chloro-2-fluoro-5-hydroxyphenyl)-4-(3-fluoropropyl)-tetrazolinone (2.91 g) was gradually added into an ice-cooled nitric acid (20 ml) and stirred for 30 minutes. Crushed ice was added followed by extraction with ethyl acetate. The ethyl acetate extract was washed with water, dried over sodium sulfate, concentrated, and filtered through a silica gel SPE column (2 g) to give the title compound as a yellow solid (3.4 g).

#### **EXAMPLE 14**

Preparation of 1-(2-amino-4-chloro-6-fluoro-3hydroxyphenyl)-4-(3-fluoroproyl)-1,4-dihydro-5oxo-5H-tetrazole (Compound no. 5-5)

Iron powder (2.3 g) was added to a solution of 1-(4-chloro-6-fluoro-3-hydroxy-2-nitrophenyl)-4-(3-fluoropropyl)-1,4dihydro-5-oxo-5H-tetrazole (3.4 g) in acetic acid (50 ml) and stirred at room temperature over night. The reaction mixture was filtered through a celite bed. The filtrate was concentrated under reduced pressure and purified by a silica gel column, eluted with hexane-ethyl acetate (2:1) to give yellow crystals (2.75 g).

#### **EXAMPLE 15**

Preparation of 1-(2-amino-4-chloro-6-fluoro-3-propargyloxyphenyl)-4-(3-fluoroproyl)-1,4-dihydro-5-oxo-5H-tetrazole (Compound no. 5-17)

The mixture of 1-(2-amino-4-chloro-6-fluoro-3-hydroxyphenyl)(3-fluoropropyl)-tetrazolinone (0.28 g), propargyl bromide (0.13 g), and potassium carbonate (0.14 g) in acetonitrile (5 ml) was heated under reflux for 0.5 hour. The solvent and excess reagent were removed under reduced pressure. The residue was purified by a silica gel column, eluted with ethyl acetate to give the desired product (0.33 g).

#### **EXAMPLE 16**

Preparation of 1-(2-amino-4-chloro-6-fluoro-3isopropyloxyphenyl)-4-(3fluoropropyl)-1,4-dihydro-5-oxo-5H-tetrazole (Compound no. 5-18)

The mixture of 1-(2-amino-4-chloro-6-fluoro-3-hydroxyphenyl)-4-(3-fluoropropyl)-1,4-dihydro-5-oxo-5H-

tetrazole (0.30 g), isopropyl iodide (1.2 ml), and potassium carbonate (0.14 g) in acetonitrile (5 ml) was heated under reflux for 2 hours. The reaction mixture was evaporated and purified by a silica gel column, eluted with hexane-ethyl acetate (2:1) to give the desired product (0.29 g).

#### **EXAMPLE 17**

Preparation of 1-(4-chloro-6-fluoro-3-hydroxy-2nitrophenyl)-4-difluoromethyl-3-methyl-1,2,4triazolinone (Compound no. 6-1)

1-(4-Chloro-2-fluoro-5-hydroxyphenyl)-4-difluoromethyl-3-methyl-1,2,4-triazolinone (0.21 g) was added to con. nitric acid (1.5 ml) at ambient temperature. The solution was vigorously stirred at ambient temperature for 15 min. Reaction mixture was poured into ice-cold water and yellow precipitate was collected by filtration to afford the title compound (0.17 g) as a 1:1 mixture with oxidative compound.

#### **EXAMPLE 18**

Preparation of 1-(2-amino-4-chloro-6-fluoro-3hydroxyphenyl)-4-difluoromethyl-3-methyl-1,2,4triazolinone (Compound no. 6-2)

To a stirred solution of 1-(4-chloro6-fluoro-3-hydroxy-2-nitrophenol)-4-difluoromethyl-3-methyl-1,2,4-triazolinone (0.15 g) in a mixed solvent of con. hydrochloric acid (5 ml) and methanol (5 ml) was added 0.3 g of iron powder at ambient temperature. The resulting mixture was refluxed for 1 hr and the solution was concentrated under reduced pressure. The residue was extracted with ethyl acetate (200 ml) and the organic phase was washed with brine and dried over anhydrous sodium sulfate. Solvent was removed under reduced pressure to give title compound as a brown oil.

#### **EXAMPLE 19**

Preparation of 4-chloro-3-(4-chloro-6-fluoro-3-methoxy-2-nitrophenyl)-1-methyl-5-trifluoromethyl-1H-pyrazole (Compound no. 7-1)

4-Chloro-3-(4-chloro-2-fluoro-5-methoxyphenyl)-1-methyl-5-trifluoromethyl-1H-pyrazole (1.2 g, 3.5 mmol) was slurried with 4 ml of con. sulfuric acid and was slowly added to a stirred 4 ml mixture of con. sulfuric acid-con. 45 nitric acid (9:1) at -15° C. Solution was allowed to stir at ambient temperature for 2 hr and then added to ice water. Extraction with ethyl acetate and removal of the solvent afforded a crude product which was chromatographed on silica gel. Elution of the column with hexane-methylene 50 chloride (4:6) furnished the title compound (0.72 g).

#### **EXAMPLE 20**

Preparation of 4-chloro-3-(2-amino-4-chloro-6-fluoro3-metoxyphenyl)-1-methyl-5-trifluoromethyl-1H-pyrazole (Compound no. 7-2)

4-Chloro-3-(4-chloro-6-fluoro-3-methoxy-2-nitrophenyl)-1-methyl-5-tifluoromethyl-1H-pyrazole (0.48 g, 1.24 mmol) was dissolved in toluene (8 ml) and 0.05 g of 60 10% palladium on carbon was added. The solution was vigorously stirred under hydrogen atmosphere for 4 hr at ambient temperature and the catalyst was removed by filtration. Removal of the solvent afforded a residue which was chromatographed on silica gel. Elution of the column with 65 hexanemethylene chloride (3:7) furnished the title compound (0.38 g).

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#### **EXAMPLE 21**

Preparation of 6-chloro-4-fluoro-2-nitro-3-(tetrahydrophthalimido)phenol (Compound no. 8-1)

2-Chloro-4-fluoro-5-(tetrahydrophthalimido)phenol (5.0 g) was added into nitric acid (50 ml) at 0° C., warmed up to room temperature in 30 minutes. Crushed ice was added and the solution extracted with methylene chloride. The organic phase was washed with water, dried over anhydrous sodium sulfate, and purified by a silica gel column, eluted with methylene chloride-ethyl acetate (19:1) to give 3.67 g of the desired product.

#### **EXAMPLE 22**

Preparation of 2-amino-6-chloro-4-fluoro-3-tetrahydrophthalimido)phenol (Compound no. 8-2)

Iron powder (2.48 g) was added into a solution of 6-chloro-4fluoro-2-nitro-3-(tetrahydrophthalimido)phenol (3.67 g) in acetic acid (60 ml) and stirred at room temperature for two hours. The reaction mixture was diluted with ethyl acetate, washed with water, dried over anhydrous sodium sulfate, evaporated to give 3.6 g of the title compound.

#### **EXAMPLE 23**

Preparation of N-(2-amino-4-chloro-6-fluoro-3-propargyloxyphenyl)tetrahydrophthalimide (Compound no. 8-3).

A mixture of 2-amino-6-chloro-4-fluoro-3-(tetahydrophthalimido)phenol (0.31 g), propargyl bromide (0.2 ml), potassium carbonate (0.14 g), and acetonitrile (5 ml) was heated under reflux for 0.5 hr. The solvent and excess reagent were removed under reduced pressure. The residue was purified by a silica gel column, eluted with ethyl acetate to give the title product (0.2 g).

#### **EXAMPLE 24**

Preparation of N-(2-amino-4-chloro-6-fluoro-3isopropyloxyphenyl)tetrahydrophthalimide (Compound no. 8-4)

A mixture of 2-amino-6-chloro-4-fluoro-3-(tetrahydrophthalimido)phenol (0.31 g), isopropyl iodide (1.2 ml), potassium carbonate (0.14 g), and acetonitrile (5 ml) was heated under reflux for 2 hr. The solvent and excess reagent were removed under reduced pressure. The residue was purified by a silica gel column, eluted with ethyl acetate to give the title product (0.21 g).

#### **EXAMPLE 25**

Preparation of N-(2-amino-4-chloro-3cyclopentyloxy-6-fluorophenyl) tetrahydrophthalimide (Compound no. 8-5)

A mixture of 2-amino-6-chloro-4-fluoro-3tetrahydrophthalimido)phenol (0.31 g), cyclopentyl bromide (1.3 ml), potassium carbonate (0.14 g), and acetonitrile (5 ml) was heated under reflux for 2 hr. The solvent and excess reagent were removed under reduced pressure. The residue was purified by a silica gel column, eluted with ethyl acetate to give the title product (0.17 g).

#### **EXAMPLE 26**

Preparation of 2-chloro-4-fluoro-5-(phthalimido) methoxybenzene

4-Chloro-2-fluoro-5-methoxyaniline (10.0 g, 57 mmol) and phthalic anhydride (8.5 g, 57 mmol mmol) were dis-

solved in glacial acetic acid (200 ml) and the solution refluxed for 2 hr. Water was added and the resultant precipitate was separated by filtration. The residue was washed with water and dried to afford the title compound (16.7 g); <sup>1</sup>H NMR (CDCl<sub>3</sub>, 300 MHz) 3.89 (3H, s), 6.9 (1H, d, J=6.3 5 Hz), 7.33 (1H, d, J=9.0 Hz), 7.82 (2H, m), 7.97 (2H, m) ppm.

#### **EXAMPLE 27**

#### Preparation of 6-chloro-4-fluoro-2-nitro-3-(phthalimido)methoxybenzene

2-Chloro-4-fluoro-5-(phthalimido)methoxybenzene (5.0 g, 16.4 mmol) was slowly added to a stirred mixture of consulfuric acid-con. nitric acid (10:1, 20 ml) at -20° C. Solution was then warmed to ambient temperature and allowed to stir for 1 hr. Addition to ice-water resulted in a light yellow precipitate which was separated by filtration. Column chromatography on silica gel in hexane-methylene chloride (3:7) furnished the title compound (3.2 g); <sup>1</sup>H NMR (CDCl<sub>3</sub>, 300 MHz) 4.06 (3H, s), 7.54 (1H, d, J=8.5 Hz), 7.84 and a solution of 4 nitrophenyl isocyanate (10 ml) was slowly add then allowed to warm iodide (1.31 g, 9.1 mmol extracted with ethyl according to the compound (0.13 g).

#### **EXAMPLE 28**

#### Preparation of 3-chloro-5-fluoro-2-methoxy-6-(phthalimido)aniline

6-Chloro-4-fluoro-2-nitro-3-(phthalimido) methoxybenzene (0.5 g, 1.4 mmol) was dissolved in glacial acetic acid (5 ml) and reduced iron (0.32 g, 5.6 mmol) was added. The solution was stirred at ambient temperature under a stream of nitrogen for 12 hr. Water was added and the product extracted with ethyl acetate followed by washings with water, brine, and drying (anhydrous sodium sulfate). Removal of the solvent afforded the title compound (0.4 g); <sup>1</sup>H NMR (CDCl<sub>3</sub>, 300 MHz) 3.87 (3H,s), 4.21 (2H, br s), 6.65 (1H, d, J=9.4 Hz), 7.81 (2H, m), 7.95 (2H, m) ppm.

#### **EXAMPLE 29**

#### Preparation of 4-chloro-6-fluoro-3-methoxy-2nitroaline

3-Chloro-5-fluoro-2-methoxy-6-(phthalimido)aniline (0.6 g, 1.7 mmol) was dissolved in dimethylsulfoxide (3 ml) and anhydrous hydrazine (0.22 g, 6.8 mmol) was added. The solution was stirred at ambient temperature for 12 hr under a stream of nitrogen. Water was added and the product extracted with ether. The organic layer was washed with water, dried (anhydrous sodium sulfate), and evaporated to furnish the title compound (0.22 g). <sup>1</sup>H NMR (CDCl<sub>3</sub>, 300 MHz) 3.98 (3H, s), 5.09 (2H, br s), 7.2 (1H, d, J=10.5 Hz) ppm.

#### **EXAMPLE 30**

#### Preparation of 4-chloro-6-fluoro-3-methoxy-2nitrophenyl isocyanate

4-Chloro-4-fluoro-3-methoxy-2-nitroaniline (0.5 g, 2.27 mmol) was dissolved in anhydrous toluene (30 ml) and 60 triethylamine (0.46 g, 4.54 mmol) was added. This solution was slowly added to a stirred solution of triphosgene (0.67 g, 2.27 mmol) in toluene (30 ml) and the solution refluxed for 2 hr. The solution was cooled and filtered. Clear filtrate was evaporated in vacuao to afford the title compound. <sup>1</sup>H 65 NMR (CDCl<sub>3</sub>, 300 MHz) 3.96 (3H, s), 7.38 (1H, d, J=8.8 Hz) ppm.

Preparation of 3-[4-chloro-6-fluoro-3-methoxy-2-nitrophenyl]-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 1-5) from 4-chloro-6-fluoro-3-methoxy-2-nitrophenyl isocyanate

Sodium hydride (0.06 g, 2.27 mmol) was suspended in 10 ml anhydrous dimethylformamide and to this was slowly added a solution of ethyl-3-amino-4,4,4-trifluorocrotonate (0.42 g, 2.27 mmol) in anhydrous toluene (10 ml). The solution was stirred for 15 min. until the evolution of hydrogen gas ceased. The solution was cooled to -30° C. and a solution of 4-chloro-6-fluoro-3-methoxy-2-nitrophenyl isocyanate (2.27 mmol) in anhydrous toluene (10 ml) was slowly added with stirring. The solution was then allowed to warm to room temperature and methyl iodide (1.31 g, 9.1 mmol) was added. After stirring for 4 hr at ambient temperature, water was added and product extracted with ethyl acetate. Column chromatography on silica gel in hexane:methylene chloride (4:6) afforded the title compound (0.13 g).

#### **EXAMPLE 32**

### Preparation of 2-chloro-4-fluoro-5-(phthalimido) phenol

5-Amino-2-chloro-4-fluorophenol (3.0 g, 18.6 mmol) and phthalic anhydride (3.3 g, 22.3 mmol) were dissolved in glacial acetic acid (60 ml) and the solution refluxed for 2 hr. Water was added and the resultant precipitate was separated by filtration. The residue was washed with water and dried to afford the title compound (5.04 g); <sup>1</sup>H NMR (CDCl<sub>3</sub>+CD<sub>3</sub>OD, 300 MHz) 3.68 (1H, s), 6.93 (1H, d, J=6.6 Hz), 7.27 (1H, d, J=9.1 Hz), 7.84 (2H, dd, J=3.0, 5.5 Hz), dd, J=3.0, 5.5 Hz) ppm.

#### **EXAMPLE 33**

## Preparation of 6-chloro-4-fluoro-2-nitro-3 (phthalimido)phenol

2-Chloro-4-fluoro-5-(phthalimido)phenol (5.0 g, 17.1 mmol) was slowly added with stirring to con. nitric acid (50 ml) at -10° C. Solution was then warned to ambient temperature. and allowed to stir for 0.5 hr. Addition to ice-water resulted in a light yellow precipitate which was separated by filtration to afford the title compound (5.5 g); <sup>1</sup>H NMR (CDCl<sub>3</sub>+CD<sub>3</sub>OD, 300 MHz) 4.36 (H, br s), 7.61 (1H, d, J=8.6 Hz), 7.88 (2H, dd, J=3.0, 5.5 Hz), 7.99 (2H, dd, J=3.0, 5.5 Hz) ppm.

#### **EXAMPLE 34**

### Preparation of 4-chloro-2,5-difluoronitrobenzene (XXXVII)

1-Chloro-2,5-difluorobenzene (31.7 g, 0.21 mol) was dissolved in sulfuric acid (110 ml) at -40° C., then a solution of sulfuric acid (20 ml) and nitric acid (30 ml) was added dropwise. The mixture was stirred for 1 hr while temperature slowly raised to 20° C. The product was forced to crystallize by mixing the reaction mixture with ice-water (500 ml), the yellow crystals were filtered, washed with cold water and dried in fume hood overnight. (38.0 g). <sup>1</sup>H NMR (CDCl<sub>3</sub>, 300 MHz) 7.46 (1H, dd, J=9.8, 9.9 Hz), 7.96 (1H, dd, J=7.9, 7.9 Hz) ppm.

#### EXAMPLE 35

### Preparation of 4-chloro-2,5-difluoroaniline (XXXIX)

1-Chloro-2,5-difluoro-4-nitrobenzene (XXXVIII) (17.5 g) was dissolved in acetic acid (150 ml) in a 1L3-neck round

bottom flask equipped with cooling condenser. To it iron powder (35.0 g) was added slowly while the solution was stirred by an overhead stirrer. The reaction was exothermic which occurred in less than 30 min and generated much heat that was absorbed by a cooling bath. After that, ethyl acetate 5 (300 ml) was added and the mixture filtered. The solution was washed with water and dried over sodium sulfate. The product was purified by column chromatography (silica gel, hexane:ethyl acetate, 4:1) (14.3 g). <sup>1</sup>H NMR (CDCl<sub>3</sub>, 300 MHz) 3.89 (2H, br), 6.56 (1H, m), 7.02 (1H, m) ppm.

#### **EXAMPLE 36**

#### Preparation of ethyl 4-chloro-2,5difluorophenylcarbamate (XL)

4-Chloro-2,5-difluoroaniline (XXXIX) (2.1 g, 12.8 mmol) was mixed with pyridine (20 ml) at 0° C., to it was dropwise added ethyl chloroformate (1.5 g, 13.8 mmol). After stirring for 2.5 hr while temperature slowly raised to room temperature, pyridine was evaporated and the residue 20 crystallized in ice-water (100 ml). The crystals were filtered, washed with water and dried in fume hood overnight (2.7 g). <sup>1</sup>H NMR (CDCl<sub>3</sub>, 300 MHz) 1.33 (3H, t, J=7.1 Hz), 4.23 (2H, q, J=7.1 Hz), 6.89 (1H, br), 7.12 (1H, dd, J=6.5, 6.5 Hz), 8.05 (1H, dd, J=7.8, 9.6 Hz) ppm.

#### **EXAMPLE 37**

#### Preparation of ethyl 4-chloro-3,6-difluoro-2nitrophenylcarbamate (XLI)

Ethyl 4-chloro-2,5-difluorophenylcarbnaate (XL) (2.4 g, 10.2 mmol) was added to a mixture of sulfuric acid (12.5 ml) and nitric acid (0.8 ml) at -30° C. After stirring for 1.5 hr (-30° C. to r.t.), it was poured into ice water (50 ml) and 35 yellow crystals formed immediately which were filtered, washed with water and dried in fume hood overnight (2.8 g). <sup>1</sup>H NMR (CDCl<sub>3</sub>, 300 MHz) 1.30 (3H, t, J=7.1 Hz), 4.22 (2H, q, J=7.1 Hz), 6.97 (1H, br), 7.45 (1H, dd, J=6.3, 6.3 Hz) ppm.

#### **EXAMPLE 38**

### Preparation of 4-chloro-3,6difluoro-2-nitroaniline

Ethyl 4-chloro-3,6-difluoro-2-nitrophenylcarbamate (XLI) (0.9 g, 3.2 mmol) was mixed with acetic acid (30 ml) and hydrobromic acid (48%, 25 ml), the mixture was stirred at 150° C. for 4 hr and then the volume reduced to half by evaporation Ethyl acetate (50 ml) was added and the solu- 50 tion was washed with water (15 ml×3) and dried over sodium sulfate. The product was purified by column chromatography (silica gel, hexane) (0.56 g). <sup>1</sup>H NMR (CDCl<sub>3</sub>, 300 MHz) 5.73 (2H, br), 7.24 (1H, dd, J=6.1, 6.1 Hz) ppm.

#### **EXAMPLE 39**

#### Preparation of 3-(4-chloro-5-ethoxycaibonylamino-2-fluorophenyl)-6-trifluoromethyl-2,4(1H, 3H)pyrimidinedione (XLVII)

Ethyl chloroformate (2.58 g) was dropwise added into a solution of 3-(5-amino-4-chloro-2-fluorophenyl)-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (XLVI) in pyridine (25 ml) at 0° C., and stirred at room temperature for one hr. The reaction mixture was diluted with ethyl acetate, 65 washed with 1N hydrochloric acid followed by water, and dried over sodium sulfate. After concentration, the crystals

(5.46 g) were collected by filtration. <sup>1</sup>H NMR (CDCl<sub>3</sub>, 300 MHz) 1.31 (3H, t, J=7.1 Hz), 4.22 (2H, q, J=7.1 Hz), 6.20 (1H, s), 7.14 (1H, br), 7.29 (1H, d, J=8.8 Hz), 7.36 (1H, d, J=6.0 Hz), 8.26 (1H, d, J=6.4 Hz) ppm.

#### **EXAMPLE 40**

Preparation of 3-(4-chloro-3-ethoxycarbonylamino-6-fluoro-2-nitrophenyl)-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 1-33)

3-(4Chloro-5-ethoxycarbonylamino-2-fluorophenyl)-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (XLVII) (1.0 g) was stirred with sulfuric acid (2 ml) at 0° C., then a mixture of nitric acid (1 ml) and sulfuric acid (1 ml) was dropwise added. After stirring at room temperature for 3 hr, it was poured into ice water (50 ml) and yellow crystals formed immediately which was filtered, washed with water and dried in fume hood overnight (0.5 g).

#### **EXAMPLE 41**

Preparation of 3-(4-chloro-3-ethoxycarbonylamino-6-fluoro-2-nitrophenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 1-34)

3-(4-chloro-3-ethoxycarbonylamino6-fluoro-2nitrophenyl)-6-trifluoromethyl-2,4(1H, 3H)pyrimidinedione (0.96 g) was stirred with dimethyl sulfate (0.72 ml) and potassium carbonate (0.33 g) in N,Ndimethylformamide (10 ml) at room temperature overnight. The reaction mixture was diluted with ethyl acetate, washed with water, dried over sodium sulfate, evaporated to give the title compound (1.1 g, oil).

#### **EXAMPLE 42**

Preparation of 3-[4-chloro-6-fluoro3-methyl-2-(2naphthoyl)aminophenyl]-1-methyl-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 2-122)

40 Preparation of 4-chloro-2-fluoro-5-methyl-Nphenoxycarbonylaniline

4-Chloro-2-fluoro-5-methylaniline (5 g, 31.4 mmol) was dissolved in tetrahydrofuran (100 ml) and potassium carbonate (6.0 g, 37.7 mmol) and phenyl chloroformate (5.9 g, 37.7 mmol) were added. Solution was refluxed for 3 hr and the solvent was removed under reduced pressure. Product was purified by column chromatography on silica gel (eluent, methylene chloride:hexane, 6:4; 7.15 g).

Preparation of 4-chloro-2-fluoro-5-methyl-6-nitro-Nphenoxycarbonylaniline

4-Chloro-2-fluoro-5-methyl-N-phenoxycarbonylaniline (7.1 g, 25.4 mmol) was dissolved in chloroform (68 ml) and trifluoroaceticanhydride (13.5 ml) and ammonium nitrate (2.4 g, 30.5 mmol) were slowly added with stirring at 55 ambient temperature. The stirring was continued for 18 hr when a second batch of ammonium nitrate (0.4 g, 5 mmol) was added and stirring continued for 8 hr. Water was added and solution was neutralized by slow addition of sodium bicarbonate solution followed by extraction with chloroform. Organic layer was dried and evaporated under reduced pressure to afford an oily product (8.5 g) which was used for the next step without purification.

Preparation of 3-(4-chloro-6-fluoro-3-methyl-2nitrophenyl)-6-trifluoromethyl-2,4(1H, 3H)pyrimidinedione

Ethyl 3-amino-4,4,4-trifluorocrotonate (6.1 g, 33.1 mmol) was dissolved in dimethylformamide (47 ml) and stirred at -10 ° C. To this solution was slowly added 1,8-diazabicyclo [5.4.0]undec-7-ene (6.3 g, 41.4 mmol) and solution stirred for 0.5 hr. To this solution was slowly added a solution of 4-chloro-2-fluoro-5-methyl-6-nitro-N-

phenoxycarbonylaniline (8.5 g) in dimethylformamide (25 ml) followed by stirring at ambient temperature for 14 hr. Solution was then heated to 80 °C. and stirred at this temperature for 4 hr. Water was added and pH adjusted to 4 by addition of dilute hydrochloric acid. Product was extracted with ethyl acetate followed by evaporation of the solvent to afford the crude product (10.1 g) which was subjected to N-methylation as follows.

Preparation of 3-(4-chloro-6-fluoro-3-methyl-2-nitrophenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-

pyrimidinedione

3-(4-Chloro-6-fluoro-3-methyl-2-nitrophenyl)-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (10.1 g) was
dissolved in dimethylformamide (100 ml) and potassium
carbonate (5.7 g, 41.3 mmol) and dimethylsulfate (11.9 g,
55.1 mmol) were added. Solution was stirred at ambient
temperature for 14 hr, water was added and product 20
extracted with ethyl acetate. The title compound was separated by column chromatography on silica gel (eluent,
hexane-ethyl acetate, 9:1; 8.5 g).

Preparation of 3-(2-amino-4-chloro-6-fluoro-3-methylphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-25

pyrimidinedione

3-(4-Chloro-6-fluoro-3-methyl-2-nitrophenyl)-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (2.0 g, 5.2 mmol) was dissolved in acetic acid (20 ml) and iron powder (1.2 g, 21.5 mmol) was added. Solution was stirred at ambient temperature for 14 hr. Water was added and product extracted with ethyl acetate followed by evaporation under reduced pressure. Title compound was separated by column chromatography on silica gel (eluent, hexane-ethyl acetate, 7:3; 1.5 g).

Preparation of 3-[4-chloro-6-fluoro-3-methyl-2-(2- naphthoyl)aminophenyl]-1-methyl-6-trifluoromethyl-2,4

(1H, 3H)-pyrimidinedione

3-(2-Amino-4-chloro-6-fluoro-3-methylphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (0.5 g, 1.4 mmol) was dissolved in 1,4-dioxane (20 ml) and 40 triethyl amine (0.29 g, 2.9 mmol) and 2-naphthoyl chloride (0.41 g, 2.2 mmol) were added. Solution was heated under reflux for 4 hr and solvent removed under reduced pressure. Product was subjected to column chromatography on silica gel and the title compound was eluted with hexane-ethyl acetate (8:2; 0.3 g).

#### **EXAMPLE 43**

Preparation of N-[4-chloro-6-fluoro-3-methoxy-2-(2-naphthoyl)aminophenyl]phthalimide (Compound no. 13-3)

3-Chloro-5-fluoro-2-methoxy-6-(phthalimido)aniline (0.32 g, 1 mmol), 2-naphthoyl chloride (0.23 g, 1.2 mmol), and triethyl amine (0.12 g, 1.2 mmol) were dissolved in tetrahydrofuran (20 ml) and solution refluxed for 3 hr. Solvent was then removed under reduced pressure and the residue subjected to column chromatography on silica gel. Title compound was eluted with hexane-ethyl acetate (7:3; 0.12 g).

#### **EXAMPLE 44**

Preparation of 3-(2-amino-4-chloro-3-difluoromethoxy-6-fluorophenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 1-38)

3-(2-Amino-4-chloro-6-fluoro-3-hydroxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione

(1.41 g, 4.0 mmol) and potassium carbonate (0.69 g, 5.0 mmol) were suspended in dimethylformamide (50 ml) and stirred at 90° C. Chlorodifluoromethane was bubbled through the solution for 4 hr and water was added. Product was extracted with ethyl acetate and subjected to column chromatography (silica gel; eluent, methylene chloridemethanol, 99.5:0.5) to furnish the title compound (0.78 g).

#### **EXAMPLE 45**

Preparation of 3-[4-chloro-6-fluoro-3-methyl-2-(phenoxycarbonylamino)phenyl]-1-methyl-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 4-52)

3-(4-Chloro-6-fluoro-2-isocyanato-3-methylphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione

3-(2-Amino-4-chloro-6-fluoro-3-methylphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (1.0 g, 2.9 mmol) and triethylamine (0.58 g, 5.7 mmol) were dissolved in ethyl acetate (15 ml) and the solution was slowly added to a solution of triphosgene (0.85 g, 2.9 mmol) in ethyl acetate (15 ml). Solution was heated under reflux for 2 hr and filtered. Solvent was evaporated to afford the title compound as a residue which was used for the next step. 3-(4-Chloro-6-fluoro-3-methyl-2-phenoxycarbonylamino-phenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione

3-(4-Chloro-6-fluoro-2-isocyanato-3-methylphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (1.4 mmol) and triethylamine (0.14 g, 1.4 mmol) were dissolved in toluene (15 ml) and the solution was treated with phenol (0.13 g, 1.4 mmol). Solution was stirred for 0.3 hr at ambient temperature and water was added. Product was extracted with ethyl acetate. Removal of the solvent followed by column chromatography on silica gel (eluent, methylene chloride) afforded the title compound (0.3 g).

#### **EXAMPLE 46**

Preparation of 3-[4-chloro-6-fluoro-3-hydroxy-2-(2-naphthoylamino)phenyl]-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 2-114)

3-(2-Amino-4-chloro-6-fluoro-3-hydroxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (0.50 g, 1.4 mmol) and 2-naphthoyl chloride (0.27 g, 1.4 mmol) were dissolved in 1,4-dioxane (10 ml) and the solution heated under reflux for 4 hr. Solvent was evaporated under reduced pressure and the product purified by column chromatography on silica gel (eluent, hexan-ethyl acetate, 8:2) to furnish the title compound (0.60 g).

#### **EXAMPLE 47**

Preparation of 3-[4-chloro-3-difluoromethoxy-6-fluoro-2-(2-naphthoylamino)phenyl]-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 2-115)

3-[4-Chloro-6-fluoro-3-hydroxy-2-(2-naphthoylamino) phenyl]-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (0.51 g, 1.0 mmol) dissolved in dimethylformamide (5 ml) was slowly added to a stirred suspension of sodium hydride (0.03 g, 1.3 mmol) in dimethylformamide (5 ml) at -10° C. Chlorodifluoromethane was bubbled through the solution for 0.5 hr with stirring at -10° C. followed by addition of water. Product was extracted with

ethyl acetate and solvent evaporated under reduced pressure. Residue was subjected of column chromatography on silica gel (eluent, hexane-ether, 25:75) to furnish the title compound (0.03 g).

#### **EXAMPLE 48**

Preparation of 3-[4-chloro-2-(2-naphthoylamino)phenyl]-1methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione

(Compound no. 2-131)

To a solution of triphosgene in anhydrous ethyl acetate (150 ml) was added dropwise a solution of 4-chloro-2- 10 nitroaniline (10 g) and triethylamine (12 g) in anhydrous ethyl acetate (50 ml) at 0° C. under nitrogen atmosphere. After addition, the resulting mixture was heated at reflux temperature for 1 hr, then allowed to cool to ambient temperature. The precipitate was removed by filtration 15 through Celite and the filtrate was concentrated to give title compound as an brown solid.

To a suspension of sodium hydride (60% dispersion in oil, 2.5 g) in anhydrous N,N-dimethylformamide (100 ml) was added dropwise a solution of ethyl-3-amino-4,4,4- 20 trifluorocrotonate in toluene (50 ml) at 0° C. under nitrogen atmosphere. After addition, the mixture was stirred for 20 min at same temperature, then cooled to -30° C. A solution of (4-chloro-2-nitrophenyl)isocyanate in toluene (50 ml) was added dropwise. After stirring for 20 min, the cold bath 25 was removed and the resulting mixture was stirred overnight at ambient temperature. The reaction mixture was partitioned between ethyl acetate and 1N-hydrochloric acid. The organic phase was washed with brine (x2) and dried over anhydrous sodium sulfate. The solvent was removed in 30 vacuo and the residue was purified by column chromatography on silica gel eluted with ethyl acetate and hexane (1:1) to afford 3-(4-chloro-2-nitrophenyl)-6-trifluoromethyl-2,4 (1H, 3H)-pyrimidinedione (10.2 g) as a yellow solid. Preparation of 3-(4-chloro-2-nitrophenyl)-1-methyl-6- 35 trifluoromethyl-2,4(1H, 3H)-pyrimidinedione

A mixture of 3-(4-chloro-2-nitrophenyl)-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (3 g), dimethyl sulfate (1.7 g) and potassium carbonate (1.85 g) in N,N-dimethylformamide (100 ml) was stirred at 55° C. 40 overnight. The resulting mixture was allowed to cool to ambient temperature and filtered through Celite to remove unsoluble precipitate. The filtrate was diluted with a mixed solvent of ethyl acetate and hexane (1:1, 200 ml), washed with brine (x2) and dried over anhydrous sodium sulfate. 45 After removal of the solvent, the residue was solidified. The yellow solid was recrystallized from ethyl acetate and hexane to give desired compound (2.3 g).

Preparation of 3-(2-amino-4-chlorophenyl)-1-methyl-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione

To a stirred suspension of 3-(4-choro-2-nitrophenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (1 g) in methanol (20 ml) and conc. hydrochloric acid (10 ml) was added iron (powdered, 0.48 g) unded vigorous stirring. for 1 hr. The oil bath was removed and the solution was allowed to cool to ambient temperature. Ethyl acetate (200 ml) was added, washed with brine (x2) and dried over anhydrous sodium sulfate. After removal of the solvent, the residue was purified by column chromatography on silica 60 gel using ethyl acetate-hexane (1:3) as the eluent to give the title compound.

Preparation of 3-[4-chloro-2-(2-naphthoylamino)phenyl]-1methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 2-131)

A solution of 3-(2-amino-4-chlorophenyl)-1-methyl-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (0.4 g), 2-naphthoyl chloride (0.29 g) and triethyl amine (0.19 g) in anhydrous tetrahydro furan (30 ml) was heated at reflux temperature overnight under nitrogen atmosphere.

The reaction mixture was diluted with ethyl acetate (200 ml), washed with brine (x2) and dried over anhydrous sodium sulfate. The solvent was removed unded reduced pressure and the residue was purified by column chromatography on silica gel using ethyl acetate and hexane (1:3) as the eluent give a pale yellow solid. The solid was recrystallized from ethyl acetate-hexane to give the title compound as a white crystal (0.42 g).

#### **EXAMPLE 49**

Preparation of 3-[4-chloro-6-fluoro-2-(2naphthoylamino)phenyl]-1-methyl-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 2-145)

A mixture of (2-amino-4-chloro-6-fluorophenyl)-1methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (0.25 g), triethylamine (0.15 g) and 2-naphthoyl chloride (0.21 g) in anhydrous tetrahydrofuran (30 ml) was heated at refluxtemperature overnight under nitrogen atmosphere. The mixture was poured into water and extracted with ethyl acetate. The organic layer was washed with brine and dried over anhydrous sodium acetate. The solvent was removed in vecuo and the residue was purified by column chromatography on silica gel using ethyl acetate-hexane (1:4) as the eluent to give the title compound as an white solid (0.26 g).

#### **EXAMPLE 50**

Preparation of N-[4-chloro-2-(2-naphthoylamino) phenyl]phthalimide (Compound no. 13-5)

A reaction solution of N-(2-amino-4-chlorophenyl) phthalimide (0.5 g), triethylamine (0.28 g) and 2-naphthoyl chloride (0.35 g) in anhydrous tetrahydrofuran (50 ml) was heated at reflux temperature for 6 hr under nitrogen atmosphere. The resulting mixture was poured into water and extracted with ethyl acetate. The organic layer was washed with brine (x2) and dried over anhydrous sodium sulfate. The solvent was removed and the residue was purified by column chromatography on silica gel using ethyl acetatehexane (1:5) to give the title compound (0.35 g) as a yellow solid.

#### **EXAMPLE 51**

Preparation of 3-(2-benzylthioacetylamino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 2-165)

A solution of benzylmercaptan (51.0 mg) in tetrahydro-After addition, the mixture was heated at reflux temperature 55 furan (1.0 ml) was slowly added to a suspension of sodium hydride (16.4 mg) in tetrahydrofuran stirred under nitrogen at 0° C. The solution warmed to room temperature over 20 minutes and tetrabutylammonium bromide (11 mg) was added. The suspension was cooled to -78° C. and a solution of 3-(2-chloroacetylamino-4-chloro-6-fluoro-3methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)pyrimidinedione (150 mg) added. After stirring for a further 30 minutes the mixture was allowed to warm to room temperature overnight. Water and ethyl acetate were added and the solution separated and the organic phase was washed with water, brine and dried over sodium sulfate. The solution was concentrated and chromatographed on silica gel eluting

with methylene chloride: ethyl acetate, 10:1, to give a white solid (137 mg).

#### **EXAMPLE 52**

Preparation of 3-(2-aminocarbonylamino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 3-26)

A solution of the isocyanate (1 mM) in dioxane (20 ml), stirred at 0° C., was treated with a solution of 0.5 M ammonia in dioxane (3 mM) and 1,8-diazabicyclo[5.4.0] undec-7-ene (DBU) (3 drops). The solution was allowed to warm to room temperature and stirred overnight. Chromatography on silica gel eluting with ethyl acetate gave the product as a yellow solid (271 mg).

#### **EXAMPLE 53**

Preparation of 3-(4-chloro-6-fluoro-3-methoxy-2thiomethylphenyl)l-methyl-6-trifluoromethyl-2,4 (1H, 3H)-pyrimidinedione (Compound no. 17-1)

A solution of t-butylnitrile (73 mg) in methylene chloride 20 (1 ml) was added to a stirred, ice cold solution of 3-(2amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (200 mg) and methyl disulfide (102 mg) in dry methylene chloride (4 ml). It was stirred at 0° C. for 1.5 h and allowed to warm to room 25 temperature overnight. 1 N Hydrochloric acid was added and the mixture extracted with ethyl acetate, washed with water, brine and dried over sodium sulfate. The solution was concentrated under reduced pressure and the residue chromatographed on silica gel eluting with ethyl acetate: hexane, 30 5:1 gave the product as a yellow powder (189 mg).

Preparation of 2-(4-chloro-6-fluoro-3-hydroxy-2nitrophenyl)-5-trifluoromethylpyridazin-3-one (Compound no. 11-2)

Nitric acid (70%, 12 ml) was added to the ice-cooled 2-(4-chloro-2-fluoro-5-hydroxyphenyl)-5trifluoromethylpyridazin-3-one (1.25 g) and stirred at room temperature for 30 minutes. Crushed ice was added. The precipitate was collected by filtration and washed with water to give 1.20 g of the desired product, m.p. 146-8° C.

#### **EXAMPLE 55**

Preparation of 2-(2-amino-4-chloro-6-fluoro-3hydroxyphenyl)-5-trifluoromethylpyridazin-3-one (Compound no. 11-3)

To a stirred solution of 2-(4-chloro-6-fluoro-3-hydroxy-2-nitrophenyl)-5-trifluoromethylpyridazin-3-one (0.601 g) in acetic acid (6 ml) was added 0.38 g of iron powder at 50 ambient temperature and stirred for 4 hours. The reaction mixture was partitioned between ethyl acetate and water. The organic phase was dried over anhydrous sodium sulfate. After removing the solvent under reduced pressure, the residue was purified by silica gel column chromatography, 55 eluted with hexane-ethyl acetate (2:1) to give 0.515 g of the title compound.

#### **EXAMPLE 56**

Preparation of 2-(2-amino-4-chloro-6-fluoro-3methoxyphenyl)-5-trifluoromethylpyridazin-3-one (Compound no. 11-4) (BY715) and 2-(4-chloro-6fluoro-3-methoxy-2-methylamninophenyl)-5trifluoromethylpyridazin-3-one (Compound no. 11-5)

2-(2-Amino-4-chloro-6-fluoro-3-methoxyphenyl-5trifluoromethylpyridazin-3-one (0.515 g), methyl iodide

(0.248 g), and potassium carbonate (0.219 g) were mixed in acetonitrile (10 ml) and heated at reflux for 2 hours. The reaction mixture was partitioned between ethyl acetate and water. The organic phase was dried over anhydrous sodium sulfate. After removing the solvent under reduced pressure, the residue was purified by silica gel column chromatography, eluted with hexane-ethyl acetate (4:1) to give 0.40 g of 2-(2-amino-4-chloro-6-fluoro-3-methoxyphenyl)-5-trifluoromethylpyridazin-3-one (Compound no. 11-4), m.p. 156-7° C. and 2-(4-chloro-6fluoro-3-methoxy-2-methylaminophenyl)-5trifluoromethylpyrdazin-3-one (Compound no. 11-5)(7 mg).

#### **EXAMPLE 57**

Preparation of 2-(4-chloro-6-fluoro-3-methoxy-2naphthoylamidophenyl)-5-trifluoromethylpyridazin-3-one (Compound no. 11-6)

2-(2-Amino-4-chloro-6-fluoro-3-methoxyphenyl)-5trifluoromethylpyridazin-3-one (0.153 g) and 2-naphthoyl chloride (0.097 g) were mixed in dioxane (10 ml) and heated at reflux for 5 hours. The reaction mixture was partitioned between ethyl acetate and water. The organic phase was dried over anhydrous sodium sulfate. After removing the solvent under reduced pressure, the residue was purified by silica gel column chromatography, eluted with hexane-ethyl acetate (4:1) to give 0.198 g of the title compound, m.p. 190-2° C.

#### **EXAMPLE 58**

Preparation of 2-(2,4-dichloro-6-fluoro-3methoxyphenyl)-5-trifluoromethylpyridazin-3-one (Compound no. 11-7) and 2-[4-chloro-2-(2-chloro-2-ethoxycarbonylethyl)-6-fluoro-3-methoxyphenyl]-5-trifluoromethylpyridazin-3-one (Compound no. 11-8)

Copper(II) chloride (0.119 g), t-butyl nitrite (0.115 g), and ethyl acrylate (3 ml) were placed in a flask, and cooled with a dry ice-acetone bath at -65° C. To this mixture 2-(2amino-4-chloro-6-fluoro-3-methoxyphenyl)-5trifluoromethylpyridazin-3-one (0.25 g) in acetonitrile (4 ml) was added and stirred. The reaction mixture was gradu-45 ally warmed up to room temperature over night. The reaction mixture was partitioned between ethyl acetate and water. The organic phase was dried over anhydrous sodium sulfate. After removing the solvent under reduced pressure, the residue was purified by silica gel column chromatography, eluted with hexane-ethyl acetate (9:1) to give 0.077 g of 2-(2,4-dichloro-6-fluoro-3-methoxyphenyl)-5-trifluoromethylpyridazin-3-one and 0.033 g of 2-[4chloro-2-(2-chloro-2-ethoxycarbonylethyl)-6-fluoro-3methoxyphenyl]-5-trifluoromethylpyridazin-3-one.

#### **EXAMPLE 59**

Preparation of 2-(2,4-chloro-6-fluoro-3-hydroxy-2naphthoylamidophenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 2-194)

Boron tribromide-emthyl sulfide complex (5.15 g) was added to a solution of 2-(4-chloro-6-fluoro-3-methoxy-2naphthoylamidophenyl)-1-methyl-6-trifluoromethyl-2,4 65 (1H, 3H)-pyrimidinedione in 1,2-dichloroethane (150 ml) and heated at reflux for 1 hour. The reaction mixture was partitioned between methylene chloride and water. The

organic phase was dried over anhydrous sodium sulfate. After removing the solvent under reduced pressure, the residue was purified by silica gel column chromatography, eluted with hexane-ethyl acetate (4:1 and 2:1) to give the title compound (4.127 g), m.p. 150-2° C.

#### **EXAMPLE 60**

Preparation of 2-(4-chloro-3-ethoxy-6-fluoro-2naphthoylamidophenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 2-196)

2-(4-Chloro-6-fluoro-3-hydroxy-2-naphthoylamidophenyl)-1-methyl-6-trifluoromethyl-2,4 (1H, 3H)-pyrimidinedione (0.203 g), ethyl iodide (75 mg) and potassium carbonate (55 mg) were stirred in methylethyl ketone (9 ml) and dimethyl sulfoxide (1 ml) at room temperature over night. The reaction mixture was filtered and evaporated under reduced pressure. The residue was purified by silica gel column chromatography, eluted with 20 hexane-ethyl acetate (4:1) to give the title compound (0.16 or).

#### **EXAMPLE 61**

Preparation of 3-[4-chloro-2-diazanyl-6-fluoro-3-methoxyphenyl]-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 15-1)

3-(2-Amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (0.9 g, 2.4 mmol) was dissolved in conc. hydrochloric acid (5 ml) and the mixture cooled to -15° C., a solution of NaNO<sub>2</sub> (0.2 g in 2 ml of H<sub>2</sub>O) was added slowly. After stirred for 20 min, a solution of SnCl<sub>2</sub>·2H<sub>2</sub>O (1.5 g in 4 ml of conc. hydrochloric acid) was added and the reaction continued at -15° 35 C. for 30 min, then at room temperature for 30 min. The aqueous mixture was extracted with ethyl acetate (5 mlx3) and the organic phase washed with brine and dried over Na<sub>2</sub>SO<sub>4</sub>. Column chromatography was used to purify the product (silica gel, hexane/ethyl acetate=6/4). Yield: 0.5 g, 40 1.3 mmol.

#### **EXAMPLE 62**

Preparation of 3-[4-chloro-2-(2-cycopropanecarbonyldiazanyl)-6-fluoro-3-methoxyphenyl]-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 15-2)

3-[4-chloro-2-diazanyl-6-fluoro-3-methoxyphenyl]-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione 50 (0.15 g, 0.4 mmol) was dissolved in dioxane (10 ml) and added with cyclopropanecarbonyl chloride (0.04 g, 0.4 mmol) and tiethylamine (0.04 g, 0.4 mmol). After stirred for 1 hr, the mixture was poured into water (15 ml) and extracted with ethyl acetate (10 ml×3). Organic phase was 55 washed with brine and dried over Na2SO4. Final purification involved column chromatography (silica gel, ether). Yield: 0.15 g, 0.34 mmol.

#### **EXAMPLE 63**

Preparation of 3-{4-chloro-2-[2,2-cyclopropylmethylene)diazanyl]-6-fluoro-3-methoxyphenyl}-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 15-11)

3-[4-chloro-2-diazanyl-6-fluoro-3-methoxyphenyl]-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione

(0.12 g, 0.31 mmol) was added to a methanol (10 ml) solution of cyclopropanecarboxaldehyde (0.024 g, 0.34 mmol) and the mixture was stirred for 3 hr. After evaporation of solvent, the residue was purified by column chromatography (silica gel, hexane/ether=3/2). Yield: 0.13 g, 0.31 mmol.

#### **EXAMPLE 64**

Preparation of 3-(4-chloro-6-fluoro-2-hydroxy-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compound no. 16-6)

An acetonitrile (10 ml) solution of copper (II) sulfate (0.52 g, 3.26 mmol), copper (I) oxide (0.47 g, 3.26 mmol) and copper (II) nitrate hemipentahydrate (0.76 g, 3.26 mmol) was stirred at -30° C., and added with tert-butyl nitrite (0.41 g, 3.97 mmol) and then an acetonitrile (3 ml) solution of 3-(2-amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (0.94 g, 2.56 mmol). After stirred for 16 hr (-30° C. to room temperature), the mixture was poured into cold 5% hydrochloric acid (30 ml) and then extracted with ethyl acetate (20 ml×3). The organic phase was washed with brine and dried over Na<sub>2</sub>SO<sub>4</sub>. Preparative TLC was used for purification (silica gel plates, 2000 microns, ether). Yield: 0.16 g, 0.44 mmol.

#### **EXAMPLE 65**

Preparation of 3-[4-chloro-6fluoro-3-methoxy-2-(2-naphthoyloxy)phenyl]-1-methyl-6-trifluoromethyl-2, 4(1H, 3H)-pyrimidinedione (Compound no. 16-7)

3-(4-chloro-6-fluoro-2-hydroxy-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (0.10 g, 0.27 mmol) was dissolved in dioxane (10 ml) and the solution added with 2-naphthoyl chloride (0.062 g, 0.33 mmol), triethylamine (0.033 g, 0.33 mmol). After stirred for 2 hr, solvent was evaporated and the residue purified by column chromatography (silica gel, hexane/ether=4/1). Yield: 0.12 g, 0.23 mmol.

#### **EXAMPLE 66**

Preparation of 3-{4-chloro-2-[2-chloro-2-(ethoxycarbonyl)ethyl]-6-fluoro-3-methoxyphenyl}-1-methyl-6-trifluoromethyl-2,4(1H, 3H)-pyrimidinedione (Compounds no. 14-4 and 14-5)

A solution of 3-(2-amino-4-chloro-6-fluoro-3-methoxyphenyl)-1-methyl-6-trifluoromethyl-2,4(1H, 3H)pyrimidinedione (0.94 g, 2.56 mmol) in acetonitrile (3 ml) was slowly added to an acetonitrile (9 ml) solution of ethyl acrylate (6 ml), tert-butyl nitrite (0.41 g, 3.97 mmol), and copper (II) chloride (0.42 g, 3.12 mmol) at -20° C. After stirred for 16 hr (-20° C. to room temperature), the mixture was poured into cold 5% hydrochloric acid (30 ml) and extracted with ethyl acetate (20 ml ×3), the organic phase was washed with cold 5% NaHCO<sub>3</sub> and brine, dried over Na<sub>2</sub>SO<sub>4</sub>. Column chromatography was used for purification (silica gel, hexane/ether=9/1) which also isolated two isomers. Yield: isomer-1 (eluted earlier), 0.23 g, 0.47 mmol; isomer-2 (eluted later), 0.14 g, 0.29 mmol.

Using the procedures as described in Schemes 1-17 and 65 Examples 1-66, the compounds of this invention can be readily prepared. Tables I-XVII list structures for few representative compounds of this invention.

TABLE I

1-60

1-61

Cl

CI

F

OCH(CH<sub>3</sub>)

COOCH2CH3

OCH<sub>2</sub>CH<sub>3</sub>

Н

н

CH<sub>3</sub>

CF<sub>3</sub>

Н

н

Н O О

Н o 0

TABLE I-continued

No.	x	Y	w	$R_1$	$R_2$	R <sub>3</sub>	$R_4$	R <sub>5</sub>	R <sub>8</sub>	Ro
1-62	Cl	F	OCH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> CH <sub>3</sub>	н	0	<u> </u>
1-63	CI	F	OCH(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	H	H	0	0
1-64	CI	F	OCH(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	CH(CH <sub>3</sub> ) <sub>2</sub>	H	0	0
1-65	CI	H	H	H	CF <sub>3</sub>	NO <sub>2</sub>	0	0	0	0
1-66	C1	H	OH	CH <sub>3</sub>	CF <sub>3</sub>	H	0	0	0	0
1-67	CI	NO <sub>2</sub>	OH	CH <sub>3</sub>	CF <sub>3</sub>	H	0	0	0	0
1-68	OCF <sub>3</sub>	H	H	CH <sub>3</sub>	CF <sub>3</sub>	H	0	0	0	0
1-69	a	NO <sub>2</sub>	OCH <sub>3</sub>	н	CF <sub>3</sub>	H	0	0	О	0
1-70	CI	F	F	Н	CF <sub>3</sub>	H	0	0	0	О
1-71	Cl	H	ОН	CH,	CF <sub>3</sub>	Н	H	H	0	0
1-72	Cl	H	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	H	н	0	0
1-73	OCF <sub>3</sub>	H	н	CH <sub>3</sub>	CF <sub>3</sub>	Н	H	H	О	О

TABLE II

No.	x	Y	w	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>s</sub>	Re	Ro
2-1	CI	F	OCH <sub>3</sub>	CH,	CF <sub>3</sub>	н	COCH <sub>3</sub>	H	0	0
2-2	Cl	F	OCH <sub>3</sub>	CH,	CF,	н	COCH	COCH <sub>4</sub>	0	0
2-3	Cl	F	OCH <sub>3</sub>	CH,	CF <sub>3</sub>	н	CO-t-C <sub>4</sub> H <sub>o</sub>	н	0	0
2-4	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	acryloyl	acryloyl	0	0
2-5	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	methacryloyl	н	0	0
2-6	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	methacryloyl	methacryloyl	0	0
2-7	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	3,3-dimethylacryloyl	H	0	0
2-8	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	3,3-dimethylacryloyl	3,3-	0	0
			_		-			dimethylacryloyl		
2-9	Cl	F	OCH <sub>3</sub>	H	CF <sub>3</sub>	H	COCF <sub>3</sub>	H	0	0
2-10	а	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	H	COCF <sub>3</sub>	Н	0	0
2-11	Cl	F	OCH <sub>2</sub> CN	CH <sub>3</sub>	CF,	Н	COCF <sub>3</sub>	H	0	0
2-12	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	NHCO	COCF <sub>3</sub>	H	0	0
						CF <sub>3</sub>				
2-13	а	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COCH <sub>2</sub> Cl	Н	0	О
2-14	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COCH <sub>2</sub> CN	Н	0	0
2-15	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	сосоосн,	Н	0	0
2-16	CI	F	OCOCH <sub>2</sub> CO OCH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COCH <sub>2</sub> COOCH <sub>2</sub> CH <sub>3</sub>	н	0	0
2-17	CI	F	OCH <sub>3</sub>	СН	CF,	H	c-C <sub>3</sub> H <sub>5</sub> -carbonyl	н	0	0
2-18	ä	F	OCH,	CH,	CF,	H	c-C <sub>3</sub> H <sub>3</sub> -carbonyl	c-C <sub>3</sub> H <sub>5</sub> —	ō	ō
		_	·,	3	3		33	carbonyl	_	-
2-19	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	cyclohexanoyl	H	0	0
2-20	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	cyclohexanoyl	cyclohexanoyl	0	О
2-21	а	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H	SO₂CH	SO₂CH₃	0	0
	Cl	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H	so₂ch,	H	0	О
2-22	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	benzoyl	H	0	О
2-23	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	3-CH <sub>3</sub> -benzoyl	н	0	0
2-24	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	4-CH <sub>3</sub> -benzoyl	H	0	0
2-25	CI	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H	4-CH <sub>3</sub> -benzoyl	4-CH <sub>3</sub> -benzoyl	0	0
2-26	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	4-CH <sub>3</sub> -	H	О	О
							benzene sulfonyl			

TABLE II-continued

$$X$$
 $Y$ 
 $R_{4}$ 
 $R_{5}$ 
 $R_{5}$ 
 $R_{6}$ 
 $R_{7}$ 
 $R_{1}$ 

							К3			
No.	х	Y	w ·	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>s</sub>	Re	R <sub>o</sub>
2-27	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	4-C <sub>2</sub> H <sub>5</sub> -benzoyl	н	0	O
2-28 2-29	a a	F F	OCH₂CN	CH <sub>3</sub>	CF <sub>3</sub>	H H	4-C <sub>2</sub> H <sub>5</sub> -benzoyl	H	0	0
2-29	a	r	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	п	4-n-C <sub>3</sub> H <sub>7</sub> -benzoyl	4-n-C₃H <sub>7</sub> - benzoyl	0	0
2-30	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	4-t-C <sub>4</sub> H <sub>9</sub> -benzoyl	Н	0	0
2-31	CI	F	OCH,	CH,	CF <sub>3</sub>	H	4-vinylbenzoyl	H	0	0
2-32 2-33	a a	F F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H H	3,4-(CH <sub>3</sub> ) <sub>2</sub> -benzoyl 4-CF <sub>3</sub> -benzoyl	H H	0	0
2-34	ä	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	4-CF <sub>3</sub> -benzoyl	4-CF <sub>3</sub> -benzoyl	ŏ	ŏ
2-35	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	3,5-(CF <sub>3</sub> ) <sub>2</sub> -benzoyl	3,5-(CF <sub>3</sub> ) <sub>2</sub> —	ō	ŏ
2-36	а	F	OCH,	CT.	OF.	**	A CIT CI be seed	benzoyl	_	_
2-30	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H H	4-CH <sub>2</sub> Cl-benzoyl 4-C <sub>6</sub> H <sub>5</sub> -benzoyl	H H	0	0
2-38	a	F	OCH <sub>3</sub>	CH,	CF,	н	4-C <sub>6</sub> H <sub>5</sub> -benzoyl	4-C <sub>6</sub> H <sub>5</sub> -benzoyl	ŏ	ŏ
2-39	CI	F	OCH,	CH <sub>3</sub>	CF,	H	2-F-benzoyl	H	0	0
2-40 2-41	CI CI	F F	осн <sub>з</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H H	4-F-benzoyl	H	0	0
2-42	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2,3-F <sub>2</sub> -benzoyl 2,4-F <sub>2</sub> -benzoyl	H H	0	0
2-43	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	н	2,4-F <sub>2</sub> -benzoyl	2,4-F <sub>2</sub> -benzoyl	ŏ	ŏ
2-44	CI	F	OCH <sub>3</sub>	NH <sub>2</sub>	CF <sub>3</sub>	H	2,4-F <sub>2</sub> -benzoyl	Н	0	0
2-45 2-46	С1 С1	F F	OCH <sub>2</sub> CN OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H H	2,4-F <sub>2</sub> -benzoyl 2,4-F <sub>2</sub> -thiobenzoyl	2,4-F <sub>2</sub> -benzoyl H	0	O S
2-47	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2,6-F <sub>2</sub> -benzoyl	H	ŏ	Ö
2-48	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	3,4-F <sub>2</sub> -benzoyl	H	0	0
2-49 2-50	CI CI	F F	OCH,	CH,	CF,	H	3,4-F <sub>2</sub> -benzoyl	3,4-F <sub>2</sub> -benzoyl	0	0
2-50	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H H	3,5-F <sub>2</sub> -benzoyl 3,5-F <sub>2</sub> -benzoyl	H 3,5-F <sub>2</sub> -benzoyl	0	0
2-52	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2,3,4,5,6-F <sub>5</sub> -benzoyl	н Н	ŏ	ŏ
2-53	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	2-Cl-benzoyl	H	О	0
2-54 2-55	CI CI	F F	OCH <sup>3</sup>	CH <sub>3</sub>	CF <sub>3</sub>	H H	3-Cl-benzoyl	H 3 Cl barrard	0	0
2-56	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	3-Cl-benzoyl 4-Cl-benzoyl	3-Cl-benzoyl H	0	ö
2-57	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	H	4-Cl-benzoyl	4-Cl-benzoyl	ŏ	ŏ
2-58	C1 C1	F F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H	2,4-Cl <sub>2</sub> -benzoyl	H	0	0
2-59 2-60	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H H	3,4-Cl <sub>z</sub> -benzoyl 3-Br-benzoyl	H 3-Br-benzoyl	0	0
2-61	ã	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H	4-Br-benzoyl	H	ŏ	ŏ
2-62	a	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	н	4-Br-benzoyl	4-Br-benzoyl	0	0
2-63 2-64	a a	F F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H H	4-OCH <sub>3</sub> -benzoyl 4 (OC <sub>2</sub> H <sub>5</sub> )-benzoyl	H H	0	0
2-65	ä	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	$4-(OC_2H_5)$ -benzoyl	4-(OC <sub>2</sub> H <sub>5</sub> )-	ŏ	ö
		•		-	-			benzoyl		
2-66 2-67	a a	F F	OCH,	CH <sub>3</sub>	CF,	H H	4-I-benzoyi	H	0	0
2-68	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	H	4-CN-benzoyl 4-N(CH <sub>3</sub> ) <sub>2</sub> -benzoyl	H H	0	0
2-69	Cl	F	осн,	CH <sub>3</sub>	CF <sub>3</sub>	н	4-NO <sub>2</sub> -benzoyl	4-NO <sub>2</sub> -benzoyl	ŏ	ŏ
2-70	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	H	3,5-(NO <sub>2</sub> ) <sub>2</sub> -benzoyl	Н	0	0
2-71	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	4-OCF <sub>3</sub> -benzoyl	4-OCF <sub>3</sub> - benzoyl	0	0
2-72	Ci	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	4-OCF <sub>3</sub> -benzoyl	H	О	0
2-73	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	piperonyloyl	Н	0	0
2-74 2-75	СI СI	F F	OCH,	CH,	CF <sub>3</sub>	H H	1-naphthoyl	н	0	0
2-75	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	2-naphthoyl 2-naphthoyl	H H	0	0
2-77	Cl	F	OCH,	NH <sub>2</sub>	CF <sub>3</sub>	H	2-naphthoyl	H	ŏ	ŏ
2-78	a	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	н	cinnamoyl	Н	0	0
2-79 2-80	CI	F F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H H	2,4-F <sub>2</sub> -cinnamoyl 2-methylcinnamoyl	H H	0	0
2-81	ci	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	α-methylcinnamoyl	H	ő	ö
2-82	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	2-chlorocinnamoyl	H	0	0
2-83	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	H	2-chlorocinnamoyl	2-	0	0
2-84	CI	F	осн,	СН,	CF <sub>3</sub>	н	4-chlorocinnamoyl	chlorocinnamoyl H	0	0
2-85	a	F	OCH,	CH <sub>3</sub>	CF,	н	4-methoxycinna-moyl	H	Ö	ö
	-		3	3	- 3				_	_

#### TABLE II-continued

$$R_4$$
  $R_5$   $R_5$   $R_6$   $R_2$   $R_2$ 

No.	х	Y	w	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	$R_{\mathbf{g}}$	R <sub>9</sub>
2-86	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	3-phenylpropionyl	н	0	0
2-87	Cl	F	OCH₂ČN	CH <sub>3</sub>	CF <sub>3</sub>	н	3-phenylpropionyl	H	0	Ō
2-88	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	H	4-phenylbutyryl	H	0	0
2-89	Cl	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	Н	COCH2OCH2C6H5	H	0	0
2-90	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2-furoyl	H	0	0
2-91	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	3-CH <sub>3</sub> -2-furoyl	H	0	0
2-92	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	furylacryloyl	H	0	0
2-93	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COCH <sub>2</sub> - (2-thiophene)	Н	0	0
2-94	Cl	F	ОН	CH <sub>3</sub>	CF,	H	3-CH <sub>3</sub> -2-thiophenoyl	H	0	0
2-95	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	5-CH <sub>3</sub> -2-thiophenoyl	H	0	0
2-96	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	5-CH <sub>3</sub> -2-thiophenoyl	5-CH <sub>3</sub> -2- thiophenoyl	0	0
2-97	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	thiophene-2-carbonyl	thiophene-2 carbonyl	0	0
2-98	Cl	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H	3-pyridoyl	н	0	0
2-99	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	Н	4-pyridoyl	H	0	0
2-100	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	2-Cl-5-pyridoyl	2-Cl-5- pyridoyl	0	0
2-101	Cl	F	ОН	CH <sub>3</sub>	CF,	н	3-NO <sub>2</sub> -2-pyridoyl	Н	0	О
2-102	CI	F	ОН	CH <sub>3</sub>	CF <sub>3</sub>	H	2-pyrimidoyl	H	0	Ō
2-103	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	Н	benzothiophene-2- carbonyl	Н	0	0
2-104	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	2-quinoyl	H	0	0
2-105	Ci	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2-quinoxaloyl	H	ŏ	ŏ
2-106	Br	F	OCH,	CH,	CF,	н	2,4-F <sub>2</sub> -benzoyl	H	ŏ	ŏ
2-107	Cl	F	OCH,	CH <sub>3</sub>	CHF,	H	2,4-F <sub>2</sub> -benzoyl	H	ō	ō
2-108	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Cl	2,4-F <sub>2</sub> -benzoyl	H	Ō	ō
2-109	CI	F	OCH <sub>3</sub>	CH,	CF <sub>3</sub>	H	2,4-F <sub>2</sub> -benzoyl	H	S	0
2-110	CI	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H	2,4-F <sub>2</sub> -benzoyl	Н	0	S
2-111	CN	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	2,4-F <sub>2</sub> -benzoyl	H	0	0
2-112	CI	H	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2,4-F <sub>2</sub> -benzoyl	H	0	0
2-113 2-114	CI CI	F F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H H	3-(2-thienyl)acryloyl	H	0	0
2-114	CI	F	OH OCHF <sub>2</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	H H	0	0
2-116	CI CI	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl COCH <sub>2</sub> OCOCH <sub>3</sub>	COCH <sub>2</sub> OCOCH <sub>3</sub>	ŏ	ŏ
2-117	CI	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	Ĥ	COCH,OCOCH,	H	ŏ	ŏ
2-118	ci	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H	COCOOCH,CH,	H	ŏ	ŏ
2-119	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	COCH2OC6H5	H	ō	ō
2-120	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COCH <sub>2</sub> OC <sub>6</sub> H <sub>5</sub>	COCH2OC6H5	ŏ	ŏ
2-121	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COCOC <sub>6</sub> H <sub>5</sub>	Ĥ	0	0
2-122	CI	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	H	0	0
2-123	Cl	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	cinnamoyl	H	0	0
2-124	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	CO-2,6- dimethylphenyl	Н	0	0
2-125	Cl	F	OCH,	СН,	CF <sub>3</sub>	н	2-F-cinnamovl	н	0	0
2-126	CI	F	OCH <sub>3</sub>	CH,	CF,	H	2-nitro-cinnamoyl	H	ŏ	ŏ
2-127	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	2-methoxy-cinnamoyl	Н	0	0
2-128	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	2-dichloro-cinnamoyl	H	0	0
2-129	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COCH <sub>2</sub> CH <sub>2</sub> -2- methylphenyl	H	0	0
2-130	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COCH <sub>2</sub> CH <sub>2</sub> -2,5-	н	О	0
2-131	Cl	Н	н	CH <sub>3</sub>	CF <sub>3</sub>	н	dimethylphenyl 2-naphthoyl	н	0	0
2-132	Ci	F	осн,	CH <sub>3</sub>	CF <sub>3</sub>	H	COCH <sub>2</sub> CH <sub>2</sub> -2,5	н	ŏ	ŏ
2-133	CI	F	OCH <sub>3</sub>	СН3	CF <sub>3</sub>	Ħ	dimethylphenyl COCH <sub>2</sub> O-4-F-phenyl	Н	0	0
2-134	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	3-chlorocinnamoyl	H	ō	ō
2-135	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COCH <sub>2</sub> O-4-Cl phenyl	H	O	O
2-136	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	a-cyano-cinnamoyl	H	О	0
2-137	Cl	H	н	CH,	CF <sub>3</sub>	H	cinnamoyl	H	0	0
2-138	CN	Н	H	CH <sub>3</sub>	CF <sub>3</sub>	H	0	О	0	0
2-139	H	н	н	CH <sub>3</sub>	CF <sub>3</sub>	н	2-naphthoyl	2-naphthoyl	0	0
2-140	CN	н	н	CH <sub>3</sub>	CF <sub>3</sub>	н	2-naphthoyl	H	0	0

TABLE II-continued

$$R_4$$
  $R_5$   $R_6$   $R_7$   $R_8$   $R_8$   $R_9$   $R_9$ 

No.	x	Y	w	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	$R_4$	R <sub>5</sub>	Re	Ro
2-141	CN	н	н	CH <sub>3</sub>	CF <sub>3</sub>	н	cinnamoyl	н	0	0
2-142	H	Н	н	CH <sub>3</sub>	CF <sub>3</sub>	Н	2-naphthoyl	Н	ō	ō
2-143	OCH,	н	H	CH <sub>3</sub>	CF,	H	2-naphthoyl	H	0	0
2-144	Cl	Cl	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	H	0	0
2-145	CI	F	H	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	H	0	0
2-146	OCH(CH <sub>3</sub> )	H	н	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	Н	0	0
	COOCH <sub>2</sub> C									
	H <sub>3</sub>									_
2-147	Cl	F	H	CH <sub>3</sub>	CF <sub>3</sub>	H	cycloopropyl	H	0	0
2-148	OCHF <sub>2</sub>	F	H	CH <sub>3</sub>	CF <sub>3</sub>	Н	2-naphthoyl	H	0	0
2-149	CF <sub>3</sub>	H	н	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	H	0	0
2-150	CI	F	OH	CH <sub>3</sub>	CF <sub>3</sub>	H	phenylacetyl	H	0	0
2-151	a	_	OCH3	CH <sub>3</sub>	CF <sub>3</sub>	H	phenylacetyl	H	0	0
2-152	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	3-methoxy-	H	0	0
2-153	Ci	F	OCH	CH	CE.	н	2-naphthoyl	н	0	0
2-133	CI	r	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	п	1-methoxy-	n	U	U
2-154	CI	F	OCH <sub>3</sub>	СН,	CF <sub>3</sub>	Н	2-naphthoyl 2,4-chloro-	Н	0	0
2-134	G		OCI13	C11 <sub>3</sub>	Cr3	**	phenoxyacetyl	**	•	•
2-155	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	3-methyl-2-naphthoyl	н	0	o
2-156	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	6-methyl-2-naphthoyl	H	ŏ	ŏ
2-157	Ci	F	OCH <sub>3</sub>	CH,	CF <sub>3</sub>	H	3-methyl-2-naphthoyl	H	ŏ	ŏ
2-158	Ci	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	н	5-bromo-2-naphthoyl	H	ŏ	ŏ
2-159	Ċi	F	OCH <sub>3</sub>	CH,	CF <sub>3</sub>	H	4-bromo-2-naphthoyl	Ĥ	ŏ	ŏ
2-160	Cl	F	OCH,	CH,	CF,	H	4-bromo-2-naphthoyl	4-bromo-2-	Ō	Ō
							,	naphthoyl		
2-161	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	8-fluoro-2-naphthoyl	`н ` -	0	0
2-162	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	5-chloro-2-naphthoyl	H	0	0
2-163	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	5-cyano-2-naphtaoyl	H	0	0
2-164	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	chloroacetyl	H	0	0
2-165	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	benzylthioacetyl	H	0	0
2-166	Cl	F	OCH <sub>3</sub>	$CH_3$	CF <sub>3</sub>	Н	bromoacetyl	H	0	О
2-167	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	phenylthioacetyl	H	0	0
2-168	a	F	OCH,	CH,	CF,	H	methylthio-acetyl	H	0	0
2-169	Cl	F	OCH <sub>3</sub>	CH,	CF,	H	2-naphthylthioacetyl	H	Ó	0
2-170	Cl	F	OCH <sub>3</sub>	сн,	CF <sub>3</sub>	Н	ethoxycarbonyl	Н	0	0
2-171	a	F	OCH,	CH <sub>3</sub>	CE	н	methylthioacetyl	н	0	o
2-1/1	Ci	r	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	п	ethoxycarbonyl-ethyl-2 thioacetyl	п	U	U
2-172	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	ethylthioacetyl	н	0	0
2-172	ä	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H	i-propylthioacetyl	н	ŏ	ŏ
2-174	ä	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	propylthioacetyl	H	ŏ	ŏ
2-175	Br	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	н	2-cinnamoyl	H	ŏ	ŏ
2-176	Br	F	OCH <sub>3</sub>	CH,	CF <sub>3</sub>	H	2-cinnamoyl	2-cinnamoyl	ŏ	ŏ
2-177	Br	F	OCH,	CH,	CF <sub>3</sub>	H	2-cinnamoyl	H	ŏ	ŏ
2-178	Br	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	2-cinnamoyl	2-cinnamoyl	ŏ	ŏ
2-179	CN	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	H	2-cinnamoyl	H H	ŏ	ŏ
2-180	CN	F	OCH,	CH,	CF,	н	2-naphthoyl	H	ō	ō
2-181	CN	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	2-naphthoyl	H	ŏ	ŏ
2-182	Br	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	н	4-vinylbenzoyl	H	ō	ō
2-183	Br	F	OCH <sub>3</sub>	CH	CF <sub>3</sub>	н	4-vinylbenzoyl	4-vinylbenzoyl	ō	ō
2-184	CI	F	OCH <sub>3</sub>	NH,	CF <sub>3</sub>	H	2-naphthoyl	H	ō	ō
2-185	CI	F	OCH <sub>3</sub>	NH <sub>2</sub>	CF <sub>3</sub>	н	2-cinnamoyl	H	ō	ō
2-186	a	F	OCH,	NH <sub>2</sub>	CF <sub>3</sub>	н	2-cinnamoyl	2-cinnamoyl	ŏ	ŏ
2-187	Ci Ci	F	OCH <sub>3</sub>	NH <sub>2</sub>	CF <sub>3</sub>	н	benzyloxyacetyl	H	ŏ	ŏ
2-188	a	F	OCH <sub>2</sub> CN	NH <sub>2</sub>	CF <sub>3</sub>	н	2-naphthoyl	H	ŏ	ŏ
2-189	a	F	OCH <sub>2</sub> COOC	CH <sub>3</sub>	CF <sub>3</sub>	н	2-naphthoyl	Н	ŏ	ŏ
2-109	Ci	T.	H <sub>2</sub> CH <sub>3</sub>	C113	C1·3		z-napataoyi	**	•	•
2-190	CI	F	OCH <sub>2</sub> COOC	CH <sub>3</sub>	CF <sub>3</sub>	н	2-cinnamoyl	н	o	o
2-170	<u>~</u>	•	H <sub>2</sub> CH <sub>3</sub>	-113	-i 3		2 camanoji	••	•	-
2-191	CI	F	OCH(CH <sub>3</sub> )C	CH <sub>3</sub>	CF <sub>3</sub>	н	2-naphthoyl	н	0	0
~-171	Ci	•	OOCH <sub>2</sub> CH <sub>3</sub>	~113	<b>~1</b> 3		2 mpunoji	••	•	•
2-192	CI	F	OH OH	NH <sub>2</sub>	CF <sub>3</sub>	н	2-naphthoyl	н	0	0
2-172	٠.	•	011	2	C. 3	••	2-mapminoji	••		_

### TABLE II-continued

$$X$$
 $W$ 
 $R_1$ 
 $R_2$ 
 $R_3$ 
 $R_4$ 
 $R_5$ 
 $R_5$ 
 $R_5$ 
 $R_5$ 

No.	x	Y	w	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R,	R <sub>5</sub>	$R_{6}$	R,
2-193	4-CF <sub>3</sub> - pyridyloxy	Н	Н	CH <sub>3</sub>	CF <sub>3</sub>	Н	2-naphthoyl	н	0	0
2-194	Ci Ci	F	ОН	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	н	0	0
2-195	а	F	OCH2C≡CH	CH,	CF <sub>3</sub>	H	2-naphthoyl	H	Ō	ō
2-196	Cl	F	OCH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	н	0	0
2-197	Cl	F	OCH(CH <sub>3</sub> ) <sub>2</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	H	0	0
2-198	CI	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H	Hexanoyl	H	0	0
2-199	CI	F	3-NO <sub>2</sub>	CH <sub>3</sub>	CF,	н	2-naphthoyl	H	0	О
			pyridyloxy							
2-200	Cl	F	OCH <sub>2</sub> CN	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	H	0	0
2-201	CH <sub>3</sub>	H	H	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	H	0	0
2-202	CI	Н	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	H	0	0
2-203	OCF <sub>3</sub>	Н	H	CH <sub>3</sub>	CF,	H	2-naphthoyl	H	0	0
2-204	CI	H	H	CH <sub>3</sub>	CF <sub>3</sub>	H	c-C <sub>3</sub> H <sub>5</sub> -carbonyl	H	0	0
2-205	H <sub>2</sub> NC(S)	Н	H	CH <sub>3</sub>	CF <sub>3</sub>	H	2-naphthoyl	H	0	0
2-206	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	c-C <sub>3</sub> H <sub>5</sub> -carbonyl	H	0	0
2-207	COOCH3	Н	н	CH <sub>3</sub>	CF <sub>3</sub>	н	2-naphthoyl	H	0	0

### TABLE III

$$\begin{array}{c|c} X & Y & R_8 \\ \hline R_4 & R_5 & R_9 & R_3 \end{array}$$

No	x	Y	R	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	Rs	Rp
3-1	CI	F	СН3	CH <sub>3</sub>	CF <sub>3</sub>	Н	CONHCH <sub>3</sub>	CONHCH <sub>3</sub>	o	$\overline{}$
3-2	CI	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	CONHCH2CH2CH3	H	0	О
3-3	а	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	CON[CH(CH <sub>3</sub> ) <sub>2</sub> ] <sub>2</sub>	H	0	0
3-4	a	F	CH <sub>3</sub>	$CH_3$	CF <sub>3</sub>	H	CONHC <sub>6</sub> H <sub>5</sub>	H	0	0
3-5	а	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	CON(CH <sub>3</sub> )C <sub>6</sub> H <sub>5</sub>	H	0	0
3-6	Cl	F	CH <sub>3</sub>	CH,	CF <sub>3</sub>	Н	CONHCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	0	0
3-7	a	F	CH,	CH <sub>3</sub>	CF <sub>3</sub>	H	CONHCH(CH <sub>3</sub> )—C <sub>6</sub> H <sub>5</sub>	H	0	0
3-8	Cl	F	СН3	$CH_3$	CF <sub>3</sub>	Н	CON(CH <sub>3</sub> )CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	0	0
3-9	CI	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	CONHCH <sub>2</sub> -(4-CH <sub>3</sub> )phenyl	н	0	0
3-10	CI	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	CONH CH <sub>2</sub> -2,4-F <sub>2</sub> -phenyl	н	0	0
3-11	Cl	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	CONHCH2CH2C6H5	н	0	0
3-12	CI	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	CONHCH2CH2CH2C6H5	H	0	0
3-13	CI	F	CH <sub>3</sub>	$CH_3$	CF <sub>3</sub>	Н	CONH-2-naphthoyl	H	О	0
3-14	CI	F	CH <sub>2</sub> CN	CH <sub>3</sub>	CF <sub>3</sub>	Н	CONHCH2C6H5	Н	0	0
3-15	Cl	F	СН,	H	CF <sub>3</sub>	H	CONHCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	0	0
3-16	Cl	F	CH <sub>3</sub>	$NH_2$	CF <sub>3</sub>	Н	CONHCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	0	0
3-17	Cl	F	CH <sub>3</sub>	$CH_3$	CHF <sub>2</sub>	Н	CONHCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	н	0	0
3-18	CI	F	сн,	CH <sub>3</sub>	CF <sub>3</sub>	Cl	CONHCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	Н	0	О
3-19	a	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	CONHCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	S	О
3-20	Cl	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	CONHCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	Н	О	S
3-21	CN	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	CONHCH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	0	О
3-22	a	Н	CH,	CH <sub>3</sub>	CF <sub>3</sub>	H	CONHCH2C6H5	H	0	0
3-23	а	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	CON(C <sub>6</sub> H <sub>5</sub> )CH <sub>2</sub> C <sub>6</sub> H <sub>5</sub>	H	0	0
3-24	CI	F	CH,	CH,	CF <sub>3</sub>	Н	CONHCH(C6H5)C6H5	H	0	0
3-26	Cl	F	CH,	CH,	CF <sub>3</sub>	H	CONH <sub>2</sub>	H	0	0

### TABLE IV

$$X \longrightarrow Y \\ W \longrightarrow R_5 \\ R_9 \longrightarrow R_2$$

							К3			
No.	x	Y	w	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	Re	R,
4-1	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>3</sub>	H	0	0
4-2	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	COOCH <sub>3</sub>	COOCH3	0	0
4-3	CI	F	OCH <sub>3</sub>	CH,	CF <sub>3</sub>	H	COO-phenyl	H	0	0
4-4	CI CI	F F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COO-[2,4-(CH <sub>3</sub> ) <sub>2</sub> ]-phenyl	H	0	0
4-5 4-6	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COOCH <sub>2</sub> -phenyl COOCH <sub>2</sub> -(2-F)-phenyl	H H	ö	ö
4-7	ci	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	H	COOCH <sub>2</sub> -(2-F)-phenyl	H	ŏ	ŏ
4-8	ci	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	н	COOCH <sub>2</sub> -(2-CF <sub>3</sub> )-phenyl	H	ŏ	ŏ
4-9	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -(4-CF <sub>3</sub> )-phenyl	н	ō	ō
4-10	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COO-2-naphthyl	H	0	0
4-11	CI	F	OCH <sub>3</sub>	CH3	CF <sub>3</sub>	H	COO-cyclohexyl	H	О	О
4-12	CI	F	OCH <sub>3</sub>	CH,	CF <sub>3</sub>	H	COOCH <sub>2</sub> -cyclohexyl	H	0	0
4-13	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	C(O)-S-phenyl	H	0	0
4-14 4-15	Cl Cl	F	OCH <sub>2</sub> CN OCH <sub>3</sub>	СН <sub>3</sub> Н	CF <sub>3</sub>	H H	COO-phenyl COO-phenyl	H H	0	0
4-16	CI	F	OCH <sub>3</sub>	NH <sub>2</sub>	CF <sub>3</sub>	Н	COO-phenyl	н	ö	ö
4-17	Ci	F	OCH <sub>3</sub>	CH <sub>3</sub>	CHF <sub>2</sub>	H	COO-phenyl	H	ŏ	ŏ
4-18	Ci	F	OCH <sub>3</sub>	CH,	CF <sub>3</sub>	CI	COO-phenyl	H	ō	ŏ
4-19	Cl	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	Н	COO-phenyl	H	S	0
4-20	CI	F	OCH <sub>3</sub>	CH,	CF <sub>3</sub>	H	COO-phenyl	н	О	S
4-21	CN	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COO-phenyl	H	0	0
4-22	Cl	Н	OCH <sub>3</sub>	CH,	CF <sub>3</sub>	Н	COO-phenyl	H	0	0
4-23	CI	F	OCH <sub>2</sub> CN	CH <sub>3</sub>	CF <sub>3</sub>	H	COOCH <sub>2</sub> -phenyl	H	0	0
4-24	Cl	r	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COOCH <sub>2</sub> -(2,6-di-Cl)-	Н	0	0
4-25	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	phenyl COO-[2,4,6-(CH <sub>3</sub> ) <sub>3</sub> ]-phenyl	н	0	0
4-26	Cl	F	OCH,	CH <sub>3</sub>	CF,	н	COOCH <sub>2</sub> -[3,4-(CH <sub>3</sub> ) <sub>2</sub> -	H	ŏ	ŏ
		_		3	,		phenyl		_	_
4-27	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COO-(2-t-butyl)-phenyl	H	0	О
4-28	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -2-naphthyl	H	0	О
4-29	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COOCH <sub>2</sub> -(2,6-di-F)-phenyl	H	0	0
4-30	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> (3,4-di-F)-phenyl	H	0	0
4-31 4-32	CI CI	F F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COOCH (2.4 di CI)	H H	0	0
4-32	Cı	r	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	п	COOCH <sub>2</sub> -(3,4-di-Cl)-	п	U	U
4-33	CI	F	OCH,	CH,	CF <sub>3</sub>	н	phenyl COOCH <sub>2</sub> -(2-CF <sub>3</sub> )-phenyl	н	0	0
4-34	a	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	н	COOCH <sub>2</sub> -(2-NO <sub>2</sub> )-phenyl	H	ō	ŏ
4-35	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	COOCH2-(2-OCH3)-phenyl	н	0	0
4-36	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -2-pyridyl	н	0	О
4-37	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	$COOCH_2 - [3,5 - (CH_3)_2] -$	H	0	0
		_					phenyl		_	_
4-38	Cl	F	OCH₃	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -[2,5-(CH <sub>3</sub> ) <sub>2</sub> ]-	H	0	0
4-39	Cl	F	OCH <sub>3</sub>	CH,	CF,	н	phenyl COOCH <sub>2</sub> -(2,5-di-F)-phenyl	н	0	0
4-40	Ci	F	осн,	CH <sub>3</sub>	CF,	H	COOCH <sub>2</sub> -(4-OCH <sub>3</sub> )-phenyl	H	ŏ	ŏ
4-41	Cl	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -(3,4-OCH <sub>2</sub> O)	н	ō	ŏ
			_	-	•		phenyl			
4-42	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -(4-i-C <sub>3</sub> H <sub>7</sub> )-	н	О	0
							phenyl		_	_
4-43	CI	F	OCH3	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -(4-CF <sub>3</sub> )-phenyl	H	0	0
4-44 4-45	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COOCH (4 OCE) about	H H	0	0
4-46	a	F	OCH,	CH,	CF,	н	COOCH <sub>2</sub> -(4-OCF <sub>3</sub> )-phenyl COOCH-(c-C <sub>3</sub> H <sub>5</sub> )-phenyl	H	ŏ	ŏ
4-47	CI	F	OCH,	CH,	CF <sub>3</sub>	Н	COOCH(CH <sub>3</sub> )-phenyl	H	ŏ	ŏ
4-48	CI	F	OCH <sub>3</sub>	CH,	CF,	Н	COOCH <sub>2</sub> -(2,3,4,5,6-penta-	Н	O	Ó
			_	_	_		F)-phenyl			
4-49	CI	Н	Н	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -(2-F)-phenyl	Н	0	0
4-50	CI	H	Н	CH,	CF <sub>3</sub>	Н	COO-phenyl	H	0	0
4-51	a	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -(2-F)-phenyl	H	0	0
4-52 4-53		F	CH <sub>3</sub>	CH,	CF <sub>3</sub>	Н	COO-phenyl	H	0	0
4-5 <i>3</i> 4-54		F	CH <sub>3</sub>	CH <sub>3</sub>	CF,	H H	COO-3,4-dimethylphenyl	H H	0	0
4-54		F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H	COOCH <sub>2</sub> -2-Cl-phenyl COO-2,6-dimethylpheny	H	Ö	Ö
4-56		F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -2-methylphenyl	Ħ	ŏ	ö
. 50	<b>-</b>	•	~	~113	<b>∵.</b> 3	•••	230cm2 2 mich yiphen yi	••		~

### TABLE IV-continued

No.	X	Y	w	R <sub>1</sub>	$R_2$	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	Ř,	Ro
4-57	a	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> CH <sub>2</sub> -phenyl	Н	0	0
4-58	Cl	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	COOCH <sub>2</sub> -2-methoxyphenyl	H	О	0
4-59	Cl	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COO-2,6-dimethoxyphenyl	H	0	0
4-60	a	F	CH <sub>3</sub>	$CH_3$	CF <sub>3</sub>	Н	COOCH <sub>2</sub> 4-methylphenyl	H	0	0
4-61	Cl	F	CH,	$CH_3$	CF <sub>3</sub>	Н	COOCH <sub>2</sub> 4-Cl-phenyl	H	0	0
4-62	a	F	CH,	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -2,4-	H	0	0
							dichlorophenyl			
4-63	a	F	CH <sub>3</sub>	$CH_3$	CF,	Н	COOCH <sub>2</sub> -3,4-	H	0	0
							dimethoxyphenyl			
4-64	a	F	CH,	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> 4-nitrophenyl	H	0	О
4-65	Cl	F	CH,	CH <sub>3</sub>	CF <sub>3</sub>	H	COOCH <sub>2</sub> -3-methoxyphenyl	H	0	0
4-66	a	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COSCH <sub>2</sub> -phenyl	H	0	О
4-67	а	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -3-nitrophenyl	H	0	0
4-68	CI	F	CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	Н	COOCH <sub>2</sub> -3-methylphenyl	H	0	0
4-69	Cl	F	CH,	CH <sub>3</sub>	CF,	H	COOCH <sub>2</sub> -2,4,6-	H	0	0
				-	-		trimethylphenyl			
4-70	CI	F	CH <sub>3</sub>	CH <sub>3</sub>	CF,	H	COOCH <sub>2</sub> -2-furanyl	H	0	0

30

TABLE V						TA	BLE '	VI		
R N R <sub>5</sub> N R <sub>1</sub>	_	35 40		-		X W R <sub>4</sub>	Y Rs !		, R <sub>1</sub>	
No. X Y R R <sub>1</sub> R <sub>4</sub>	R <sub>5</sub>							R <sub>2</sub>		
5-1 CI F CH <sub>3</sub> H O 5-2 CI F H H O	0		No.	х	Y	W	R <sub>1</sub>	R <sub>2</sub>	R <sub>4</sub>	R <sub>5</sub>
5-3 Cl F CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F H	H		6-1	a	F	ОН	CHF <sub>2</sub>	CH <sub>3</sub>	0	0
5-4 CI F H (CH <sub>2</sub> ) <sub>3</sub> F O	0	45	6-2	CI	F	ОН	CHF <sub>2</sub>	CH <sub>3</sub>	H	H
5-5 Cl F H (CH <sub>2</sub> ) <sub>3</sub> F H	H		6-3	CI	F	OCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	H	H
5-6 CI CI CH <sub>3</sub> H O	o		6-4	CI	F	OCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	COCH <sub>3</sub>	H
5-7 CI CI CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F O	O		6-5	CI	F	OCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	benzoyl	H
5-8 Cl Cl H (CH <sub>2</sub> ) <sub>3</sub> F O 5-9 Cl Cl H (CH <sub>2</sub> ) <sub>3</sub> F H	0		6-6	a	a	OCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>
	H		6-7	CI	Ċī	OCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	COOCH3	H
, (23-	H	50	6-8	a	F	осн,	CH <sub>3</sub>	CH <sub>3</sub>	benzoyl	H
	H		6-9	CI	F	OCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>2</sub> CH <sub>3</sub>	benzoyl	H
5-12 Cl F CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F CH <sub>3</sub> 5-13 Cl F CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F COOCH <sub>3</sub>	CH, H		6-10	CI CN	ä	OCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	CONHCH <sub>3</sub>	H
5-14 Cl F CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F CONHCH <sub>3</sub>	H		6-11 6-12	CN	F H	OCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	benzoyl	Н
5-15 Cl Cl CH <sub>2</sub> C=CH (CH <sub>2</sub> ) <sub>3</sub> F H	H		6-13	CI	Ci	OCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	benzoyl	н
5-16 Cl Cl Ch(Ch <sub>3</sub> ) <sub>2</sub> (Ch <sub>2</sub> ) <sub>3</sub> F H	H		0-13	Cı	Cı	п	Crir <sub>2</sub>	CH <sub>3</sub>	2,4-difluoro-	н
5-17 Cl F CH <sub>2</sub> C≡CH (CH <sub>2</sub> ) <sub>3</sub> F H	H	55	6-14	CI	F	OCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	benzoyl 2,4-difluoro-	н
5-18 Cl F CH(CH <sub>3</sub> ) <sub>2</sub> (CH <sub>2</sub> ) <sub>3</sub> F H	H		• • •	٠.	•	OCII3	C111 2	City	benzoyl	п
5-19 CN F CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F benzoyl	н		6-15	CI	F	OCH <sub>3</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	2-naphthoyl	н
5-20 Cl H CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F benzoyl	H		6-16	Ci	Ċı	н	CHF <sub>2</sub>	CH <sub>3</sub>	2-naphthoyl	H
5-21 Cl F CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F 2,4-F <sub>2</sub> -benzoyl	н		6-17	Cl	CI	OCH,	CHF,	CH <sub>3</sub>	2-naphthoyl	H
5-22 Cl F CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F 4-C <sub>3</sub> H <sub>4</sub> -benzoyl			6-18	CI	CI	HNC(O)C2H5	CHF <sub>2</sub>	CH,	0	ö
5-23 Cl F CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F 3-phenyl-	H	60	6-19	CI	CI	HNC(O)C2H5	CHF,	CH <sub>3</sub>	Н	H
propionyl			6-20	a	Cl	NH <sub>2</sub>	CHF <sub>2</sub>	CH <sub>3</sub>	H	H
5-24 Cl F $CH_2CN$ $(CH_2)_3F$ 2,4- $F_2$ -benzoyl	Н		6-21	CI	F	н̈	CHF <sub>2</sub>	CH <sub>3</sub>	0	0
5-25 Cl F CH <sub>2</sub> CN (CH <sub>2</sub> ) <sub>3</sub> F 2-naphthoyl	H		6-22	Cl	F	н	CHF <sub>2</sub>	CH,	н	Н
5-26 Cl F CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F 2-naphthoyl	H		6-23	Cl	F	H	CHF <sub>2</sub>	CH <sub>3</sub>	2,4-F <sub>2</sub> -	H
5-27 Cl F CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F 2-naphthoyl	2-naphthoyl						-		benzoyl	
5-28 Cl F CH <sub>3</sub> (CH <sub>2</sub> ) <sub>3</sub> F benzyloxyacetyl	Н	65	6-24	а	F	н	CHF <sub>2</sub>	CH <sub>3</sub>	2-naphthoyl	н

TABLE VII

E VII TABLE VIII-continued

TABLE IX

#### TABLE VIII

No	x	Y	w	R <sub>4</sub>	R <sub>5</sub>	R <sub>B</sub>	R <sub>o</sub>
9-1	Cl	F	ОН	O	0	0	0
9-2	Cl	F	ОН	H	H	О	О
9-3	C1	F	OCH <sub>3</sub>	0	0	0	O
9-4	C1	F	OCH <sub>3</sub>	H	0	0	О
9-5	Cl	F	OCH <sub>3</sub>	COCH <sub>3</sub>	H	0	0
9-6	Cl	F	OCH <sub>3</sub>	benzoyl	н	0	0
9-7	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	0	0
9-8	Cl	F	OCH <sub>3</sub>	COOCH <sub>3</sub>	H	0	0
9-9	Cl	F	OCH <sub>3</sub>	CONHCH <sub>3</sub>	н	0	0
9-10	CN	F	OCH <sub>3</sub>	benzoyl	н	0	0
9-11	Cl	н	OCH <sub>3</sub>	benzoyl	н	0	0
9-12	Cl	н	н	0	0	0	S
9-13	Cl	H	H	H	H	0	S
9-14	Cl	н	H	2-naphthoyl	H	0	S
9-15	CI	F	OCH <sub>3</sub>	2-naphthoyl	н	0	0
9-16	CI	F	OCH <sub>3</sub>	2,4-F <sub>2</sub> -benzoyl	H	0	0
9-17	a	H	н	0	0	0	0
9-18	Cl	H	H	H	н	0	0
9-19	Cl	Н	н	2-naphthoyl	Н	0	0

No.	x	Y	w	R <sub>4</sub>	R <sub>s</sub>
8-1	Cl	F	ОН	0	<u> </u>
8-2	CI	F	OH	H	Н
8-3	Cl	F	OCH <sub>2</sub> C≡CH	H	H
8-4	a	F	OCH(CH <sub>3</sub> ) <sub>2</sub>	H	н
8-5	a	F	O-c-pentyl	H	н
8-6	a	F	OCH <sub>3</sub>	0	0
8-7	Cl	F	OCH <sub>3</sub>	H	н
8-8	Cl	F	OCH <sub>3</sub>	2,4-F <sub>2</sub> -benzoyl	н
8-9	Cl	F	OCH <sub>3</sub>	2-naphthoyl	н
8-10	C1	F	OCH <sub>3</sub>	4-C <sub>2</sub> H <sub>5</sub> -benzoyl	н
8-11	Cl	F	OCH <sub>3</sub>	3-phenyl-propionyl	H
8-12	CN	F	OCH,	2,4-F2-benzoyl	H
8-13	Cl	F	OCH <sub>2</sub> C≡CH	2,4-F2-benzoyl	H
8-14	Cl	F	OCH <sub>2</sub> C≡CH	2-naphthoyl	н
8-15	Cl	F	OCH <sub>2</sub> C≕CH	4-C <sub>2</sub> H <sub>5</sub> -benzoyl	H
8-16	Cl	F	OCH <sub>2</sub> C≡CH	3-phenyl-propionyl	H
8-17	CN	F	OCH <sub>2</sub> C≡CH	2,4-F <sub>2</sub> -benzoyl	H
8-18	Cl	F	OCH(CH <sub>3</sub> ) <sub>2</sub>	2,4-F <sub>2</sub> -benzoyl	H
8-19	Cl	F	$OCH(CH_3)_2$	2-naphthoyl	H
8-20	Cl	F	OCH(CH <sub>3</sub> ) <sub>2</sub>	4-C <sub>2</sub> H <sub>5</sub> -benzoyl	H
8-21	Cl	F	OCH(CH <sub>3</sub> ) <sub>2</sub>	3-phenyl-propionyl	H
8-22	CN	F	$CCH(CH_3)_2$	2,4-F2-benzoyl	H
8-23	Cl	F	OCH <sub>3</sub>	COCH <sub>3</sub>	H
8-24	CI	F	OCH <sub>3</sub>	benzoyl	H
8-25	a	F	OCH <sub>3</sub>	CH,	CH <sub>3</sub>
8-26	CI	F	OCH,	COOCH,	н
8-27	Cl	F	OCH <sub>3</sub>	CONHCH <sub>3</sub>	H
8-28	CN	F	0CH <sub>3</sub>	benzoyl	H
8-29	CI	H	OCH <sup>3</sup>	benzoyl	H
8-30	CI.	F	OCH <sub>3</sub>	4-vinyl-benzoyl	H
8-31	a	F	OCH3	cinnamoyl	H
8-32	Cl	NO <sub>2</sub>	н	0	0

	TABLE X							
	R ~	R <sub>4</sub>	N. Rs s					
No.	х	Y	R	R <sub>5</sub>	R <sub>4</sub>			
10-1 10-2 10-3	CI CI	F F F	н н СН <sub>3</sub>	О Н О	О Н О			

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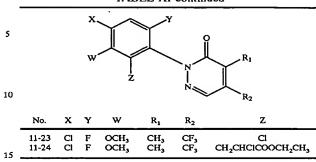
TABLE X-continued

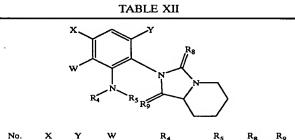
No.	x	Y	R	R <sub>5</sub>	$R_4$
10-4	CI	F	СН,	Н	н
10-5	Ci	F	CH <sub>3</sub>	COCH <sub>3</sub>	н
10-6	Cl	F	CH <sub>3</sub>	benzoyl	н
10-7	Cl	F	CH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>
10-8	Cl	F	CH <sub>3</sub>	COOCH <sub>3</sub>	H
10-9	Cl	F	CH <sub>3</sub>	CONHCH <sub>3</sub>	H
10-10	CN	F	CH,	benzoyl	н
10-11	Cl	н	CH <sub>3</sub>	benzoyl	н

TABLE XI

No.	х	Y	w	R <sub>1</sub>	R <sub>2</sub>	. <b>z</b>
11-1	a	F	осн,	н	CF <sub>3</sub>	NO <sub>2</sub>
11-2	а	F	ОН	H	CF <sub>3</sub>	NO <sub>2</sub>
11-3	a	F	OH	H	CF <sub>3</sub>	NH <sub>2</sub>
11-4	a	F	OCH <sub>3</sub>	H	CF <sub>3</sub>	NH <sub>2</sub>
11-5	CI	F	OCH <sub>3</sub>	H	CF <sub>3</sub>	NHCH <sub>3</sub>
11-6	CI	F	OCH,	H	CF <sub>3</sub>	NH-2-naphthoyl
11-7	CI	F	OCH,	н	CF <sub>3</sub>	CI
11-8	Cl	F	OCH <sub>3</sub>	H	CF <sub>3</sub>	CH2CHClCOOCH2CH3
11-9	a	F	OH	CH <sub>3</sub>	CF <sub>3</sub>	NO <sub>2</sub>
11-10	CI	F	ОН	CH <sub>3</sub>	CF <sub>3</sub>	NH <sub>2</sub>
11-11	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	NH <sub>3</sub>
11-12	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	NHCH <sub>3</sub>
11-13	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	NH-2-naphthoyl
11-14	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	NO <sub>2</sub>
11-15	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	NHCOCH <sub>3</sub>
11-16	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	NH-benzoyl
11-17	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	$N-(CH_3)_2$
11-18	a	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	NHCOO-phenyl
11-19	CI	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	NHCONHCH <sub>3</sub>
11-20	CN	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	2-naphthoyl-NH
11-21	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	2-naphthoyl-NH
11-22	CI	Н	OCH,	CH,	CF,	2-naphthoyl-NH

#### TABLE XI-continued





No.	X	Y	w	R <sub>4</sub>	R <sub>5</sub>	R <sub>8</sub>	R9
12-1	CI	F	ОН	0	0	s	0
12-2	Cl	F	OH	H	H	S	0
12-3	CI	F	ОН	H	H	S	0
12-4	Cl	F	OCH <sub>3</sub>	H	H	S	0
12-5	CI	F	OCH <sub>3</sub>	2-naphthoyl	H	S	0
12-6	Cl	н	H	0	0	0	Ο.
12-7	CI	Н	H	H	H	0	0
12-8	CI	H	H	2-naphthoyl	H	0	0
12-9	CI	F	OCH,	COCH <sub>3</sub>	н	s	0
12-10	CI	F	OCH <sub>3</sub>	benzoyl	H	S	0
12-11	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>	S	0
12-12	Cl	F		COO-phenyl	H	S	0
12-13	Cl	F		CONHCH <sub>3</sub>	H	S	0
	CN	F			H	S	0
12-15	Cl	H	OCH,	2-naphthoyl	H	S	0
	12-1 12-2 12-3 12-4 12-5 12-6 12-7 12-8 12-9 12-10 12-11 12-12	12-1 Cl 12-2 Cl 12-3 Cl 12-4 Cl 12-5 Cl 12-6 Cl 12-7 Cl 12-8 Cl 12-9 Cl 12-10 Cl 12-11 Cl 12-11 Cl 12-12 Cl 12-13 Cl	12-1 Cl F 12-2 Cl F 12-3 Cl F 12-4 Cl F 12-5 Cl F 12-6 Cl H 12-7 Cl H 12-8 Cl H 12-9 Cl F 12-10 Cl F 12-11 Cl F 12-12 Cl F 12-13 Cl F 12-14 CN F	12-1 Cl F OH 12-2 Cl F OH 12-3 Cl F OH 12-4 Cl F OCH <sub>3</sub> 12-5 Cl F OCH <sub>3</sub> 12-5 Cl F OCH <sub>3</sub> 12-6 Cl H H 12-7 Cl H H 12-8 Cl H H 12-9 Cl F OCH <sub>3</sub> 12-10 Cl F OCH <sub>3</sub> 12-11 Cl F OCH <sub>3</sub> 12-12 Cl F OCH <sub>3</sub> 12-13 Cl F OCH <sub>3</sub> 12-13 Cl F OCH <sub>3</sub> 12-14 CN F OCH <sub>3</sub>	12-1 Cl F OH O 12-2 Cl F OH H 12-3 Cl F OH H 12-4 Cl F OCH <sub>3</sub> 2-naphthoyl 12-5 Cl F OCH <sub>3</sub> 2-naphthoyl 12-6 Cl H H O 12-7 Cl H H H O 12-8 Cl H H C-naphthoyl 12-9 Cl F OCH <sub>3</sub> COCH <sub>3</sub> 12-10 Cl F OCH <sub>3</sub> COCH <sub>3</sub> 12-11 Cl F OCH <sub>3</sub> COO-phenyl 12-12 Cl F OCH <sub>3</sub> COO-phenyl 12-13 Cl F OCH <sub>3</sub> COO-phenyl 12-14 CN F OCH <sub>3</sub> CONHCH <sub>3</sub> 12-15 CNHCH <sub>3</sub> COCH <sub>3</sub> CONHCH <sub>3</sub> 12-16 CN F OCH <sub>3</sub> CONHCH <sub>3</sub>	12-1 Cl F OH O O 12-2 Cl F OH H H 12-3 Cl F OH H H H 12-4 Cl F OCH <sub>3</sub> H H H 12-5 Cl F OCH <sub>3</sub> 2-naphthoyl H 12-6 Cl H H O O O 12-7 Cl H H H H H 12-8 Cl H H 2-naphthoyl H 12-9 Cl F OCH <sub>3</sub> COCH <sub>3</sub> H 12-9 Cl F OCH <sub>3</sub> COCH <sub>3</sub> H 12-10 Cl F OCH <sub>3</sub> COCH <sub>3</sub> H 12-11 Cl F OCH <sub>3</sub> COO-phenyl H 12-11 Cl F OCH <sub>3</sub> COO-phenyl H 12-12 Cl F OCH <sub>3</sub> COO-phenyl H 12-13 Cl F OCH <sub>3</sub> CONHCH <sub>3</sub> H 12-14 CN F OCH <sub>3</sub> 2-naphthoyl H	12-1 Cl F OH O O S 12-2 Cl F OH H H S 12-3 Cl F OH H H H S 12-4 Cl F OCH <sub>3</sub> 2-naphthoyl H S 12-5 Cl F OCH <sub>3</sub> 2-naphthoyl H S 12-6 Cl H H O O O O 12-7 Cl H H H H H O 12-8 Cl H H COCH <sub>3</sub> COCH <sub>3</sub> H S 12-10 Cl F OCH <sub>3</sub> COCH <sub>3</sub> H S 12-11 Cl F OCH <sub>3</sub> COCH <sub>3</sub> H S 12-12 Cl F OCH <sub>3</sub> COO-phenyl H S 12-13 Cl F OCH <sub>3</sub> COO-phenyl H S 12-13 Cl F OCH <sub>3</sub> COO-phenyl H S 12-14 CN F OCH <sub>3</sub> CONHCH <sub>3</sub> H S

#### TABLE XIII

50		w′	X R	R <sub>s</sub> <sub>o</sub>		
<u> </u>	No	x	Y	w	R <sub>4</sub>	R <sub>5</sub>
55 —	13-1	a	F	ОН	0	0
	13-2	Cl	F	ОН	н	H
	13-3	CI	F	OCH <sub>3</sub>	2-naphthoyl	H
	13-4	Cl	F	OCH <sub>3</sub>	2,4-difluorobenzoyl	H
	13-5	CI	H	H	2-naphthoyl	H
60	13-6	CI	F	OCH <sub>3</sub>	COCH <sub>3</sub>	H
00	13-7	CI	F	OCH <sub>3</sub>	benzoyl	H
	13-8	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CH <sub>3</sub>
	13-9	CI	P	OCH <sub>3</sub>	COO-phenyl	H
	13-10	CI	F	OCH <sub>3</sub>	CONHCH <sub>3</sub>	н
	13-11	CN	F	OCH <sub>3</sub>	2-naphthoyl	Н
65	13-12	Cl	Н	OCH <sub>3</sub>	2-naphthoyl	H

### TABLE XIV

						Z				
No.	х	Y	w	Q	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	Z	R <sub>B</sub>	R <sub>9</sub>
14-1	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	CH2CH2CO2CH2CH3	0	0
14-2	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	H	CHC=CHO2CH2CH3	0	0
14-3	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	CH₂CHClCO₂CH₃	0	0
14-4	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	CH <sub>2</sub> CHClCO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> (isomer-1)	0	0
14-5	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	CH <sub>2</sub> CHClCO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> (isomer-2)	0	0
14-6	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	CH <sub>2</sub> CHClCO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub> (isomer-1)	0	0
14-7	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	CH <sub>2</sub> CHClCO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub> (isomer-2)	0	0
14-8	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	H	CH <sub>2</sub> CHClCO <sub>2</sub> -n-C <sub>4</sub> H <sub>9</sub> (isomer-l)	0	0
14-9	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	H	CH <sub>2</sub> CHClCO <sub>2</sub> -n-C <sub>4</sub> H <sub>9</sub> (isomer-2)	0	0
14-10	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF3	Н	(Isomer-2) CH <sub>2</sub> CHClCO <sub>2</sub> -n-C <sub>5</sub> H <sub>11</sub> (isomer-1)	0	0
14-11	Cl	F	OCH3	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	(Isomer-1) CH <sub>2</sub> CHClCO <sub>2</sub> -n-C <sub>5</sub> H <sub>11</sub> (isomer-2)	0	0
14-12	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> CHClCO <sub>2</sub> -n-C <sub>6</sub> H <sub>13</sub>	О	O
14-13	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	(isomer-1) CH <sub>2</sub> CHClCO-n-C <sub>6</sub> H <sub>13</sub>	0	0
14-14	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	(isomer-2) CH <sub>2</sub> CHClCO <sub>2</sub> -i-C <sub>4</sub> H <sub>9</sub>	0	О
14-15	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	(isomer-1) CH <sub>2</sub> CHClCO <sub>2</sub> -i-C <sub>4</sub> H <sub>9</sub>	0	0
14-16	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	(isomer-2) CH <sub>2</sub> CHClCO <sub>2</sub> -i-C <sub>5</sub> H <sub>11</sub>	O	O
14-17	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	(isomer-1) CH <sub>2</sub> CHClCO <sub>2</sub> -i-C <sub>3</sub> H <sub>11</sub> (isomer-2)	0	О
14-18	CI	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> CHClCO <sub>2</sub> -t-C <sub>4</sub> H <sub>2</sub>	0	0
14-19	CI	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH2CHClCO2—CH2C=CH	ŏ	ŏ
14-20	Cl	F	OCH <sub>3</sub>	Q1	СН3	CF <sub>3</sub>	н	(isomer-1) CH <sub>2</sub> CHClCO <sub>2</sub> —CH <sub>2</sub> C=CH	0	0
14-21	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	(isomer-2) CH <sub>2</sub> CHClCO <sub>2</sub> CH <sub>2</sub> CF <sub>3</sub>	0	0
14-22	CI	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	H	CH <sub>2</sub> CHClCO <sub>2</sub> —CH <sub>2</sub> CF <sub>2</sub> CHF <sub>2</sub>	ŏ	ŏ
14-23	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH2CHClCO2CH2CF2CF2CF3	ŏ	ŏ
			•			-		(isomer-1)		0
14-24	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> CHClCO <sub>2</sub> CH <sub>2</sub> CF <sub>2</sub> CF <sub>2</sub> CF <sub>3</sub> (isomer-2)	0	
14-25	Cl	F	OCH,	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	CH <sub>2</sub> CHClCO <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OCH <sub>3</sub>	0	0
14-26	CI	F	OCH,	Q1	CH <sub>3</sub>	CF <sub>3</sub>	H	CH2CHClCO2CH2CH2OC2H3	0	0
14-27	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	CH <sub>2</sub> CHClCO <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OPh (isomer-1)	0	0
14-28	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> CHClCO <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> OPh (isomer-2)	0	0
14-29	CI	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	CH2CHClCO2—CH2CH3CN	0	0
14-30	CI	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	Н	CH2CHClCO2CH2CH2BrCH2B	0	0
14-31	Cl	F	осн,	Q1	СН,	CF <sub>3</sub>	н	r (isomer-1) CH <sub>2</sub> CHClCO <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> BrCH <sub>2</sub> B	0	0
14-32	Cl	F	осн,	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	r (isomer-2) CH <sub>2</sub> CHBrCO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> (isomer 1)	0	О
14-33	CI	F	OCH,	Q1	CH <sub>3</sub>	CF <sub>3</sub>	H	CH <sub>2</sub> CHBrCO <sub>2</sub> C <sub>2</sub> H <sub>5</sub> (isomer 2)	0	О
14-34	Cl	F	CCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> C <sub>2</sub> H <sub>5</sub>	0	0
14-35	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	H	CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> -n-C <sub>3</sub> H <sub>7</sub>	0	0
14-36	Cl	F	OCH <sub>3</sub>	Q1		CF <sub>3</sub>	Н	CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> -n-C <sub>4</sub> H <sub>2</sub>	0	0
14-37	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> -n-C <sub>5</sub> H <sub>11</sub>	О	О
14-38	CI	F	OCH <sub>3</sub>	Q1	CH,	CF <sub>3</sub>	н	CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> -n-C <sub>6</sub> H <sub>13</sub>	O	0
14-39	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	H	CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> -i-C <sub>3</sub> H <sub>7</sub>	ō	ō
14-40	Cl	F	OCH,	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> -i-C <sub>4</sub> H <sub>9</sub>	ŏ	ŏ
14-41	CI	F	OCH3	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> -CH <sub>2</sub> Ph	ŏ	ŏ
14-42	CI	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> —CH=CH <sub>2</sub>	ŏ	ŏ
14-43	CI	F	OCH <sub>3</sub>		CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> —CH—CH <sub>2</sub> CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> —	ŏ	ő
14-43	Cı	г	<b>○</b> ○П <sub>3</sub>	Q1	CH <sub>3</sub>	CF3	п	CH <sub>2</sub> C(CH <sub>3</sub> )C(CO <sub>2</sub> — CH <sub>2</sub> CH—CH <sub>2</sub>	J	J
14-44	Cl	F	OCH <sub>3</sub>	Q1	CH <sub>3</sub>	CF <sub>3</sub>	н	CH <sub>2</sub> C(CH <sub>3</sub> )ClCO <sub>2</sub> —CH <sub>2</sub> C≡CH	0	О

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CH2CHCICOOH

NO<sub>2</sub>

NH<sub>2</sub>

NH-2-naphthoyl

CH2CHCICOOCH2CH3

#### TABLE XIV-continued

CF, H

CF<sub>3</sub>

CF3

CF<sub>3</sub>

CF<sub>3</sub> CI

CI

CI

#### TABLE XV

н

Q1 CH,

Q9

Q9 н

Q9 H

Q9 Н

14-73

14-74

14-75

14-76

14-77

Cl

CI

Cl

Cl

F F

OCH<sub>3</sub>

OCH<sub>3</sub>

OCH<sub>3</sub>

OCH<sub>3</sub>

OCH<sub>3</sub>

$$X$$
 $W$ 
 $R_1$ 
 $R_2$ 
 $R_3$ 
 $R_4$ 
 $R_5$ 
 $R_5$ 
 $R_6$ 
 $R_7$ 

No.	x	Y	w	R <sub>1</sub>	R <sub>2</sub>	R <sub>4</sub>	R <sub>s</sub>	Re	Ro
15-1	CI	F	осн,	СН,	CF <sub>3</sub>	NH <sub>2</sub>	Н	0	0
15-2	Cl	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	c-C <sub>3</sub> H <sub>5</sub> -carbonyl-NH-	H	0	0
15-3	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	benzoyl-NH-	Н	0	0
15-4	Cl	F	OCH,	CH,	CF <sub>3</sub>	2,4-F2-benzoyl-NH-	Н	0	0
15-5	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	2-naphthoyl-NH	Н	0	0
15-6	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	ethoxycarbonyl-NH-	Н	0	0
15-7	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	phenoxycarbonyl-NH-	н	0	0
15-8	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	2,4-F <sub>2</sub> —PhNHC(O)—NH—	H	0	0
15-9	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	H <sub>5</sub> C <sub>2</sub> OC(0)N(CH <sub>3</sub> )C(0)—NH—	Н	0	0
15-10	CI	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	H <sub>2</sub> C=CHCH=N-	Н	0	0
15-11	CI	F	OCH,	CH <sub>3</sub>	CF <sub>3</sub>	c-C <sub>3</sub> H <sub>5</sub> —CH=N—	н	0	Ó
15-12	Cl	F	OCH,	CH <sub>3</sub>	CF,	$H_3CC(CH_3)=N$	Н	0	Ó
15-13	a	F	OCH,	CH <sub>3</sub>	CF,	$H_3COCH_2C(CH_3)=N$	H	0	0

40

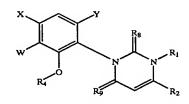
45

50

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#### TABLE XV-continued

#### TABLE XVI



No.	x	Y	w	R <sub>1</sub>	R <sub>2</sub>	R <sub>4</sub>	Re	Ro
16-1	a	Cl	н	СН3	CF <sub>3</sub>	Н	0	0
16-2	CI	CI	н	CH <sub>3</sub>	CF <sub>3</sub>	СН3	0	0
16-3	CI	Cl	Н	CH <sub>3</sub>	CF <sub>3</sub>	2,4-F <sub>2</sub> -benzyl	0	ο .
16-4	a	Cl	H	CH <sub>3</sub>	CF <sub>3</sub>	2,4-F <sub>2</sub> -benzoyl	0	0
16-5	a	CI	H	CH <sub>3</sub>	CF <sub>3</sub>	2-naphthoyl	0	0
16-6	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	н	0	0
16-7	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	2-naphthoyl	0	0
16-8	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	CH <sub>2</sub> -2-naphthyl	О	Ο
16-9	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	2-naphthoyl	O	s
16-10	CI	F	<b>ОСН3</b>	CH <sub>3</sub>	CF <sub>3</sub>	2-naphthoyl	S	O
16-11	CN	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	2-naphthoyl	0	0
16-12	Cl	Н	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	2-naphthoyl	0	0
16-13	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	CONH-phenyi	0	0
16-14	Cl	F	OCH3	СН,	CF <sub>3</sub>	CONHCH <sub>3</sub>	0	0

#### TABLE XVII

$$X$$
 $W$ 
 $R_1$ 
 $R_2$ 
 $R_3$ 
 $R_4$ 
 $R_5$ 
 $R_5$ 
 $R_5$ 
 $R_5$ 

_	No	x	Y	w	R <sub>1</sub>	R <sub>2</sub>	R <sub>4</sub>	R <sub>B</sub>	Ro
_	17-1	a	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	methyl	0	<u> </u>
	17-2	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	isopropyl	0	0
	17-3	Cl	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF,	benzyl	0	0
	17-4	CI	F	OCH,	CH,	CF <sub>3</sub>	2-naphthyl	0	0
	17-5	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	2-hydroxyethyl	0	0
	17-6	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	benzyl	0	S
	17-7	CI	F	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	benzyl	S	0
	17-8	CN	F	OCH,	CH <sub>3</sub>	CF,	benzyl	О	0
	17-9	Cl	Н	OCH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	benzyl	0	0
	17-10	CI	F	OCH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>	CF <sub>3</sub>	benzyl	0	0

#### TABLE XVIII

#### <sup>1</sup>H NMR data

	No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm
60	1-1	(CDCl <sub>3</sub> +CD <sub>3</sub> OD)4.04(3H, s), 6.19(1H, s), 7.57(1H, d, J=8.6Hz)
	1-2	(DMSO-d <sub>6</sub> ), 3.30(2H, br s), 6.54(1H, s), 8.12(1H, d, J=9.2Hz)
	1-3	(CDCl <sub>3</sub> +CD <sub>3</sub> OD)3.85(3H, s), 6.2(1H, s), 6.58(1H, d, J=9.4Hz)
	1-4	3.57(3H, br q, J=1.2Hz), 3.86(3H, s), 4.04(2H, br s), 6.37(1H, s), 6.66(1H, d, J=9.4Hz)
65	1-5	3.55(3H, br q, J=1.1Hz), 4.04(3H, s), 6.33(1H, s), 7.51(1H, d, J=8.6Hz)

#### TABLE XVIII-continued TABLE XVIII-continued <sup>1</sup>H NMR data <sup>1</sup>H NMR data 5 No. NMR(CDCl<sub>3</sub>, 300MHz) ppm No. NMR(CDCl<sub>3</sub>, 300MHz) ppm 1-6 3.57(3H, q, J=1.1Hz), 6.38(1H, s), 7.85(1H, d, J=8.0Hz), 3.57(3H, d, J=1.2Hz), 6.40(1H, s), 7.57(1H, d, J=8.2Hz), 8.04(1H, 10.57(1H, br) dd, J=8.2, 1.6Hz), 8.53(1H, m) 3.52(3H, q, J=0.7Hz), 3.82(3H, s), 4.13(2H, br), 6.32(1H, s), 6.79(1H, d, J=9.1Hz) ad, J=3.2, 1.042), 8.33(1H, m) 1.27(1.5H, t, J=7.1Hz), 1.28(1.5H, t, J=7.1Hz), 1.44(1.5H, d, J=6.9Hz), 1.45(1.5H, d, J=6.9Hz), 3.58(3H, s), 4.08(1H, m), 4.20(2H, q, J=7.1Hz), 4.39(0.5H, d, J=7.2Hz), 4.43(0.5H, d, J=7.2Hz), 6.26(1H, m), 6.39(2H, m), 6.50(1H, t, J=73.3Hz) 1-7 1-53 3.48(3H, s), 4.90(3H, br), 6.30(1H, s), 6.69(1H, d, J=9.0Hz) 1-8 10 1-9 (Acetone-d<sub>6</sub>)3.68(3H, br q, J=1.4Hz), 4.05(3H, s), 8.03(1H, d, J=9.1Hz) 1.27(1.5H, t, J=7.1Hz), 1.28(1.5H, t, J=7.1Hz), 1.44(1.5H, d, 3.52(3H, br s), 3.86(3H, s), 4.05(2H, br s), 4.63(2H, br s), 1-10 J=6.9Hz), 1.46(1.5H, d, J=6.9Hz), 3.57(3H, m), 4.11(1H, m), 4.22(2H, m), 4.35(0.5H, d, J=7.4Hz), 4.43(0.5H, d, J=7.1Hz), 6.66(1H, d, J=9.7Hz) 1-11 2.74(6H, s), 3.57(3H, br s), 3.84(3H, s), 6.35(1H, s), 7.01(1H, 6.36(0.5H, s), 6.38(0.5H, s), 6.49(1H, m), 6.62(1H, dd, J=9.1, d. J=8.8Hz) 15 1-55 1.22(1.5H, t, J=7.1Hz), 1.23(1.5H, t, J=7.1Hz), 1.36(1.5H, d, J=6.9Hz), 1.38(1.5H, d, J=6.9Hz), 3.55(3H, m), 3.82(1.5H, s), 3.86(1.5H, s), 4.0-4.4(4H, m), 6.36(1H, s), 6.76(0.5H, d, 4.05(3H, s), 4.61(2H, s), 6.24(1H, s), 7.52(1H, d, J=8.6Hz) 1-13 3.78(3H, s), 5.24(2H, s), 5.41(2H, br s), 6.21(1H, s), 6.56(1H, d. J=9.5Hz) 1.43(3H, m), 4.06(3H, s), 4.31(2H, m), 6.32(1H, s), 7.56(1H, m) 1.15(3H, m), 3.85(3H, s), 3.35(2H, m), 6.48(1H, s), 6.55(1H, m) (CDCl<sub>3</sub>+CD<sub>3</sub>OD)3.55(3H, br q, J=1.1Hz), 6.36(1H, s), 1-14 J=9.1Hz), 6.79(0.5H, d, J=9.1Hz) 4.73(2H, br s), 5.50(3H, br), 6.16(1H, s), 6.53(1H, d, J=9.3Hz) 4.42(2H, br s), 4.70(2H, br s), 4.72(2H, s), 6.16(1H, s), 6.60(1H, 1-56 1-16 1-57 20 6.61(1H, d, **J=**92) d, J=9.1Hz) 3.57(3H, d, J=1.2Hz), 6.38(1H, s), 7.67(1H, d, J=8.3Hz), 10.47(1H, br s) d, J=9.1H2) 3.77(3H, s), 4.64(2H, br s), 4.87(2H, s), 5.28(2H, br s), 6.17(1H, s), 6.52(1H, d, J=9.2Hz) 1.29(3H, t, J=7.1Hz), 3.55(3H, q, J=1.0Hz), 4.23(2H, q, J=7.1Hz), 4.64(2H, s), 4.82(2H, br s), 6.35(1H, s), 6.60(1H, d, 1-17 1-58 3.51(3H, br q, J=0.9Hz), 4.94(2H, s), 5.66(2H, br s), 6.39(1H, s), 6.65(1H, d, J=9.4Hz) 1-18 1-59 2.58(1H, m), 3.55(3H, br q, J=1.3Hz), 4.17(2H, br s), 4.7(2H, d, J=2.4Hz), 6.35(1H, s), 6.65(1H, d, J=9.3Hz) 3.57(3H, d, J=0.8Hz), 3.78(3H, s), 4.02(2H, br s), 4.65(2H, dd, 1-19 J=9.2Hz) 25 <sub>1-60</sub> 1.27(3H, t, J=7.1Hz), 1.659(1.5H, d, J=7.0Hz), 1.666(1.5H, d, J=7.0Hz), 3.55(3H, s), 4.20(2H, q, J=7.1Hz), 4.73(1H, m), 6.346(0.5H, s), 6.355(0.5H, s), 6.61(1H, d, J=9.3Hz) 1-20 J=4.4, 1.9Hz), 6.28(1H, dt, J=15.7, 1.9Hz), 6.65(1H, d, J=9.3Hz), 7.01(1H, dt, J=15.7, 4.4Hz) 1.63–1.94(8H, m), 3.55(3H, s), 3.97(2H, s), 4.8(1H, m), 6.34(1H, s), 6.65(1H, d, J=9.6Hz) 1.41(3H, t, J=7.0Hz), 3.52(3H, q, J=1.0Hz), 4.04(2H, q 1-61 1.-7.012, 1, 3.-2.2(31, q, 3-1.012), 4.04(211, q, 1-7.012), 4.10(21), br s), 6.32(11), s), 6.62(11), d, 1-9.512) 1.16(31), t, J-7.112), 1.42(31), t, J-7.112), 2.99(21), q, J-7.112), 3.57(31), q, J-1.112), 4.03(21), q, J-7.112), 4.14(11), br s), 6.35(11), s), 6.63(11), d, J-9.412) 1-21 1-62 1-22 3.54(3H, br q, J=1.1Hz), 3.94(2H, br s), 5.0(2H, s), 6.34(1H, s), 30 6.69(1H, d, J=9.4Hz), 7.3-7.5(5H, m) 3.58(3H, br q, J=1.2Hz), 4.15(2H, br s), 6.38(1H, s), 6.78(1H, d, J=9.2Hz), 7.22(1H, m), 8.36(2H, m) 1.35(6H, d, J=6.2Hz), 3.55(3H, q, J=1.2Hz), 3.95(2H, br s), 4.50(1H, q, J=6.2Hz), 6.34(1H, s), 6.66(1H, d, J=9.4Hz) 1.06(3H, t, J=6.3Hz), 1.37(6H, d, J=6.2Hz), 3.57(3H, q, J=1.2Hz), 3.83(2H, br s), 4.52(1H, q, J=6.2Hz), 6.35(1H, s), 6.70(1H, d, 1-23 1-63 1-30 3.52(3H, br q, J=1.1Hz), 3.75(3H, s), 6.31(1H, s), 7.22(1H, d, J=8.8Hz) 2.87(3H, s), 2.96(3H, s), 3.53(3H, s), 3.64(3H, s), 6.30(1H, s), 6.86(1H, d, J=8.9Hz), 7.68(1H, s) 1-31 J=9.3Hz) 35 1-65 7.62(1H, d, J=8.5Hz), 8.37(1H, 2d, J=2.6Hz, 8.4Hz), 8.83(1H, d, 1-32 1.83(4H, m), 3.21(4H, m), 3.55(3H, br s), 3.72(3H, s), 6.34(1H, J=2.6Hz) s), 6.86(1H, d. J=8.9Hz) 1-66 3.56(3H, s), 6.37(1H, s), 6.86(1H, d, J=8.4Hz), 7.77(1H, d, 1.27(3H, t, J=7.1Hz), 4.20(2H, q, J=7.1Hz), 6.21(1H, s), 7.62(1H, J=8.4Hz), 10.75(1H, broad) 3.56(3H, s), 6.37(1H, s), 8.55(1H, s) d, J=8.8Hz) 1-67 1.26(3H, t, J=7.1Hz), 4.16(2H, q, J=7.1Hz), 3.53(3H, s), 6.35(1H, s), 7.70(1H, d, J=8.5Hz) 40 1-68 J-5.56(3H, s), 6.39(1H, s), 7.45(1H, d, J-8.7Hz), 7.64(1H, 2d, J-1.7Hz, 8.7Hz), 8.13(1H, d, J-1.7Hz) 1-34 2.40(3H, d, J=1.1Hz), 3.54(3H, d, J=1.3Hz), 6.33(1H, s), 7.51(1H, 1-36 4.15(3H, s), 6.14(1H, s), 8.51(1H, s), 12.8(1H, broad) 6.26(1H, s), 7.62(1H, 2d, J=6.1Hz, 8.3Hz, 8.3(1H, broad) 3.56(3H, s), 4.8(3H, broad), 6.38(1H, s), 6.59(1H, d, J=8.7Hz), 1-69 d, J=8.5Hz) 1-70 1-37 2.23(3H, d, J=0.9Hz), 3.57(3H, d, J=1.1Hz), 6.38(1H, s), 6.74(1H, 1-71 d, J=9.4Hz) 6.85(1H, d, J=8.7Hz) 3.57(3H, d, J=1.1Hz), 4.17(2H, br s), 6.37(1H, s), 6.50(1H, t, 1-38 3.56(3H, s), 3.89(3H, s), 6.37(1H, s), 6.75(1H, d, J=8.7Hz), 6.87(1H, d, J=8.7Hz) 1-72 45 J=74.0Hz), 6.72(1H, d, J=9.1Hz) 1-40 3.56(3H, d, J=1.1Hz), 3.96(3H, s), 6.36(1H, s), 7.07(1H, d, 1-73 3.55(3H, s), 3.75(2H, s), 6.36(1H, s), 6.73(2H, m), 7.01(1H, 2d, J=8.7Hz) J=2.4Hz, 6.9Hz) 2.04(3H, s), 3.57(3H, br q, J=1.1Hz), 3.86(3H, s), 6.30(1H, s), 7.22(1H, d, J=9.6Hz) 1-41 3.54(3H, d, J=1.1Hz), 6.38(1H, s), 6.89(2H, m), 7.00(1H, dd, 2-1 3.55(3H, d, J=1.2Hz), 6.38(1H, s), 7.38(2H, br s) 3.55(3H, d, J=1.2Hz), 6.38(1H, s), 7.38(1H, dd, J=7.9, 1.4Hz), 7.66(1H, td, J=7.9, 1.4Hz), 7.79(1H, td, J=7.9, 1.4Hz), 8.27(1H, 1-42 2.29(3H, s), 2.33(3H, s), 3.53(3H, br s), 3.78(3H, s), 6.3(1H, s), 2-2 50 7.42(1H, d, J=8.8Hz) dd, J=7.9, 1.4Hz) 1.14(9H, s), 3.56(3H, s), 3.82(3H, s), 6.29(1H, s), 7.19(1H, d, J=9.0Hz), 7.61(1H, br s) 2-3 1-43 3.56(3H, d, J=1.1Hz, 6.39(1H, s), 7.58(1H, d J=8.2Hz), 8.05(1H, dd, J=8.2, 1.8Hz), 8.54(1H, d, J=1.8Hz) 2-4 3.49(3H, br q, J=1.0Hz), 3.75(3H, s), 5.70-5.79(2H, m), 6.26(1H, 3.49(3H, br q, J=1.0Hz), 3.75(3H, s), 5.70–5.79(2H, m), 6.26(1H, s), 6.40–6.55(4H, m), 7.42(1H, d, J=8.7Hz) 1.95(3H, s), 3.55(3H, br s), 3.84(3H, s), 5.45(1H, s), 5.70(1H, s), 6.27(1H, s), 7.20(1H, d, J=9.0Hz), 7.62(1H, br s) 1.90(3H, s), 1.91(3H, s), 3.49(3H, br s), 3.79(3H, s), 5.46(2H, s), 5.64(1H, s), 5.66(1H, s), 6.27(1H, s), 7.30(1H, d, J=8.8Hz) 1.86(3H, s), 2.05(3H, s), 3.56(3H, br s), 3.82(3H, s), 5.66(1H, br s), 6.27(1H, s), 7.17(1H, d, J=9.0Hz), 7.23(1H, br s) 1.85(6H, m), 2.12(6H, m), 3.47(3H, br q, J=1.0Hz), 3.77(3H, s), 5.91(1H, m), 5.98(1H, m), 6.25(1H, s), 7.34(1H, d, J=8.7Hz) (CDCl<sub>3</sub>+CD<sub>3</sub>OD)3.86(3H, s), 6.16(1H, s), 7.37(1H, d, J=8.9Hz) 3.54(3H, br s), 3.86(3H, s), 6.31(1H, s), 7.32(1H, d, J=9.0Hz) (CDCl<sub>2</sub>+CD<sub>2</sub>OD)3.55(3H, br s), 4.87(2H, s), 6.5(1H, s), 7.44(1H, d, J=9.0Hz) 1-44 3.57(3H, d, J=1.1Hz), 6.39(1H, s), 7.13(3H, m) 3.58(3H, d, J=1.2Hz), 6.38(1H, s), 7.87(1H, s), 10.61(1H, br s) 1-45 2-5 3.55(3H, d, J=1.2Hz), 3.93(3H, s), 6.37(1H, s), 7.27(2H, m), 7.77(1H, dd, J=2.1, 1.0Hz) 1-46 55 2-6 3.50(3H, d, J=0.9Hz), 3.81(3H, s), 3.96(2H, s), 6.31(1H, s). 2-7 6.88(1H, s) 1-48 1.27(3H, t, J=7.1Hz, 1.58(3H, d, J=6.8Hz), 3.55(3H, d, J=1.1Hz), 2-8 3.64(2H, br s), 4.22(2H, m), 4.70(1H, q, J=6.8Hz), 6.36(1H, s), 6.38(2H, m), 6.88(1H, d, J=9.2Hz) 2-9 1-49 1.29(3H, t, J=7.1Hz), 1.68(3H, d, J=6.8Hz), 3.54(3H, d, J=1.0Hz), 2-10 4.26(2H, m), 4.82(1H, q, J=6.8Hz), 6.36(1H, s), 7.25(2H, m), 2-11 (CDCl<sub>3</sub>+CD<sub>3</sub>OD)3.55(3H, br s), 4.87(2H, s), 6.35(1H, s), 7.44(1H, 7.74(1H, m) d. J=8.7Hz) 3.57(3H, q, J=1.2Hz), 6.38(1H, s), 6.65(1H, t, J=71.1Hz), 7.37(1H, dd, J=9.3, 2.7Hz), 7.85(1H, dd, J=2.7, 2.5Hz) 3.49(3H, d, J=1.0Hz), 6.30(3H, m), 6.42(1H, t, J=73.2Hz), 1-50 2-12 (CDCl<sub>3</sub>+CD<sub>3</sub>OD)3.59(3H, br s), 3.87(3H, s), 7.37(1H, d, J=8.8Hz) 65 2-13 3.56(3H, br q, J=1.1Hz), 3.89(3H, s), 4.08(2H, s), 6.3(1H, s), 7.8(2H, br s) 7.25(1H, d, J=9Hz)

	¹H NMR data			¹H NMR data
No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm	5	No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm
2-14	3.52(3H, br q, J=1.1Hz), 3.74(2H, m), 3.85(3H, s), 6.39(1H, s),		2-46	3.52(3H, s), 3.91(3H, s), 6.75–7.05(2H, m), 6.95(1H, s), 7.39(1H,
2-15	7.53(1H, d, J=9.3Hz), 9.03(1H, m) 3.56(3H, s), 3.91(3H, s), 3.95(3H, s), 6.29(1H, s), 7.24(1H, d,		2-47	d, J=8.9Hz), 8.03(1H, m), 8.56(1H, m) 3.55(3H, br s), 3.91(3H, s), 6.32(1H, s), 6.93(2H, m), 7.25(1H, d,
2-16	J=9.0Hz), 9.00(1H, s) 1.27(3H, t, J=7.1Hz), 1.28(3H, t, J=7.1Hz), 3.42(2H, s), 3.57(3H,	10	2-48	J=8.9Hz), 7.39(1H, m), 8.03(1H, br s) 3.55(3H, br q, J=1.0Hz), 3.83(3H, s), 6.26(1H, s), 7.24(1H, d,
	br s), 4.04(2H, s), 4.10-4.30(4H, m), 6.40(1H, s), 7.33(1H, d, J=9.8Hz), 8.07(1H, s)		2-49	J=9.1Hz), 7.25(1H, m), 7.54(1H, m), 7.65(1H, m), 8.05(1H, br s)
2-17	0.95(2H, m), 1.10(2H, m), 1.50(1H, m), 3.55(3H, s), 6.37(1H, s),			3.39(3H, br s), 3.77(3H, s), 6.1(1H, s), 7.10–7.40(2H, m), 7.34(1H, d, J=8.8Hz), 7.60–8.00(4H, m)
2-18	7.22(1H, d, J=9.0Hz), 7.92(1H, br s), 8.41(1H, br, s) 0.70-1.20(8H, m), 1.96(1H, m), 2.15(1H, m), 3.54(3H, br s),		2-50	J=9.3Hz), 7.31(2H, m), 8.28(1H, s)
2-19	3.75(3H, s), 6.35(1H, s), 7.38(1H, d, J=8.6Hz) 1.40(5H, m), 1.70(5H, m), 2.25(1H, m), 3.32(3H, s), 3.82(3H, s),	15	2-51 2-53	3.43(3H, br s), 3.79(3H, s), 6.15(1H, s), 6.95–7.75(7H, m) 3.56(3H, d, J=1.2Hz), 3.91(3H, s), 6.32(1H, s), 7.26(1H, d,
2-20	6.34(1H, s), 7.17(1H, d, J=9.0Hz), 7.68(3H, s) 1.20(10H, m), 1.70(10H, m), 2.50(2H, m), 3.50(3H, s), 3.68(3H,			J=9.0Hz), 7.35(1H, ddd, J=8.6, 6.1, 2.5Hz), 7.42(2H, m), 7.52(1H, dd, J=7.4, 1.2Hz), 7.83(1H, br s)
2-21	s), 6.31(1H, s), 7.36(1H, m) 3.37(3H, s), 3.44(3H, s), 3.55(3H, br s), 4.18(3H, s), 6.33(1H, s),		2-54	3.53(3H, s), 3.82(3H, s), 6.26(1H, s), 7.22(1H, d, J-9.0Hz), 7.39 (1H, dd, J-7.8, 7.9Hz), 7.53(1H, m), 7.62(1H, m), 7.77(1H, m),
	7.43(1H, d, J=8.8Hz) 3.2(3H, s), 3.55(3H, s), 3.96(3H, s), 6.35(1H, s), 6.48(1H, br s),	20	2-55	8.06(1H, br s) 3.36(3H, s), 3.81(3H, s), 6.11(1H, s), 7.30(3H, m), 7.43(2H, m),
2-22	7.29(1H, d, J=8.8Hz)			7.76(4H, m)
	3.52(3H, br s), 3.64(3H, s), 6.29(1H, s), 6.85(1H, d, J=9.1Hz), 7.4(5H, m), 7.68(1H, s)		2-56	7.44(2H, d, J=8.7Hz), 7.72(2H, d, J=8.7Hz), 7.92(1H, s)
2-23	J=9.0Hz), 7.32(2H, m), 7.53(2H, m), 8.02(1H, s)	25	2-57 2-58	3.32(3H, s), 3.78(3H, s), 6.06(1H, s), 7.34(5H, m), 7.80(4H, m) 3.56(3H, d, J=1.0Hz), 3.89(3H, s), 6.32(1H, s), 7.27(1H, d,
2-24	J=9.0Hz), 7.26(2H, d, J=7.8Hz), 7.67(2H, d, J=7.8Hz),	23		J=9.0Hz), 7.31(1H, dd, J=8.1, 1.9Hz), 7.47(2H, m), 7.92(1H, br s)
2-25	7.91(1H, s) 2.32(3Hx2, s), 3.28(3H, s), 3.82(3H, s), 6.02(1H, s), 7.10(4H, d,		2-59	3.55(3H, d, J=1.1Hz), 3.84(3H, s), 6.25(1H, s), 7.25(1H, d, J=9.1Hz), 7.54(1H, d, J=8.3Hz), 7.60(1H, dd, J=8.3, 2.0Hz),
2-26	J=7.9Hz), 7.26(1H, d, J=9.0Hz), 7.73(4H, m) 2.40(3H, s), 3.44(3H, s), 3.54(3H, d, J=1.1Hz), 6.29(1H, s),		2-60	7.88(1H, br s), 7.89(1H, d, J=2.0Hz) 3.54(3H, br s), 3.83(3H, s), 6.26(1H, s), 7.24(1H, d, J=9.0Hz),
	6.55(1H, br s), 7.18(1H, d J=8.9Hz), 7.25(2H, d, J=8.3Hz), 7.68(2H, d, J=8.3Hz)	30	2-61	7.34(2H, m), 7.65-7.75(2H, m), 7.92-8.25(4H, m) 3.53(3H, s), 3.82(3H, s), 6.23(1H, s), 7.22(1H, d, J=9.0Hz),
2-27	1.26(3H, t, J=7.7Hz), 2.71(2H, q, J=7.7Hz), 3.54(3H, s), 3.83(3H, s), 6.23(1H, s), 7.21(1H, d, J=9.0Hz), 7.29(2H, d,		2-62	7.61(4H, m), 7.95(1H, s) 3.33(3H, s), 3.80(3H, s), 6.06(1H, s), 7.31(1H, d, J=9.0Hz),
2-28	J=8.2Hz), 7.70(2H, d, J=8.2Hz), 7.86(1H, br s) 1.26(3H, t, J=7.6Hz), 2.71(2H, q, J=7.6Hz), 3.51(3H, br s), 4.78		2-63	7.51(4H, m), 7.73(4H, m) 3.54(3H, d, J=1.1Hz), 3.83(3H, s), 3.87(3H, s), 6.22(1H, s),
2-29	(2H, s), 6.25(1H, s), 7.28(3H, m), 7.73(2H, m), 7.84(1H, br s) 0.95(6H, t, J=7.2Hz), 1.66(4H, m), 2.64(4H, m), 3.53(3H, br s),	35		6.95(2H, d, J=8.8Hz), 7.21(1H, d, J=9.1Hz), 7.75(2H, d, J=8.8Hz),
2-23	3.83(3H, s), 6.23(1H, s), 7.21(1H, d, J=9.3Hz), 7.27(4H, m),		2-64	7.78(1H, br. s) 1.44(3H, t, J=7.0Hz), 3.52(3H, s), 3.82(3H, s), 4.06(2H, q,
2-30				J=7.0Hz), 6.22(1H, s), 6.90(2H, d, J=9.0Hz), 7.20(1H, d, J=9.0Hz), 7.73(2H, d, J=9.0Hz), 7.91(1H, s)
2-31	7.49(2H, d, J=8.6Hz), 7.73(2H, d, J=8.6Hz), 7.88(1H, br s) 3.54(3H, s), 3.83(3H, s), 5.40(1H, d, J=10.9Hz), 5.87(1H, d,	40	2-66	3.55(3H, d, J=1.0Hz), 3.84(3H, s), 6.25(1H, s), 7.25(1H, d, J=9.1Hz), 7.51(2H, d, J=8.6Hz), 7.85(2H, d, J=8.6Hz),
	J=17.6Hz), 6.78(1H, dd, J=17.6, 10.9Hz), 7.22(1H, d, J=9.0Hz), 7.49(2H, d, J=8.2Hz), 7.75(1H, d, J=82Hz),		2-67	
2-32	8.01(1H, br s) 2.31(3H, s), 2.32(3H, s), 3.54(3H, d, J=1.0Hz), 3.82(3H, s), 6.23		2-69	J=8.4Hz), 7.85(2H, d, J=8.4Hz), 7.96(1H, br s) 3.40(3H, br s), 3.79(3H, s), 6.12(1H, s), 7.36(1H, d, J=8.7Hz),
	(1H, s), 7.19(1H, d, J=9.1Hz), 7.22(1H, d, J=7.8Hz), 7.50(1H, dd, J=7.8, 1.7Hz), 7.56(1H, br s), 7.86(1H, br s)		2-70	8.06(4H, m), 8.25(4H, m) 3.50(3H, br s), 3.87(3H, s), 6.32(1H, s), 7.51(1H, d, J=8.8Hz),
2-33		45	2-71	9.07(2H, m), 9.12(1H, m), 9.91(1H, br s)
2-34				7.92(4H, m) 3.54(3H, s), 3.83(3H, s), 6.24(1H, s), 7.25(3H, m), 7.82(2H, m),
2-36			2-73	8.02(1H, s) 3.54(3H, br s), 3.83(3H, s), 6.05(2H, s), 6.23(1H, s), 6.85(1H, d,
2-37	J=8.3Hz), 7.91(1H, br s)	50		J=7.8Hz), 7.21(1H, d, J=8.8Hz), 7.25–7.34(2H, m), 7.80(1H, br s)
2-38	7.45(3H, m), 7.63(4H, m), 7.84(2H, d, J=8.2Hz), 8.13(1H, s)		2-74	3.52(3H, s), 3.84(3H, s), 6.25(1H, s), 7.24(1H, d, J=9.0Hz),
2-39	3.32(3H, s), 3.86(3H, s), 6.08(1H, s), 7.52(15H, m), 7.95(4H, m) 3.56(3H, br s), 3.89(3H, s), 6.27(1H, s), 7.15-7.3(2H, m),		2-75	
	7.24(1H, d, J=9.1Hz), 7.54(1H, m), 7.92(1H, m), 8.43(1H, br d, J=13.8Hz)	55	2-76	7.80(7H, m), 8.32(1H, s) 3.87(3H, s), 6.1(1H, s), 7.31(1H, d, J=9.0Hz), 7.60(2H, m),
2-40	3.53(3H, br s), 3.83(3H, s), 6.23(1H, s), 7.12(2H, m), 7.22(1H, d, J=9.1Hz), 7.79(2H, m), 7.97(1H, br s)		2-77	
2-41			2-78	7.50-7.60(3H, m), 7.80-7.85(4H, m), 8.07(1H, s) 3.56(3H, s), 3.86(3H, s), 6.28(1H, s), 6.49(1H, d, J=15.6Hz),
2.42	J=11.1Hz)	٠,		7.21(1H, d, J=9.0Hz), 7.39(4H, m), 7.50(2H, m), 7.63(1H, d, J=15.6Hz)
2-42	d, J=9Hz), 7.97(1H, m), 8.37(1H, br d, J=13.3Hz)	60	2-79	3.57(3H, s), 3.86(3H, s), 6.28(1H, s), 6.54(1H, d, J=15.7Hz), 6.84-6.94(3H, m), 7.22(1H, d, J=9.0Hz), 7.36(1H, br s),
2-43	m), 7.35(1H, d, J=8.8Hz), 7.78(2H, m)		2-80	7.48(1H, q, J=7.7Hz), 7.67(1H, d, J=15.7Hz) 2.41(3H, s), 3.57(3H, s), 3.86(3H, s), 6.29(1H, s), 6.40(1H, d,
2-44	3.88(3H, s), 6.26(1H, s), 6.98(2H, m), 7.23(1H, d, J=9Hz), 7.96(1H, m), 8.46(1H, m)			J=15.4Hz), 7.19-7.32(4H, m), 7.33(1H, br s), 7.53(1H, d, J=7.2Hz), 7.93(1H, d, J=15.4Hz)
2-45		65	2-81	2.12(3H, d, J=1.3Hz), 3.57(3H, d, J=0.9Hz), 3.88(3H, s), 6.29(1H, s), 7.20(1H, d, J=9.1Hz), 7.36(5H, m), 7.66(1H, br s)
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	IABLE XVIII-continued			IABLE XVIII-continued
	<sup>1</sup> H NMR data	_		<sup>1</sup> H NMR data
No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm	5	No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm
2-82	3.57(3H, br s), 3.85(3H, s), 6.29(1H, s), 6.48(1H, d, J=15.6Hz), 7.16(1H, d, J=9.0Hz), 7.28(2H, m), 7.40(1H, dd, J=7.9, 1.6Hz), 7.53(1H, dd, J=7.4, 1.6Hz), 7.67(1H, br s), 7.98(1H, d,			2.18(3H, s), 3.56(3H, d, J=1.1Hz), 3.86(3H, s), 4.58(2H, s), 6.30(1H, s), 7.24(1H, d, J=9.0Hz) 1.40(3H, t, J=7.1Hz), 3.56(3H, d, J=1.0Hz), 3.91(3H, s),
2-83	J=15.6Hz) 3.46(3H, br s), 3.83(3H, s), 6.24(1H, s), 6.80(1H, d, J=15.5Hz),	10		4.39(2H, q, J=7.1Hz), 6.29(1H, s), 7.25(1H, d, J=9.1Hz), 9.01(1H, br s)
	6.91(1H, d, J=15.5Hz), 7.30(4H, m), 7.39(2H, m), 7.45(1H, d, J=8.8Hz), 7.56(1H, dd, J=7.6, 1.8Hz), 7.59(1H, dd, J=7.6, 1.8Hz), 8.18(1H, d, J=15.5Hz), 8.20(1H, d, J=15.5Hz)			3.54(3H, s), 3.72(3H, s), 4.56(2H, s), 6.24(1H, s), 6.85-7.40(6H, m), 8.50(1H, br s) 3.45(3H, s), 3.85(3H, s), 4.8-5.15(4H, m), 6.27(1H, s), 6.8-
2-84	3.56(3H, br s), 3.84(3H, s), 6.29(1H, s), 6.45(1H, d, J=15.6Hz), 7.18(1H, d, J=9.0Hz), 7.54(2H, d, J=8.6Hz), 7.40(2H, d,			7.0(6H, m), 7.20-730(4H, m), 7.48(1H, d, J=8.7Hz) 3.59(3H, s), 3.93(3H, s), 6.35(1H, s), 7.26(1H, d, J=9.0Hz),
2-85	J=8.6Hz), 7.55(1H, d, J=15.6Hz), 7.59(1H, br s) 3.56(3H, br s), 3.84(3H, s), 3.85(3H, s), 6.28(1H, s), 6.35(1H, d, J=15.5Hz), 6.89(2H, d, J=8.7Hz), 7.19(1H, d, J=9.0Hz),	15	2-122	7.40–7.70(3H, m), 8.20(2H, m), 8.97(1H, br s) 2.33(3H, s), 3.49(3H, d, J=0.9Hz), 6.24(1H, s), 7.34(1H, d, J=9.1Hz), 7.50–7.62(2H, m), 7.75–7.95(5H, m), 8.31(1H, br s)
2-86	7.35(1H, br s), 7.45(2H, d, J=8.7Hz), 7.58(1H, d, J=15.5Hz) 2.60(2H, q, J=7.7Hz), 2.91(2H, t, J=7.7Hz), 3.56(3H, s), 3.69(3H, s), 6.26(1H, s), 7.1=7.3(6H, m)			2.28(3H, s), 3.54(3H, s), 6.29(1H, s), 6.59(1H, d, J=15.5Hz), 7.20-7.50(6H, m), 7.63(1H, d, J=15.5Hz), 2.29(6H, s), 3.56(3H, s), 3.93(3H, s), 6.32(1H, s), 7.05(2H, m),
2-87	2.66(2H, m), 2.92(2H, m), 3.55(3H, s), 4.52(2H, s), 6.28(1H, s), 7.1-7.4(6H, m)	20		7.20(2H, m), 7.47(1H, br s) 3.57(3H, s), 3.87(3H, s), 6.29(1H, s), 6.62(1H, d, J=15.7Hz),
2-88	1.90(2H, tt, J=7.5, 7.4Hz), 2.29(2H, d, J=7.4Hz), 2.61(2H, t, J=7.5Hz), 3.52(3H, d, J=0.7Hz), 3.84(3H, s), 6.28(1H, s), 7.13–7.32(7H, m)		2-126	7.1-7.5(5H, m), 7.72(1H, d, J=15.7Hz) 3.52(3H, s), 3.80(3H, s), 6.24(1H, s), 6.32(1H, d, J=15.6Hz), 7.11(1H, br d, J=8.8Hz), 7.4-7.6(4H, m), 7.95(2H, m)
2-89	3.54(3H, s), 3.82(3H, s), 4.02(2H, s), 4.55(2H, s), 6.15(1H, s), 7.16(1H, d, J=9.0Hz), 7.4(5H, m), 8.55(1H, s)	25	2-127	3.56(3H, s), 3.86(3H, s), 3.89(3H, s), 6.28(1H, s), 6.64(1H, d, J=15.7Hz), 6.95(2H, m), 7.19(1H, d, J=9.0Hz), 7.35(2H, m),
2-90	3.56(3H, d, J=1.1Hz), 3.87(3H, s), 6.26(1H, s), 6.55(1H, dd, J=3.6, 1.8Hz), 7.17(1H, dd J=3.6, 0.5Hz), 7.22(1H, d, J=9.1Hz), 7.54(1H, dd, J=1.8, 0.5Hz), 8.18(1H, br s)		2-128	7.46(1H, dd, J=7.6, 1.4Hz), 7.88(1H, d, J=15.7Hz) 3.59(3H, s), 3.88(3H, s), 6.31(1H, s), 6.65(1H, d, J=15.9Hz), 7.20(2H, m), 7.35(2H, d, J=8.1Hz), 7.37(1H, br s), 7.72(1H, d,
2-91	7.18(1H, d, J=9.0Hz), 7.39(1H, s), 8.30(1H, s)		2-129	J=15.9Hz) 2.28(3H, s), 2.53(2H, t, J=7.3Hz), 2.88(2H, t, J=7.3Hz),
	J=15.2Hz), 6.48(1H, dd J=3.4, 1.8Hz), 6.60(1H, d, J=3.4Hz), 7.19(1H, d, J=9.0Hz), 7.34(1H, br s), 7.40(1H, d, J=15.2Hz),	30	2-130	3.56(3H, s), 3.73(3H, s), 6.26(1H, s), 7.11(5H, m), 7.35(1H, br s) 2.33(3H, s), 2.36(3H, s), 3.57(3H, s), 3.86(3H, s), 6.29(1H, s), 6.40(1H, d, J=15.4Hz), 7.09(2H, br s), 7.20(1H, d, J=9.0Hz),
2-93	7.48(1H, d, J=1.8Hz) 3.45(3H, s), 3.66(3H, s), 3.80(2H, s), 6.16(1H, s), 7.00(4H, m), 7.55(1H, br s)		2-131	7.33(1H, br s), 7.35(1H, s), 7.90(1H, d, J=15.4Hz) 3.54(3H, d, J=1.0Hz), 6.37(1H, s), 7.21(1H, d, J=8.6Hz), 7.33(1H, d, J=8.21), 7.23(1H, d, J=8.21), 7.33(1H, d, J=8.21), 7.33(1
2-94	2.46(3H, s), 3.56(3H, s), 3.88(3H, s), 6.26(1H, s), 6.94(1H, m), 7.20(1H, d, J=9.0Hz), 7.36(1H, m), 7.65(1H, s) 2.45(3H, s), 3.47(3H, s), 3.78(3H, s), 6.17(1H, s), 6.70(1H, m),	35		dd, J=8.6, 2.1Hz), 7.60(2H, m), 7.77(1H, dd, J=8.6, 1.8Hz), 7.88(3H, m), 7.98(1H, br s), 8.01(1H, d, J=2.1Hz), 8.26(1H, d, J=1.3Hz)
2-96	7.13(1H, d, J-9.0Hz), 7.32(1H, m), 7.63(1H, s) 2.41(6H, s), 3.26(3H, s), 3.78(3H, s), 5.97(1H, s), 6.59(2H, m), 7.24(1H, d, J-9.0Hz), 7.39(2H, m)			2.21(3H, s), 2.27(3H, s), 2.48(2H, t, J=7.8Hz), 2.81(2H, t, J=7.8Hz), 3.57(3H, s), 3.73(3H, s), 6.27(1H, s), 6.92(2H, m), 7.02(1H, d, J=7.6Hz), 7.12(1H, br d, J=8.6Hz), 7.51(1H, br s)
	3.31(3H, s), 3.84(3H, s), 6.03(1H, s), 7.05(2H, m), 7.40(2H, m), 7.70(3H, m), 3.54(3H, s), 3.84(3H, s), 6.25(1H, s), 7.25(1H, d, J=9.7Hz),	40	2-133	3.55(3H, d, J=1.0Hz), 3.76(3H, s), 4.52(2H, s), 6.26(1H, s), 6.88(2H, dd, J=9.1, 2.4Hz), 7.02(2H, dd, J=9.1, 8.1Hz), 7.20(1H, d, J=9.0Hz), 8.48(1H, br s)
	7.41(1H, dd, J=7.7, 4.8Hz), 8.01(1H, d, J=7.7Hz), 8.32(1H, br s), 8.78(1H, br s), 9.01(1H, br s)		2-134	3.57(3H, s), 3.86(3H, s), 6.28(1H, s), 6.50(1H, d, J=15.5Hz), 7.23(1H, d, J=9.0Hz), 7.35(4H, m), 7.50(1H, br s), 7.58(1H, d,
2-100	3.42(3H, q, J=1.0Hz), 3.79(3H, s), 6.12(1H, s), 7.35(1H, d, J=8.6Hz), 7.56(1H, d, J=8.0Hz), 7.39(1H, d, J=8.0Hz), 8.15(1H, dd, J=8.0, 2.2Hz), 8.16(1H, dd, J=8.0, 2.2Hz), 8.77(1H, d, J=2.2Hz), 8.91(1H, d, J=2.2Hz)	45		J=15.5Hz) 3.55(3H, d, J=1.0Hz), 3.76(3H, s), 4.52(2H, s), 6.26(1H, s), 6.87(2H, d, J=9.0Hz), 7.20(1H, d, J=9.0Hz), 7.29(2H, d,
2-101	3.59(3H, br q, J-1.2Hz), 6.36(1H, s), 6.99(1H, dd, J-4.9, 8.3Hz), 7.27(1H, d, J-8.7Hz), 8.44(1H, dd, J-1.7, 4.8Hz), 8.6(1H, dd, J-1.7, 8.3Hz), 9.79(1H, br s)		2-136	J=9.0Hz), 8.45(1H, br s) 3.58 (3H, d, J=1.0Hz), 3.93(3H, s), 6.33(1H, s), 7.26(1H, d, J=9.1Hz), 7.54(3H, m), 7.95(2H, d, J=8.3Hz), 8.14(1H, s),
	(CDCl <sub>3</sub> +CD <sub>3</sub> OD)3.54(3H, br s), 6.33(1H, s), 6.82(1H, t, J=5.0Hz), 7.2(1H, d, J=8.8Hz), 8.38(2H, d, J=5.0Hz) 3.55(3H, q, J=1.0Hz), 3.89(3H, s), 6.26(1H, s), 7.22(1H, d,	50		8.28(1H, s) 3.55(3H, s), 6.37(1H, s), 6.40(1H, d, J=15.5Hz), 7.16(1H, d, J=8.6Hz), 7.19(1H, br s), 7.29(1H, dd, J=8.5, 1.9Hz), 7.38(3H, m
	J=9.1Hz), 7.45(3H, m), 7.83(3H, m), 7.99(1H, br s) 3.58(3H, s), 3.92(3H, s), 6.26(1H, s), 7.20(1H, d, J=9.0Hz),	30		7.48(2H, m), 7.70(1H, d, J=15.5Hz), 7.99(1H, br s) 3.19(3H, s), 5.98(1H, s), 7.17(1H, dd, J=8.0, 1.2Hz), 7.2-
2-105	7.65(1H, m), 7.85(2H, m), 8.17(2H, m), 8.33(1H, m), 10.05(1H, s) 3.60(3H, br s), 3.92(3H, s), 6.27(1H, s), 7.27(1H, d, J=9.0Hz), 7.93(2H, m), 8.20(2H, m), 9.60(1H, s), 10.12(1H, s)		2-140	7.6(7H, m), 7.7–7.9(6H, m), 7.93(2H, dd, J=8.6, 1.7Hz), 8.53(2H, br s) 3.56(3H, d, J=1.0Hz), 6.40(1H, s), 7.42(1H, d, J=8.3Hz),
	3.56(3H, q, J=0.7Hz), 3.86(3H, s), 6.27(1H, s), 6.95(2H, m), 7.41(1H, d, J=8.7Hz), 7.95(1H, m)	55		7.60(3H, m), 7.78(1H, dd, J=8.6, 1.8Hz), 7.92(3H, m), 8.01(1H, br s), 8.29(1H, br s), 8.38(1H, d, J=1.6Hz)
2-113	3.56(3H, q, J=1.0Hz), 3.86(3H, s), 6.26(1H, d, J=15.2Hz), 6.28(1H, s), 7.05(1H, dd, J=5.0, 3.6Hz), 7.20(1H, d, J=9.0Hz), 7.25(1H, d, J=3.6Hz), 7.27(1H, br s), 7.38(1H, d, J=5.0Hz), 7.75(1H, d, J=5.0			3.59(3H, s), 6.41(1H, s), 6.42(1H, d, J=15.5Hz), 7.16(1H, br s), 7.38(4H, m), 7.52(2H, m), 7.59(1H, dd, J=8.2, 1.7Hz), 7.75(1H, d, J=15.5Hz), 8.40(1H, br s)
2-114	7.75(1H, d, J=15.2Hz) 3.56(3H, d, J=0.9Hz), 6.40(1H, s), 7.28(1H, d, J=9.21Hz), 7.50-7.65(2H, m), 7.70-7.80(1H, m), 7.80-8.0(3H, m), 8.25(1H, m), 8.25(1H, b), 9.85(1H, m),	60		3.47(3H, s), 6.29(1H, s), 7.1–7.9(11H, m), 8.21(1H, s) 3.55(3H, d, J=1.0Hz), 3.87(3H, s), 6.38(1H, s), 6.89(1H, dd, J=8.9, 2.9Hz), 7.18(1H, d, J=8.9Hz), 7.58(3H, m), 7.79(1H, dd,
2-115	8.35(1H, m), 8.63(1H, br s) 3.54(3H, d, J=0.9Hz), 6.24(1H, s), 6.51(1H, t, J=73.1Hz), 7.32(1H, d, J=8.8Hz), 7.50-7.65(2H, m), 7.70-7.82(1H, m),			J=8.6, 1.7Hz), 7.90(4H, m), 8.29(1H, br s) 3.54(3H, d, J=1.0Hz), 3.87(3H, s), 6.25(1H, s), 7.60(3H, m), 7.8-8.0(5H, m), 8.30(1H, br s)
2-116	7.85-7.95(3H, m), 8.07(1H, br s), 8.29(1H, br s) 5 2.09(3H, s), 2.14(3H, s), 3.50(3H, d, J=1.0Hz), 3.79(3H, s), 4.8- 5.0(4H, m), 6.29(1H, s), 7.47(1H, d, J=8.9Hz)	65		3.57(3H, d, J=1.0Hz), 6.38(1H, s), 7.12(1H, dd, J=9.3, 2.2Hz), 7.59(2H, m), 7.78(1H, dd, J=8.6, 1.8Hz), 7.8-8.0(5H, m), 8.28(1H, br s)

	<sup>1</sup> H NMR data		<sup>1</sup> H NMR data	
No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm	5	No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm
2-146	1.27(1.5H, t, J=7.1Hz), 1.27(1.5H, t, J=7.1Hz), 1.62(3H, d, J=6.7Hz), 3.53(3H, s), 4.23(2H, m), 4.79(1H, m), 6.35(1H, s), 6.85(1H, m), 7.15(1H, d, J=9.0Hz), 7.5-7.6(3H, m), 7.77(1H, dd, J=9.0Hz), 7.75(1H, dd, J=9.0Hz),			3.50(3H, s), 3.79(3H, s), 6.23(1H, s), 7.30(1H, d, J=8.7Hz), 7.56(2H, m), 7.85(4H, m), 8.31(1H, s), 8.40(1H, s) 3.21(3H, s), 3.88(3H, s), 6.04(1H, s), 7.59(5H, m), 7.87(8H, m),
2-147	J=8.6, 1.6Hz), 7.89(4H, m), 8.26(1H, s) 0.84(2H, m), 1.03(2H, m), 1.50(1H, m), 3.58(3H, d, J=1.0Hz), 6.36(1H, s), 7.05(1H, d, J=7.8Hz), 7.35(1H, br s), 7.88(1H, br s)	10	2-179	8.50(1H, s), 8.57(1H, s) 3.57(3H, s), 4.03(3H, s), 6.29(1H, s), 6.52(1H, d, J=15.6Hz), 7.28(1H, d, J=8.4Hz), 7.38(3H, m), 7.47(2H, m), 7.62(1H, d, J=15.6Hz), 7.80(1H, s)
2-148	3.57(3H, d, J=1.0Hz, 6.39(1H, s), 6.61(1H, t, J=72.7Hz), 6.88(1H, dd, J=10.2, 2.6Hz), 7.59(2H, m), 7.76(2H, m), 7.90(3H, m), 8.05(1h, br s), 8.27(1H, s)			3.50(3H, s), 4.00(3H, s), 6.22(1H, s), 7.27(1H, d, J=8.5Hz), 7.59(2H, m), 7.87(4H, m), 8.32(1H, s), 8.38(1H, s) 3.52(3H, s), 3.80(3H, s), 6.23(1H, s), 7.17(1H, d, J=9.1Hz),
2-149	3.56(3H, d, J=1.0Hz), 6.38(1H, s), 7.43(1H, d, J=8.4Hz), 7.6(3H, m), 7.78(1H, dd, J=8.6, 1.8Hz), 7.90(3H, m), 8.09(1H, br s), 8.28(2H, s)	15		7.58(2H, m), 7.87(4H, m), 8.26(1H, d, J=55.6Hz), 8.31(1H, s) 3.53(3H, q, J=0.8Hz), 3.80(3H, s), 5.41(1H, d, J=10.9Hz), 5.87(1H, d, J=17.6Hz), 6.23(1H, s), 6.75(1H, dd, J=17.6,
	3.41(3H, d, J=1.1Hz), 3.71(1H, s), 3.80(2H, s), 6.11(1H, s), 7.1-7.4(6H, m), 8.88(1H, s)			10.9Hz), 7.37(1H, d, J=8.8Hz), 7.47(2H, d, J=8.3Hz), 7.73(2H, d, J=8.3Hz), 8.00(1H, s)
	3.50(3H, d, J=1.0Hz), 3.58(3H, s), 3.62(2H, s), 6.15(1H, s) 7.14(1H, d, J=9.1Hz), 7.2-7.4(6H, m) 3.58(3H, s), 3.90(3H, s), 4.14(3H, s), 6.25(1H, s), 7.21(1H, d,	20	2-183	3.28(3H, s), 3.80(3H, s), 5.34(1H, d, J=11.0Hz), 5.35(1H, d, J=11.0Hz), 5.80(1H, d, J=17.6Hz), 5.81(1H, d, J=17.6Hz), 6.03(1H, s), 6.67(1H, dd, J=17.6, 11.0Hz), 7.35(2H, d,
2-153	J=9.0Hz), 7.26(1H, s), 7.44(1H, m), 7.55(1H, m), 7.76(1H, m), 7.85(1H, m), 8.58(1H, s), 10.10(1H, s) 3.57(3H, s), 3.91(3H, s), 4.10(3H, s), 6.22(1H, s), 7.22(1H, d,		2-184	J=8.0), 7.53(4H, d, J=8.4Hz), 8.11(4H, d, J=8.4Hz) 3.82(3H, s), 4.75(2H, s), 6.07(1H, s), 7.16(1H, d, J=9.1Hz), 7.57(2H, m), 7.76(1H, m), 7.87(3H, s), 8.25(1H, m), 8.27(1H, s)
2.154	J=9.0Hz), 7.23(1H, s), 7.64(2H, m), 7.91(1H, m), 7.99(1H, d, J=8.7Hz), 8.22(1H, m), 10.20(1H, s)	25		3.39(2H, s), 3.78(3H, s), 6.26(1H, s), 7.3-7.6(7H, m), 9.89(1H, s) 3.80(3H, s), 4.58(2H, s), 6.14(1H, s), 6.81(1H, d, J=15.5Hz),
	3.56(3H, s), 3.87(3H, s), 4.55(2H, s), 6.27(1H, s), 6.82(1H, d, J=8.8Hz), 7.21(2H, m), 7.44(1H, s), 8.72(1H, s) 2.52(3H, s), 3.56(3H, s), 3.90(3H, s), 6.31(1H, s), 7.20(1H, d,		2-187	6.93(1H, d, J=15.5Hz), 7.3–7.6(10H, m), 7.77(1H, d, J=15.5Hz), 7.82(1H, d, J=15.5Hz) 3.78(3H, s), 3.78(2H, s), 4.58(2H, s), 4.70(2H, s), 6.07(1H, s),
	J=9.0Hz), 7.55(2H, m), 7.81(4H, m), 10.15(1H, s) 2.55(3H, s), 3.52(3H, s), 3.83(3H, s), 6.22(1H, s), 7.20(1H, d, J=9.0Hz), 7.41(1H, m), 7.66(1H, s), 7.79(3H, m), 8.15(1H, s),			7.14(1H, d, J=9.1Hz), 7.35(5H, m), 8.58(1H, s) 4.67(2H, s), 4.76(2H, d J=5.3Hz), 6.10(1H, s), 7.26(1H, d, J=8.8Hz), 7.57(2H, m), 7.77(1H, m), 7.87(3H, s), 8.19(1H, m),
2-157	8.26(1H, s) 3.58(3H, s), 3.94(3H, s), 6.35(1H, s), 7.25(1H, d, J=9.0Hz), 7.61(2H, m), 7.78(2H, s), 7.92(2H, m), 8.04(1H, s)	30	2-189	8.30(1H, s) 1.28(3H, t, J=7.1Hz), 3.55(3H, s), 4.26(2H, q, J=7.1Hz),
	3.55(3H, s), 3.84(3H, s), 6.24(1H, s), 7.22(1H, d, J=9.0Hz), 7.42(1H, m), 7.90(3H, m), 8.14(1H, s), 8.32(2H, m)		2-190	4.82(2H, s), 6.22(1H, s), 7.21(1H, d, J=8.8Hz), 7.57(2H, m), 7.94(4H, m), 8.52(1H, s), 10.46(1H, s) 1.30(3H, t, J=7.1Hz), 3.58(3H, s), 4.31(2H, q, J=7.1Hz),
	3.56(3H, s), 3.96(3H, s), 6.35(1H, s), 7.13(1H, d, J=9.0Hz), 7.70(6H, m), 8.15(1H, s) 3.55(3H, s), 3.86(3H, s), 6.24(1H, s), 7.22(1H, d, J=9.0Hz),	35		4.78(2H, s), 6.27(1H, s), 6.67(1H, d, J=15.7Hz), 7.15(1H, d, J=8.9Hz), 7.38(3H, m), 7.95(2H, m), 7.62(1H, d J=15.7Hz) 1.27(3H, t, J=7.1Hz), 1.29(3H, t, J=7.1Hz), 1.69(3H, d,
	7.74(4H, m), 7.92(4H, m), 8.10(4H, m) 3.55(3H, s), 3.86(3H, s), 6.25(1H, s), 7.23(2H, m), 7.57(1H, m),		2-191	1.2(31, 1, 3-1.112), 1.2(31, 1, 3-1.112), 1.3(31, 1, 3), 1.7(31, 1, 1, 3), 1.7(31
2-162	7.72(1H, m), 7.91(2H, m), 8.18(1H, s) 3.55(3H, s), 3.85(3H, s), 6.24(1H, s), 7.23(1H, d, J=9.0Hz), 7.49(1H, m), 7.69(1H, m), 7.90(3H, m), 8.13(1H, sm),	40	2-192	J=8.9Hz), 7.56(4H, m), 7.95(8H, m), 8.54(1H, s), 8.69(1H, s), 10.41(1H, s), 10.65(1H, s) 4.95(2H, s), 6.23(1H, s), 7.25(1H, d, J=10.0Hz), 7.59(2H, m),
2-163	8.34(2H, m) 3.56(3H, s), 3.86(3H, s), 6.25(1H, s), 7.25(1H, d, J=9.0Hz), 7.66(1H, m), 8.05(3H, m), 8.19(1H, m), 8.32(1H, d, J=8.7Hz),	40		7.87(4H, s), 8.27(1H, m), 9.28(1H, s) 3.56(3H, q, J=0.5Hz), 6.40(1H, s), 7.16(1H, dd, J=8.7, 2.6Hz), 7.34(1H, d, J=8.7Hz), 7.5-7.7(3H, m), 7.7-8.0(6H, m),
2-164	8.39(1H, s) 3.35(3H, s), 3.89(3H, s), 4.10(2H, s), 6.37(1H, s), 7.25(1H, d, J=9.0Hz), 8.33(1H, s)		2-194	8.10(1H, dd, J=8.6, 1.6Hz), 8.18(1H, br d), 8.27(1H, br s) 3.53(3H, q, J=0.8Hz), 6.36(1H, s), 7.25(1H, d, J=9.1Hz), 7.60(2H, m), 7.76(1H, dd, J=8.7, 1.8Hz), 7.90(3H, m), 8.21(1H, s),
	3.10(2H, s), 3.57(3H, s), 3.90(2H, s), 4.11(3H, s), 6.30(1H, s), 7.20(1H, d, J=9.0Hz), 7.27(5H, s), 8.65(1H, s)	45		3.33(1H, d, J=1.5Hz) 2.45(1H, d, J=2.4Hz), 3.55(3H, q, J=0.8Hz), 4.77(2H, dd,
	3.57(3H, s), 3.90(5H, s), 4.10(2H, s), 6.37(1H, s), 7.25(1H, d, J=9.0Hz), 8.15(1H, s) 3.50(3H, s), 3.55(3H, s), 3.69(2H, s), 6.16(1H, s), 7.18(1H, d,		2-106	J=6.1, 2.4Hz), 6.23(1H, s), 7.23(1H, d, J=9.0Hz), 7.59(2H, m), 7.90(4H, m), 8.32(1H, d, J=0.7Hz), 8.36(1H, s)
	J=9.0Hz), 7.28(5H, m), 8.33(1H, s) 2.14(3H, s), 3.20(2H, s), 3.56(3H, s), 3.88(3H, s), 6.29(1H, s),	50		1.28(3H, t, J=7.1Hz), 3.51(3H, q, J=0.5Hz), 4.05(2H, q, J=7.1Hz), 6.25(1H, s), 7.57(2H, m), 7.88(4H, m), 8.31(1H, s), 8.38(1H, s)
2-169	7.20(1H, d, J=9.0Hz), 8.67(1H, s) 3.36(3H, s), 3.52(3H, s), 3.79(2H, m), 5.96(1H, s), 7.17(1H, d, J=9.0Hz), 7.49(3H, m), 7.75(3H, m), 8.75(1H, m)		2-197	1.20(3H, t, J=6.2Hz), 1.29(3H, t, J=6.2Hz), 3.54(3H, q, J=0.6Hz), 4.43(1H, q, J=6.2Hz), 6.23(1H, s), 7.59(2H, m), 7.80(1H, m), 7.90(3H, s), 8.20(1H, s), 8.30(1H, s)
2-170	1.28(3H, t, J=7.1Hz), 3.32(2H, s), 3.36(2H, s), 3.55(3H, s), 3.88(3H, s), 4.18(2H, q, J=7.1Hz), 6.30(1H, s), 7.21(1H, d, J=9.0Hz), 8.33(1H, s)			0.89(3H, t), 1.25(4H, m), 1.53(2H, m), 2.23(2H, m), 3.56(3H, q, J=0.9Hz), 3.83(3H, s), 6.30(1H, s), 7.20(1H, d, J=9.0Hz), 7.58(1H, br s)
2-171	(3H, t, J=7.1Hz), 2.62(2H, m), 2.85(2H, m), 3.26(2H, s), 3.56 (3H, s), 3.88(3H, s), 4.15(2H, q, J=7.1Hz), 6.35(1H, s), 7.21(1H, d, J=9.0Hz), 8.66(1H, s)	55	2-199	7.36(11, 61 a) 3.54(3H, q, J=0.7Hz), 6.29(1H, s), 6.73(1H, dd, J=8.3, 4.5Hz), 7.43(1H, d, J=9.9Hz), 7.57(2H, m), 7.86(5H, m), 8.21(1H, dd, J=8.3, 1.7Hz), 8.37(1H, dd, J=4.5, 1.7Hz), 8.45(1H, br s)
	1.27(3H, t, J=7.4Hz), 2.60(2H, m), 3.27(2H, s), 3.56(3H, s), 3.88 (3H, s), 6.28(1H, s), 7.20(1H, d, J=9.0Hz), 8.73(1H, s)		2-200	3.52(3H, q, J=1.0Hz), 4.82(2H, d, J=1.5Hz), 6.26(1H, s), 7.31(1H, d, J=8.8Hz), 7.59(2H, m), 7.93(5H, m), 8.35(1H, d,
	1.28(6H, m), 2.97(1H, m), 3.29(2H, s), 3.57(3H, s), 3.87(3H, s), 6.28(1H, s), 7.20(1H, d, J=9.0Hz), 8.79(1H, s) 0.99(3H, m), 1.60(2H, m), 2.53(2H, m), 3.25(2H, s), 3.57(3H, s),	60	2-201	J=1.1Hz) 2.43(3H, s), 3.54(3H, q, J=0.9Hz), 6.36(1H, s), 7.18(2H, m), 7.59(2H, m), 7.8(6H, m), 8.28(1H, s)
	3.90(3H, s), 6.28(1H, s), 7.20(1H, d, J=9.0Hz), 8.80(1H, s) 3.53(3H, q, J=3.9Hz), 3.79(3H, s), 6.30(1H, s), 6.90(1H, d, J=15.7Hz), 7.36(3H, m), 7.53(4H, m), 9.84(1H, s)		2-202	3.51(3H, s), 3.87(3H, s), 6.24(1H, s), 7.12(1H, d, J=8.8Hz), 7.42(1H, d, J=8.8Hz), 7.59(2H, m), 7.81(1H, m), 7.91(3H, m),
2-176	3-10.71.2, 7.30(31, m), 7.33(41, m), 9.34(11, s) 3.41(31, s), 3.79(31, s), 6.23(11, s), 7.58(11, d, J=8.5Hz), 6.84(11, d, J=15.6Hz), 6.90(11, d, J=15.6Hz), 7.35(61, m), 7.50(41, m), 7.79(11, d, J=5.6Hz), 7.82(11, d, J=15.6Hz)	65	2-203	8.06(1H, s), 8.31(1H, s) 3.53(3H, s), 6.34(1H, s), 7.17(1H, m), 7.26(1H, m), 7.57(2H, m), 7.73(1H, 2d, J=1.6Hz, 8.6Hz), 7.88(4H, m), 8.18(1H, s), 8.23(1H, s)

1H NMR data				<sup>1</sup> H NMR data
No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm	5	No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm
2-204	0.78(2H, m), 0.97(2H, m), 1.4(1H, m), 3.55(3H, s), 6.34(1H, s),		4-27	1.30(9H, s), 3.50(3H, s), 3.96(3H, s), 6.32(1H, s), 6.84(1H, m),
2-205	7.12(1H, m), 7.24(1H, m), 7.43(1H, m), 7.85(1H, broad) 3.36(3H, s), 6.22(1H, s), 7.24(1H, d, J=8.4Hz), 7.41(2H, m),		4-28	7.10-7.40(5H, m) 3.43(3H, s), 3.82(3H, s), 5.25(2H, m), 6.12(1H, s), 6.73(1H, br s),
2 206	7.65(4H, m), 7.86(1H, 2d, J=2.0Hz, 8.4Hz), 8.0-8.2(4H, m), 8.55(1H, s)	10	4-29	7.16(1H, d, J=9.0Hz), 7.30-7.55(3H, m), 7.70-7.85(4H, m) 3.57(3H, s), 3.85(3H, s), 5.17(1H, d, J=11.9Hz), 5.27(1H, d,
	0.76-0.93(4H, m), 1.50(1H, m), 3.55(3H, s), 3.85(3H, s), 6.31(1H, s), 7.19(1H, d, J=8.9Hz), 7.6(1H, broad)			J=11.9Hz), 6.28(1H, s), 6.64(1H, br s), 6.92(2H, m), 7.17(1H, d, J=9.0Hz), 7.34(1H, m)
2-207	3.44(3H, s), 3.82(3H, s), 6.24(1H, s), 7.32(1H, d, J=8.3Hz), 7.48(2H, m), 7.6-7.8(5H, m), 8.10.(1H, s), 8.23(1H, s),		4-30	3.49(3H, s), 3.84(3H, s), 4.99(1H, d, J=12.6Hz), 5.06(1H, d, J=12.6Hz), 6.23(1H, s), 6.64(1H, br s), 7.00-7.25(4H, m)
3-1	8.78(1H, s) 2.74(3H, d, J=4.7Hz), 2.76(3H, d, J=4.7Hz), 3.53(3H, br q,	15	4-31	1.23(3H, t, J=7.6Hz), 2.64(2H, q, J=7.6Hz), 3.50(3H, d, J=0.9Hz), 3.83(3H, s), 5.02(1H, d, J=12.1Hz), 5.08(1H, d,
	J=1.3Hz), 3.83(3H, s), 6.3(1H, s), 6.66(1H, m), 7.35(1H, m), 7.39(1H, d, J=8.8Hz)		4-32	
3-2	0.76(3H, t, J=7.4Hz), 1.28(2H, m), 2.96(2H, m), 3.57(3H, s), 3.84(3H, s), 5.22(1H, m), 6.33(1H, s), 6.70(1H, s), 7.14(1H, d,		4-33	
3-3	J=9.0Hz) 1.22(12H, m), 3.54(3H, br s), 3.83(3H, s), 3.86(2H, m), 6.25(1H,	20	4.34	5.31(1H, d, J=13.2Hz), 6.22(1H, s), 6.71(1H, br s), 7.18(1H, d, J=9.0Hz), 7.40–7.60(3H, m), 7.68(1H, m)
3-4	s), 6.45(1H, s), 7.04(1H, d, J=9.1Hz) 3.52(3H, s), 3.76(3H, s), 6.30(1H, s), 6.90-7.25(6H, m),		4-34	3.54(3H, s), 3.88(3H, s), 5.49(2H, s), 6.28(1H, s), 7.24(1H, d, J=9.0Hz), 7.45–7.70(3H, m), 8.09(1H, m)
3-6	7.37(1H, s), 7.61(1, s) 3.46(3H, s), 3.77(3H, m), 4.19(2H, m), 5.75(1H, m), 6.19(1H, s),		4-35	3.53(3H, s), 3.83(3H, s), 3.84(3H, s), 5.12(1H, d, J=12.5Hz), 5.18(1H, d, J=12.5Hz), 6.24(1H, s), 6.72(1H, br s),
3-7	6.90-7.30(7H, m) (rotameric mixture)1.16(3H, d, J=6.8Hz), 1.23(3H, d, J=6.8Hz), 2.27(7H, a) 2.27(7H, a	25	4-36	6.80–6.95(2H, m), 7.15(1H, d, J=9.0Hz), 7.20–7.40(2H, m) 3.51(3H, d, J=1.0Hz), 3.87(3H, s), 5.17(1H, d, J=13.5Hz),
3-8	3.47(3H, s), 3.53(3H, s), 3.77(6H, s), 4.72(2H, m), 5.72(2H, m), 6.11(1H, s), 6.33(1H, s), 6.95-7.35(14H, m) 2.99(3H, s), 3.55(3H, s), 3.63(3H, s), 4.38(1H, d, I=16.2Hz),	-	4-37	5.24(1H, d, J=13.5Hz), 6.24(1H, s), 6.89(1H, br s), 7.18(1H, d, J=9.0Hz), 7.20-7.29(2H, m), 7.68(1H, m), 8.58(1H, m) 2.31(6H, s), 3.52(3H, s), 3.84(3H, s), 5.01(2H, m), 6.23(1H, s),
5-0	4.58(1H, d, J=16.2Hz), 6.27(1H, s), 6.58(1H, s), 7.12(1H, d, J=9.1Hz), 7.19(2H, m), 7.33(3H, m)		4-37	6.65(1H, br s), 6.92(2H, br s), 6.96(1H, br s), 7.16(1H, d, 1=9.0Hz)
3-9	2.31(3H, s), 3.47(3H, s), 3.79(3H, s), 4.17(2H, m), 5.58(1H, m), 6.18(1H, s), 6.74(1H, s), 6.90–7.15(5H, m)	30	4-38	
3-10	(CDCl <sub>3</sub> +CD <sub>3</sub> OD)3.52(3H, br s), 3.82(3H, s), 4.29(2H, m), 6.23(1H, s), 6.50(1H, m), 6.70–6.85(2H, m), 7.14(1H, d,	50	4-39	6.65(1H, br s), 7.08(3H, m), 7.16(1H, d, 1=9.0Hz) 3.53(3H, br s), 3.86(3H, s), 5.14(2H, m), 6.27(1H, s), 6.70(1H,
3-11	J=9.0Hz), 7.22(1H, m)		4-40	3.51(3H, d, J=0.9Hz), 3.81(3H, s), 3.82(3H, s), 4.98(1H, d,
3-12	6.27(1H, s), 7.00-7.30(7H, m) (CDCl <sub>3</sub> +CD <sub>3</sub> OD)1.72(2H, m), 2.58(2H, m), 3.11(2H, m),	25		J=12.0Hz), 5.05(1H, d, J=12.0Hz), 6.22(1H, s), 6.63(1H, br s), 6.87(2H, m), 7.16(1H, d, J=9.0Hz), 7.25 (2H, m)
	3.53(3H, s), 3.85(3H, s), 5.78(1H, m), 6.28(1H, s), 7.05-7.35(7H, m)	35	4-41	3.53(3H, d, J=0.9Hz), 3.83(3H, s), 4.95(1H, d, J=12.1Hz), 5.01(1H, d, J=12.1Hz), 5.96(2H, s), 6.25(1H, s), 6.63(1H,
3-13	3.55(3H, s), 3.81(3H, s), 6.35(1H, s), 7.08(1H, m), 7.1(1H, d, J=9.0Hz), 7.25-7.45(3H, m), 7.55-7.80(5H, m)		4-42	br s), 6.78(3H, m), 7.17(1H, d, J=9.0Hz) 1.24(6H, d, J=6.9Hz), 2.91(1H, m), 3.50(3H, d, J=0.9Hz),
3-23		40		3.82(3H, s), 5.02(1H, d, J=12.1Hz), 5.08(1H, d, J=12.1Hz), 6.23(1H, s), 6.70(1H, br s), 7.15(1H, d, J=9.0Hz), 7.22(4H, m)
3-24	3.40(3H, s), 3.78(3H, s), 5.88(1H, m), 5.98(1H, m), 6.12(1H, s), 7.00-7.30(12H, m)	40	4-43	
3-26	3.56(3H, s), 3.89(3H, s), 4.86(2H, s), 6.50(1H, s), 6.33(1H, s), 7.25(1H, d, J=9.0Hz)		4-44	d, J=9.0Hz), 7.41(2H, m), 7.60(2H, m)
4-1 4-2	3.54(3H, s), 3.64(3H, s), 3.84(3H, s), 6.24(1H, s), 7.25(1H, s) 2.87(3H, s), 2.96(3H, s), 3.53(3H, s), 3.63(3H, s), 6.3(1H, s),			5.12(1H, d, J=12.8Hz), 6.22(1H, s), 6.68(1H, br s), 6.95–7.10(3H, m), 7.18(1H, d, J=9.0Hz), 7.30(1H, m)
4-3	6.85(1H, d, J=8.9Hz) 3.51(3H, d, J=1.0Hz), 3.95(3H, s), 6.35(1H, s), 6.81(1H, br s),	45	4-45	3.49(3H, d, J=0.9Hz), 3.82(3H, s), 5.05(1H, d, J=12.6Hz),
4-4	7.03(2H, m), 7.22(1H, d, J=9.0Hz), 7.23(1H, m), 7.34(2H, m) 2.22(6H, s), 3.50(3H, d, J=0.5Hz), 3.94(3H, s), 6.35(1H, s),			5.11(1H, d, J=12.6Hz), 6.21(1H, s), 6.79(1H, br s), 7.10–7.20(3H, m), 7.31–7.36(2H, m)
	6.72(1H, dd, J=8.2, 2.5Hz), 6.77(1H, d, J=2.5Hz), 6.84(1H, br s), 7.07(1H, d, J=8.2Hz), 7.20(1H, d, J=9.0Hz)			0.89(4H, br s), 3.54(3H, d, J=1.0Hz), 3.75(3H, s), 4.15(2H, m), 6.28(1H, s), 6.56(1H, br s), 7.15(1H, d, J=9.0Hz), 7.26(5H, m)
4-5	3.49(3H, d, J=1.0Hz), 3.83(3H, s), 5.05(1H, d, J=12.3Hz), 5.12(1H, d, J=12.3Hz), 6.20(1H, s), 6.68(br s), 7.15(1H, d,	50	4-47	1.50(3H, d, J=6.6Hz), 3.48(3H, s), 3.84(3H, s), 5.69(1H, q, J=6.6Hz), 5.97(1H, s), 6.73(1H, br s), 7.14(1H, d, J=9.0Hz),
4-7	J=9.0Hz), 7.26-7.37(5H, m) 3.50(3H, br s), 3.82(3H, s), 5.01(1H, d, J=12.2Hz), 5.07(1H, d,		4-48	
4 10	J=12.2Hz), 6.20(1H, s), 6.69(1H, br s), 7.02(2H, m), 7.17(1H, d, J=9.0Hz), 7.28(2H, m)			5.25(1H, d, J=12.3Hz), 6.31(1H, s), 6.61(1H, br s), 7.20(1H, d, J=9.0Hz)
4-10	dd, J=8.9, 2.3Hz), 7.24(1H, d, J=9.0Hz), 7.43-7.51(3H,	55	4-49	3.52(3H, d, J=0.9Hz), 5.22(2H, s), 6.33(1H, s), 6.53(1H, br s), 7.00-7.45(7H, m)
4-11	m), 7.37(7.84(3H, m) 1.20–1.85(10H, m), 3.56(3H, br s), 3.86(3H, s), 4.57(1H, m),		4-50 4-51	3.54(3H, s), 6.36(1H, s), 6.84(1H, br s), 7.05-7.45(8H, m) 2.20(3H, s), 3.45(3H, d, J=0.8Hz), 5.10(2H, m), 6.22(1H, s),
4-13			4-52	
4-23	7.17(1H, d, J=9.0Hz), 7.43-7.52(5H, m) 3.50(3H, s), 4.75(2H, m), 5.13(2H, m), 6.24(1H, s), 6.54(1H, s), 7.25(1H, d, J=8.7H-2), 7.35(5H, m)	60	4-53	
4-24	7.25(1H, d, J-8.7Hz), 7.35(5H, m) 3.57(3H, s), 3.86(3H, s), 5.37(2H, m), 6.30(1H, s), 6.70(1H, s), 7.16(1H, d, J-9.0Hz), 7.20-7.40(3H, m)		4-54	J=9.0Hz)
4-25	2.06(6H, s), 2.25(3H, s), 3.52(3H, s), 3.94(3H, s), 6.32(1H, s), 6.83(2H, s), 7.09(1H, br s), 7.20(1H, d, J=9.0Hz)			5.25(1H, d, J=12.9Hz), 6.24(1H, s), 6.71(1H, br s), 7.18(1H, d, J=9.0Hz), 7.2-7.4(4H, m)
4-26		65	4-55	2.11(6H, s), 3.52(3H, s), 3.95(3H, s), 6.33(1H, s), 7.03(3H, br s), 7.08(1H, br s), 7.22(1H, d, J=9.0Hz)

	¹H NMR data			<sup>1</sup> H NMR data
No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm	5	No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm
4-56	3.51(3H, br d, J=1.1Hz), 3.83(3H, s), 5.07(1H, d, J=12.3Hz), 5.14(1H, d, J=12.3Hz), 6.23(1H, s), 6.68(1H, br s), 7.1–7.3(4H, m)		5-27	1.67(2H, dt, J=26.5, 5.8Hz), 3.86(3H, s), 3.89(2H, s), 3.97(2H, dt, J=42.4, 5.6Hz), 7.27(1H, d, J=8.7Hz), 7.52(4H, m), 7.78(4H, m), 7.88(4H, m), 8.54(2H, s)
4-57 4-58		10	5-28	2.22(2H, dt, 1=26.2, 5.8Hz), 3.81(3H, s), 4.01(2H, s), 4.13(2H, d, J=6.8Hz), 4.52(2H, dt, J=46.9, 5.6Hz), 4.64(2H, s), 7.23(1H, d, J=9.1Hz), 7.36(5H, m), 8.67(1H, br s)
4-59	J=12.5Hz), 5.17(1H, d, J=12.5Hz), 6.24(1H, s), 6.71(1H, br s), 6.90(2H, m), 7.15(1H, d, J=9.0Hz), 7.2-7.3(2H, m) 3.50(3H, s), 3.74(6H, s), 6.32(1H, s), 6.56(2H, d, J=8.5Hz),		6-1 6-2	2.48(3H, s), 7.03(1H, t, J=57.9Hz), 7.65(1H, d, J=8.6Hz), 9.88(1H, s) 2.48(3H, s), 4.25(2H, br s), 5.70(1H, br s), 6.59(1H, d, J=9.4Hz),
4-60	7.11(1H, t, J=8.5Hz), 7.14(1H, br s), 7.18(1H, d, J=9.0Hz) 2.34(3H, s), 3.50(3H, br d, J=1.1Hz), 3.83(3H, s), 5.00(1H, d, J=12.1Hz), 5.07(1H, d, J=12.1Hz), 6.20(1H, s), 6.64(1H, br s),	15	6-13	7.07(1H, t, J=58.0Hz)
4-61	7.1-7.2(5H, m) 3.50(3H, br d, J=1.0Hz), 3.83(3H, s), 5.01(1H, d, J=12.5Hz), 5.07(1H, d, J=2.5Hz), 6.20(1H, s), 6.66(1H, br s), 7.17(1H, d,		6-14	J=9.1, 9.1, 6.5Hz), 8.57(1H, d, J=2.2Hz), 8.72(1H, br d, J=16.5Hz)
4-62	J=9.0Hz), 7.2-7.3(4H, m) 3.53(3H, br d, J=1.0Hz), 3.85(3H, s), 5.12(1H, d, J=13.0Hz), 5.20(1H, d, J=13.0Hz), 6.25(1H, s), 6.67(1H, br s), 7.19(1H, d,	20	6-15	6.99(1H, m), 7.05(1H, t, J=58.0Hz), 7.26(1H, d, J=9.1Hz), 8.04(1H, ddd, J=8.8, 8.8, 6.5Hz), 8.48(1H, br d, J=13.4Hz) 2.31(3H, s), 3.88(3H, s), 7.03(1H, t, J=58.0Hz), 7.25(1H, d,
4-63	J=9.0Hz), 7.2-7.3(2H, m), 7.41(1H, d, J=1.9Hz) 3.51(3H, br d, J=1.0Hz), 3.83(3H, s), 3.88(3H, s), 3.89(3H, s), 4.99(1H, d, J=12.0Hz), 5.04(1H, d, J=12.0Hz), 6.20(1H, s),		6-16	J=9.4Hz), 7.60(2H, m), 7.8-8.0(4H, m), 8.25(1H, s), 8.40(1H, s)
4-64	6.59(1H, br s), 6.8-6.9(3H, m), 7.16(1H, d, J=9.0Hz) 3.51(3H, br d, J=0.9Hz), 3.86(3H, s), 5.15(1H, d, J=13.5Hz), 5.21(1H, d, J=13.5Hz), 6.21(1H, s), 6.68(1H, br s), 7.21(1H, d,	25	6-17	s), 8.48(1H, d, J=2.3Hz), 8.64(1H, br s) 2.29(3H, s), 3.89(3H, s), 7.03(1H, t, J=58.0Hz), 7.53(1H, s), 7.60(2H, m), 7.92(4H, m), 8.07(1H, br s), 8.37(1H, br s)
4-65	J=9.0Hz), 7.46(2H, d, J=8.7Hz), 8.21(2H, d, J=8.7Hz) 3.50(3H, br d, J=1.0Hz), 3.81(3H, s), 3.85(3H, s), 5.02(1H, d, J=12.5Hz), 5.10(1H, 12.5Hz), 6.21(1H, s), 6.67(1H, br s),		6-18 6-19	1.29(3H, t, J=7.1Hz), 2.45(3H, s), 4.3(2H, q, J=7.1Hz), 6.7(1H, broad), 7.03(1H, t, J=58.0Hz), 7.83(1H, s) 1.27(3H, t, J=7.0Hz), 2.48(3H, s), 4.18(2H, q, J=7.0Hz), 4.51(2H,
4-66	6.8-6.9(3H, m), 7.17(1H, d, J=9.0Hz), 7.25(1H, t, J=7.7Hz) 3.53(3H, br d, J=0.9Hz), 3.82(3H, s), 4.11(2H, s), 6.29(1H, s), 7.04(1H, br s), 7.20(1H, d, J=9.0Hz), 7.25(5H, m)	30	6-20 6-21	s), 6.67(1H, s), 6.91(1H, s), 7.08(1H, t, J=58.0Hz) 2.38(3H, s), 4.77(4H, s), 7.16(1H, t, J=57.7Hz), 7.17(1H, s) 2.47(3H, s), 7.04(1H, t, J=7.2Hz), 7.59(1H, 2d, J=2.3Hz, 8.6Hz),
4-67	3.52(3H, br d, J=1.0Hz), 3.86(3H, s), 5.17(1H, d, J=13.2Hz), 5.21(1H, d, J=13.2Hz), 6.24(1H, s), 6.65(1H, br s), 7.20(1H, d, J=9.0Hz), 7.53(1H, t, J=8.0Hz), 7.63(1H, d, J=8.0Hz),		6-22	7.91(1H, t, J=2.1Hz) 2.47(3H, s), 3.65(2H, s), 6.75(1H, 2d, J=2.2Hz, 9.4Hz), 7.07(1H, t, J=57.9Hz), 7.20(1H, t J=1.8Hz)
4-68	8.18(2H, m) 2.35(3H, s), 3.50(3H, br d, J=1.0Hz), 3.83(3H, s), 5.02(1H, d, J=12.2Hz), 5.10(1H, d, J=12.2Hz), 6.22(1H, s), 6.65(1H, br s),	35	6-23 6-24	2.52(3H, s), 6.9-7.1(3H, m), 7.10(1H, t, J=57.9Hz), 7.14(1H, 2d), 8.06(1H, m), 9.76(1H, s) 2.51(3H, s), 6.93(1H, 2d, J=2.2Hz, 8.9Hz), 7.12(1H, t, J=58.0Hz),
4-69	7.1-7.3(5H, m) 2.27(3H, s), 2.30(6H, s), 3.54(3H, br d, J=1.1Hz), 3.83(3H, s), 5.10(1H, d, J=11.8Hz), 5.16(1H, d, J=11.8Hz), 6.25(1H, s),	55	7-1	7.12(1H, s), 7.61(2H, m), 7.9–8.0(3H, m), 8.07(1H, 2d, J=1.7Hz), 8.68(1H, s), 9.74(1H, s) 4.01(3H, s), 4.03(3H, br q, J=1.0Hz), 7.43(1H, d, J=8.4Hz)
4-70	6.63(1H, br s), 6.87(2H, s), 7.13(1H, d J=9.0Hz) 3.55(3H, br d, J=1.1Hz), 3.83(3H, s), 4.99(1H, d, J=13.1Hz), 5.08(1H, d, J=13.1Hz), 6.27(1H, s), 6.35(2H, m), 6.63(1H, br s),	40	7-2 7-3 7-14	3.84(3H, s), 4.06(3H, s), 4.57(2H, s), 6.57(1H, d, J=9.3Hz) 4.07(3H, br d, J=0.9Hz), 6.61(1H, d, J=9.2Hz) 3.87(3H, s), 3.91(3H, s), 7.23(1H, d, J=8.9Hz), 7.60(2H, m),
5-1 5-2	7.17(1H, d, J=9.0Hz), 7.41(1H, m) 2.05(1H, br s), 4.05(3H, s), 7.66(1H, d, J=8.8Hz) 4.36(2H, br s), 7.61(1H, d, J=8.7Hz)	+0	7-15	7.80-7.96(4H, m), 8.13(1H, br s), 8.32(1H, br s) 3.86(3H, s), 3.97(3H, s), 6.45(1H, d, J=15.6Hz), 7.20(1H, d, J=8.9Hz), 7.30-7.52(6H, m), 7.60(1H, d, J=15.6Hz)
5-3 5-4	2.30(2H, dt, J=27.2, 5.6Hz), 3.85(3H, s), 4.22(2H, t, J=6.8Hz), 4.42(2H, br s, 4.60(2H, dt J=46.9, 5.6Hz), 6.65(1H, d, J=9.5Hz) 2.24(2H, dt, J=26.7, 5.7Hz), 4.19(2H, t, J=6.9Hz), 4.61(2H, dt,		8-1 8-2 8-3	1.84(4H, m), 2.44(4H, m), 7.62(1H, d, J=8.5Hz), 9.88(1H, br) 1.79(4H, m), 2.41(4H, m), 5.53(3H, br), 6.53(1H, d, J=9.1Hz) 1.81(4H, m), 2.43(4H, m), 2.58(1H, t, J=2.4Hz), 4.24(2H, br s),
5-5	J=47.0, 5.7Hz), 7.86(1H, d, J=9.0Hz) 2.30(2H, dt, J=26.4, 5.7Hz), 4.17(2H, t, J=6.8Hz), 4.55(2H, dt,	45	8-4	4.69(2H, t, J=2.4Hz), 6.60(1H, d, J=9.2Hz) 1.35(6H, d, J=6.2Hz), 1.82(4H, m), 2.43(4H, m), 4.11(2H, br s), 4.48(1H, q, J=6.2Hz), 6.60(1H, d, J=9.4Hz)
5-6 5-7	J=47.0, 5.7Hz), 4.88(2H, br s), 6.55(1H, d, J=9.6Hz), 8.07(1H, br) 4.06(3H, s), 7.87(1H, s) 2.13(2H, m), 3.06(3H, s), 3.93(2H, t, J=4.8Hz), 4.60(2H, br d,		8-5 8-6	1.77(4H, m), 1.82(4H, m), 2.43(4H, m), 2.34(4H, m), 4.04(2H, br s), 4.79(1H, m), 6.61(1H, d, J=9.4Hz) 1.82(4H, m), 2.42(4H, m), 4.03(3H, s), 7.48(1H, d, J=8.6Hz)
5-8	J=47.0Hz), 7.53(1H, s) 2.30(2H, dt, J=26.4, 5.6Hz), 4.24(2H, t, J=6.7Hz), 4.58(2H, dt, J=46.8, 5.6Hz), 7.87(1H, s), 9.62(1H, s)	50	8-7 8-8	1.80(4H, m), 2.08(2H, br s), 2.41(4H, m), 3.83(3H, s), 6.60(1H, d, J=9.4Hz) 1.78(4H, m), 2.38(4H, m), 3.86(3H, s), 6.96(2H, m), 7.25(1H, d,
5-9 5-15	2.06(1H, s), 2.30(2H, dtt, J=27.7, 6.8, 5.4Hz), 4.24(2H, t, J=6.8Hz), 4.60(2H, dt, J=46.9, 5.4Hz), 5.90(2H, s), 6.77(1H, s) 2.30(2H, dtt, J=26.0, 6.1, 5.5Hz), 2.62(1H, d, J=2.4Hz),		8-9	J=9.0Hz), 8.01(1H, m), 8.19(1H, d, J=12.6Hz) 1.68(4H, m), 2.32(4H, m), 3.82(3H, s), 7.22(1H, d, J=9.1Hz), 7.59(2H, m), 7.91(5H, m), 8.34(1H, s)
	4.21(2H, t, J=6.8Hz), 4.48(2H, s), 4.60(2H, dt, J=46.9, 5.5Hz), 4.73(2H, d, J=2.4Hz), 6.92(1H, s) 1.35(6H, d, J=6.2Hz), 2.29(2H, dt, J=27.7, 5.9, 5.5Hz), 4.21(2H,	55	8-13	1.76(4H, m), 2.34(4H, m), 2.37(1H, t, J=2.4Hz), 4.77(2H, t, J=2.4Hz), 6.95(2H, m), 7.27(1H, d, J=8.9Hz), 8.04(1H, m), 8.38(1H, br d, J=12.5Hz)
5-17	t, J=6.8Hz), 4.29(2H, br s), 4.53(1H, q, J=6.2Hz), 4.59(2H, dt, J=46.9, 5.5Hz), 6.92(1H, s)		8-18	1.28(6H, d, J=6.2Hz), 1.76(4H, m), 2.32(4H, m), 4.45(1H, q, J=6.2Hz), 6.95(2H, m), 7.24(1H, d, J=9.0Hz), 8.00(1H, m),
	J=6.8Hz), 4.61(2H, dt, J=47.0, 5.7Hz), 4.72(2H, d, J=2.5Hz), 5.02(2H, br s), 6.61(1H, d, J=9.4Hz)	60	8-30	8.31(1H, br d, J=12.7Hz) 1.71(4H, m), 2.33(4H, m), 3.80(3H, s), 5.39(1H, d, J=10.9Hz), 5.86(1H, d, J=17.6Hz), 6.75(1H, dd, J=17.6, 10.9Hz), 7.21(1H,
5-18	1.35(6H, d, J=6.0Hz), 2.30(2H, dtt, J=26.9, 6.8, 5.4Hz), 4.21(2H, t, J=6.8Hz), 4.37(2H, br s), 4.52(1H, penta, J=6.0Hz), 4.59(2H, dt, J=46.5, 5.4Hz), 6.65(1H, d, J=9.6Hz)		8-31	d, J=9.0Hz), 7.47(2H, d, J=8.2Hz), 7.77(2H, d, J=8.2Hz), 7.85(1H, s) 1.76(4H, m), 2.38(4H, m), 3.82(3H, s), 6.52(1H, d, J=15.6Hz),
5-26		65		7.19(1H, d, J=9.0Hz), 7.37(3H, m), 7.47(3H, m), 7.65(1H, d, J=15.6Hz) 1.85(4H, m), 2.45(4H, m), 8.31(2H, s)
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	<sup>1</sup> H NMR data		¹H NMR data		
No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm	5	No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm	
8-33	1.81(4H, m), 2.43(4H, m), 7.37(1H, d, J=8.5Hz), 7.69(1H, 2d,		12-7	1.50(3H, m), 1.78(1H, m), 2.05(1H, m), 2.28(1H, m), 2.89(1H,	
8-34	J=2.3Hz, 8.5Hz), 8.10(1H, d, J=2.3Hz) 1.86(4H, m), 2.46(4H, m), 7.72(1H, d, J=8.8Hz), 8.56(1H, 2d,			m), 3.90(1H, m), 3.95(2H, s), 4.15(1H, m), 6.81(2H, m), 6.99(1H, d, J=7.9Hz)	
8-35		10	12-8	1.41(3H, m), 1.68(1H, m), 1.93(1H, m), 2.24(1H, m), 2.83(1H, m), 3.88(1H, m), 4.11(1H, m), 7.23(2H, m), 7.54(2H, m),	
8-36	J=8.3Hz) 1.78(4H, m), 2.40(4H, m), 7.15-7.30(2H, m), 7.6(2H, m), 7.9(4H,		13-1	7.87(4H, m), 7.97(1H, s), 8.38(1H, s), 9.11(1H, s) 4.36(1H, br s), 7.61(1H, d, J=8.6Hz), 7.88(2H, m), 7.99(2H, m)	
9-1	m), 8.14(1H, d, J=2.2Hz), 8.34(1H, s), 8.59(1H, s) 1.91(4H, m), 3.67(4H, m), 7.65(1H, d, J=8.3Hz)		13-2 13-3	5.42(1H, br s), 6.58(1H, d, J=9.4Hz), 7.95(4H, m) 3.86(3H, s), 7.28(1H, d, J=9.0Hz), 7.50-7.95(10H, m), 8.03(1H,	
9-3 9-4	1.87(4H, m), 3.62(4H, m), 4.03(3H, s), 7.53(1H, d, J=8.5Hz) 1.88(4H, m), 3.65(4H, m), 3.85(3H, s), 4.28(2H, s), 6.64(1H, d,	15	13-4	br s), 8.28(1H, br s) 3.89(3H, s), 6.89.(2H, m), 7.30(1H, d, I=9.0Hz), 7.70-7.95(5H,	
9-12	J=9.5Hz) 2.01(4H, m), 3.73(2H, m), 3.92(1H, m), 4.15(1H, m), 7.53(1H, d,		13-5	m), 8.34(1H, m) 7.33(2H, m), 7.56(2H, m), 7.7–8.0(8H, m), 8.19(1H, d, J=1.4Hz),	
9-13	J=8.5Hz), 7.76(1H, J=8.5, 2.4Hz), 8.21(1H, d, J=2.4Hz) 1.90(4H, m), 3.69(2H, m), 3.95(2H, m), 4.85(2H, br s), 6.79(2H, m), 7.00(1H, d, J=8.3Hz)		14-1	8.32(1H, s), 8.56(1H, br s) 1.23(3H, t, J=7.1Hz), 2.51(2H, m), 2.75(2H, m), 3.55(3H, s), 3.90(3H, s), 4.10(2H, q, J=7.1Hz), 6.36(1H, s), 7.20(1H, d,	
9-14	1.94(4H, m), 3.69(2H, m), 4.03(2H, m), 7.27(2H, m), 7.59(2H, m), 7.94(4H, m), 8.19(1H, d, J=2.0Hz), 8.47(1H, s), 9.11(1H, br s)	20	14-2	1.30(3H, t, J=7.1Hz), 3.56(3H, s), 4.23(2H, q,	
9-15			2,2	J=7.1Hz), 6.36(1H, s), 6.60(1H, d, J=16.2Hz), 7.31(1H, d, J=8.6Hz), 7.36(1H, d, J=16.2Hz)	
9-16	1.82(4H, m), 3.58(4H, m), 3.87(3H, s), 6.9-7.1(2H, m), 7.27(1H, d, J=9.0Hz), 8.07(1H, m), 8.49(1H, d, J=13.1Hz)		14-3	3.01(1H, m), 3.25(1H, m), 3.57(3H, s), 3.70, 3.73(3H, 2s), 3.93, 3.94(3H, 2s), 4.55(1H, m), 6.36, 6.37(1H, 2s), 7.26(1H, d,	
9-17	1.89(4H, m), 3.65(4H, m), 7.53(1H, d, J=8.6Hz), 7.72(1H, 2d, J=2.3Hz, 8.5Hz), 8.13(1H, d, J=2.3Hz)	25	14-4	J=8.8Hz) 1.23(3H, t, J=7.1Hz), 3.03(1H, m), 3.22(1H, m), 3.55(3H, s),	
9-18	1.85(4H, m), 3.63(4H, m), 4.03(2H, s), 6.82(2H, m), 7.09(1H, 2d, J=0.6Hz, 8.0Hz)			3.94(3H, s), 4.14(2H, m), 4.51(1H, m), 6.37(1H, s), 7.26(1H, d, J=8.8Hz)	
9-19	1.80(4H, m), 3.60(4H, m), 7.22(1H, 2d, J=2.3Hz, 8.7Hz), 7.35(1H, d, J=8.7Hz), 7.56(2H, m), 7.89(4H, m), 8.08(1H, d, J=2.3Hz), 8.43(1H, s), 9.41(1H, s)		14-5	1.24(3H, t, J=7.1Hz), 2.95(1H, m), 3.31(1H, m), 3.56(3H, s), 3.9(3H, s), 4.16(2H, m), 4.54(1H, m), 6.35(1H, s), 7.26(1H, d, J=8.8Hz)	
11-1	J-2.1Hz), 53(1H, a), 73(1H, d), J-8.7Hz), 8.01(1H, d, J-2.1Hz)	30	14-6	0.89(3H, t, J=7.4Hz), 1.61(2H, m), 3.02(1H, m), 3.23(1H, m), 3.56(3H, s), 3.94(3H, s), 4.07(2H, m), 4.53(1H, m), 6.37(1H, s),	
	7.33(1H, m), 7.70(1H, d, J=8.4Hz), 8.06(1H, d, J=2.1Hz), 10.29(1H, s)		14-7	7.25(1H, d, J=8.8Hz) 0.90(3H, t, J=7.4Hz), 1.62(2H, m), 2.96(1H, m), 3.31(1H, m),	
11-3 11-4	6.53(1H, d, J=9.5Hz), 6.53(3H, br), 7.40(1H, s), 8.17(1H, s) 3.86(3H, s), 4.33(2H, br s), 6.65(1H, d, J=9.5Hz), 7.34(1H, dq,			3.56(1H, s), 3.94(3H, s), 4.08(2H, m), 4.56(1H, m), 6.36(1H, s), 7.25(1H, d, J=8.9Hz)	
11-5	J=2.2, 1.0Hz), 8.10(1H, d, J=2.2Hz) 3.31(3H, s), 3.79(3H, s), 4.33(2H, br s), 7.21(1H, d, J=1.1Hz), 7.49(1H, d, J=8.8Hz), 7.95(1H, d, J=2.2Hz)	35	14-8	0.90(3H, t, J=7.3Hz), 1.33(2H, m), 1.58(2H, m), 3.03(1H, m), 3.22(1H, m), 3.55(3H, s), 3.94(3H, s), 4.08(2H, m), 4.52(1H, m), 6.37(1H, s), 7.26(1H, d, J=8.8Hz)	
11-6			14-9	0.91(3H, t, J=7.3Hz), 1.33(2H, m), 1.59(2H, m), 2.98(1H, m), 3.32(1H, m), 3.56(3H, s), 3.93(3H, s), 4.11(2H, m), 4.56(1H, m), 6.35(1H, s), 7.25(1H, d, J=8.8Hz)	
11-7		40	14-10	0.88(3H, t, J=6.7Hz), 1.27(4H, m), 1.60(2H, m), 3.02(1H, m), 3.22(1H, m), 3.56(3H, s), 3.94(3H, s), 4.09(2H, m), 4.52(1H, m),	
11-8	1.20, 1.23(3H, t, J=7.1Hz), 3.20(2H, m), 3.94(3H, s), 4.16(2H, q, J=7.1Hz), 4.52(1H, m), 7.32(2H, m), 8.08(1H, m)		14-11	6.37(1H, s), 7.25(1H, d, J=8.9Hz) 0.89(3H, t, J=6.7Hz), 1.31(4H, m), 1.61(2H, m), 2.96(1H, m),	
11-9				3.30(1H, m), 3.56(3H, s), 3.93(3H, s), 4.10(2H, m), 4.56(1H, m), 6.35(1H, s), 7.26(1H, d, J=8.9Hz)	
11-10	2.46(3H, q, J=1.8Hz), 2.63(3H, br), 6.60(1H, d, J=9.4Hz), 8.08(1H, s)	45	14-12	0.87(3H, t, J=6.4Hz), 1.27(6H, m), 1.59(2H, m), 3.03(1H, m), 3.22(1H, m), 3.56(3H, s), 3.94(1H, s), 4.08(2H, m), 4.52(1H, m),	
11-11	2.45(3H, q, J=1.9Hz), 3.87(3H, s), 6.66(1H, d, J=9.6Hz), 8.06(1H, s)		14-13	6.37(1H, s), 7.25(1H, d, J=8.8Hz) 0.88(3H, t, J=6.9Hz), 1.28(6H, m), 1.59(2H, m), 2.96(1H, m),	
11-12	2.44(3H, q, J=1.9Hz), 2.58(3H, s), 3.84(3H, s), 6.60(1H, d, J=9.4Hz), 8.03(1H, s)		14-14	3.32(1H, t), 3.56(3H, s), 3.94(3H, s), 4.10(2H, m), 4.56(1H, m), 6.35(1H, s), 7.26(1H, d, J=8.8Hz) 0.88(6H, m), 1.90(1H, m), 3.02(1H, m), 3.23(1H, m), 3.56(3H, s),	
11-13	2.38(3H, q, J-1.8Hz), 3.88(3H, s), 7.26(1H, d, J=9.3Hz), 7.59(2H, m), 7.80(1H, m), 7.91(4H, m), 8.11(1H, s), 8.30(1H, s)	50		3.87(2H, m), 3.94(3H, s), 4.54(1H, m), 6.37(1H, s), 7.25(1H, d, J=8.9Hz)	
12-1			14-15	0.89(6H, m), 1.91(1H, m), 2.96(1H, m), 3.32(1H, m), 3.56(3H, s), 3.89(2H, m), 3.94(3H, s), 4.58(1H, m), 6.35(1H, s), 7.26(1H, d, J=8.9Hz)	
12-2	1.61(3H, m), 1.91(1H, m), 2.10(1H, m), 2.38(1H, m), 3.13(1H, m), 4.11(1H, m), 4.14(2H, s), 4.87(1H, m), 5.49(1H, s), 6.64(1H,	55		0.89(6H, m), 1.50(2H, m), 1.60(1H, m), 3.02(1H, m), 3.21(1H, m), 3.56(3H, s), 3.94(3H, s), 4.13(2H, m), 4.52(1H, m), 6.37(1H,	
12-3	d, J=9.0Hz) 1.61(3H, m), 1.90(1H, m), 2.08(1H, m), 2.35(1H, m), 3.10(1H, m), 4.07(1H, m), 4.2(2H, broad), 4.88(1H, m), 5.5(1H, broad), 6.63(1H, d, J=9.0Hz)		14-17	s), 7.25(1H, d, J=8.9Hz) 0.88(6H, m), 1.49(2H, m), 1.62(1H, m), 2.96(1H, m), 3.30(1H, m), 3.56(3H, s), 3.93(3H, s), 4.14(2H, m), 4.56(1H, m), 6.35(1H, s), 7.25(1H, d, J=8.9Hz)	
12-4	1.60(3H, m), 1.89(1H, m), 2.09(1H, m), 2.34(1H, m), 3.09(1H, m), 3.85(3H, s), 4.11(1H, m), 4.3(2H, broad), 4.87(1H, m), 6.63(1H, d, J=9.3Hz)	60		3), 7.23(11, 0, 3-3-3-12) 1.44, 1.46(9H, 2s), 2.90(1H, m), 3.31(1H, m), 3.56(3H, s), 3.92, 3.93(3H, 2s), 4.42(1H, m), 6.34, 6.37(1H, 2s), 7.26(1H, d, 1-9.0Hz).	
12-5	1.4-1.7(3H, m), 1.7-2.4(3H, m), 2.95(1H, m), 3.85(3H, s), 3.97(1H, m), 4.71(1H, m), 7.26(1H, m), 7.60(2H, m), 7.93(4H,			2.51(1H, m), 3.05(1H, m), 3.20(1H, m), 3.56(3H, s), 3.94(3H, s), 4.59(1H, m), 4.68(2H, m), 6.37(1H, s), 7.26(1H, d, J=8.9Hz)	
12-6		٨.		2.51(1H, m), 2.99(1H, m), 3.29(1H, m), 3.56(3H, s), 3.94(3H, s), 4.61(1H, m), 4.70(2H, m), 6.36(1H, s), 7.26(1H, d, J=8.9Hz)	
	m), 4.01(1H, m), 4.22(1H, m), 7.44(1H, d, J=8.5Hz), 7.69(1H, 2d, J=2.3Hz, 8.5Hz), 8.11(1H, d, J=2.3Hz)	UJ	14-21	3.0-3.3(2H, m), 3.56(3H, s), 3.93, 3.94(3H, 2s), 4.3-4.6(2H, m), 4.69(1H, m), 6.35, 6.37(1H, 2s), 7.28(1H, d, J-8.8Hz)	

### <sup>1</sup>H NMR data

# NMR(CDCl<sub>3</sub>, 300MHz) ppm

No.

### 14-22 3.06(1H, m), 3.24(1H, m), 3.56(3H, s), 3.93, 3.94(3H, 2s), 4.4-4.6(2H, m), 5.86(1H, m), 6.36, 6.37(1H, 2s), 7.28(1H, d, J=8.8Hz)

- J=8.8Hz)
  14-23 3.04-3.21(2H, m), 3.56(3H, s), 4.47, 4.65(2H, 2m), 4.69(1H, m), 6.37(1H, s), 7.28(1H, d, J=8.7Hz)
  14-24 3.02(1H, m), 3.24(1H, m), 3.56(3H, s), 3.93(3H, s), 4.61(2H, m), 4.70(1H, m), 6.35(1H, s), 7.28(1H, d, J=8.7Hz)
  14-25 2.98(1H, m), 3.30(1H, m), 3.34(3H, s), 3.53(2H, m), 3.56(3H, s), 3.94(3H, s), 4.25(2H, m), 4.62(1H, m), 6.35(1H, s), 7.26(1H, d, L=8.9Hz) J=8.9Hz)
- 14-26 1.18(3H, m), 3.05(1H, m), 3.27(1H, m), 3.4-3.6(4H, m), 3.56(3H, s), 3.93, 3.94(3H, 2s), 4.29(2H, m), 4.61(1H, m), 6.35, 6.37(1H, 2s), 7.26(1H, d, J=8.8Hz)
- 14-27 3.04(1H, m), 3.21(1H, m), 3.54(3H, s), 3.91(3H, s), 4.10(2H, m),
- 14-28 2.99(1H, m), 3.30(1H, m), 5.35(3H, s), 6.92(3H, m), 7.27(3H, m) 4.45(2H, m), 4.61(1H, m), 6.35(1H, s), 6.92(3H, m), 7.27(3H, m) 14-28 2.99(1H, m), 3.30(1H, m), 3.55(3H, s), 3.90(3H, s), 4.12(2H, m), 4.46(2H, m), 4.64(1H, m), 6.34(1H, s), 6.93(3H, m), 7.26(3H, m) 14-29 2.66(2H, m), 3.07(1H, m), 3.21(1H, m), 3.56(3H, s), 3.95(3H, s),
- 4.30(2H, m), 4.63(1H, m), 6.35, 6.38(1H, 2s), 7.28(1H, d, J=8.9Hz)
- 14-30 3.08(1H, m), 3.22(1H, m), 3.56(3H, s), 3.70(2H, m), 3.95(3H, s), 4.30(1H, m), 4.51(2H, m), 4.65(1H, m), 6.38(1H, s), 7.27(1H, d, J=8.7Hz).
- 14-31 3.02(1H, m), 3.42(1H, m), 3.57(3H, s), 3.72(2H, m), 3.95(3H, s), 4.29(1H, m), 4.52(2H, m), 4.66(1H, m), 6.36(1H, s), 7.27(1H, d, J=8.8Hz)
- 14-32 1.22(3H, t, J=7.1HZ), 3.13(1H, m), 3.31(1H, m), 3.55(3H, a 3.95(3H, s), 4.13(2H, m), 4.46(1H, m), 6.38(1H, s), 7.25(1H, d, J=8.9Hz).
- 14-33 1.23(3H, t, J=7.1Hz), 3.08(1H, m), 3.41(1H, m), 3.57(3H, s), 3.93(3H, s), 4.12(2H, m), 4.49(1H, m), 6.36(1H, s), 7.25(1H, d, J=8.9HZ)
- 14-34 1.27(3H, m), 1.61, 1.64(3H, 2s), 3.20(1H, m), 3.54(3H, s), 3.61(1H, m), 3.84(3H, s), 4.18(2H, m), 6.32, 6.37(1H, 2s), 7.27(1H, 2d)
- 14-35 0.94(3H, m), 1.62, 1.65(3H, 2s), 1.67(2H, m), 3.21(1H, m), 3.54(3H, s), 3.62(1H, m), 3.84(3H, s), 4.09(2H, m), 6.33, 6.37(1H, 2s), 7.27(1H, 2d, J-8.8Hz, 8.8Hz)
- 14-36 0.94(3H, m), 1.41(2H, m), 1.61, 1.65(3H, 2s), 1.63(2H, m), 3.21(1H, m), 3.54(3H, s), 3.60(1H, m), 3.84(3H, s), 4.12(2H, m), 6.32, 6.37(1H, 2s), 7.27(1H, 2d, J=8.8Hz, 8.9Hz)
- 6.32, 6.37(1H, 2s), 7.27(1H, 2d, J=8.8Hz, 8.9Hz)
  14-37 0.90(3H, m), 1.33(4H, m), 1.61, 1.64(3H, 2s), 1.65(2H, m),
  3.20(1H, m), 3.54(3H, s), 3.59(1H, m), 3.84(3H, s), 4.12(2H, m),
  6.32, 6.37(1H, 2s), 7.27(1H, 2d, J=8.9Hz, 8.7Hz)
  14-38 0.89(3H, m), 1.30(6H, m), 1.61, 1.64(3H, 2s), 1.65(2H, m),
  3.20(1H, m), 3.54(3H, s), 3.59(1H, m), 3.84(3H, s), 4.11(2H, m),
  6.32, 6.36(1H, 2s), 7.27(1H, 2d, J=8.8Hz, 8.8Hz)
  14-39 1.26(6H, m), 1.59, 1.62(3H, 2s), 3.20(1H, m), 3.54(3H, s), 3.63
  (1H, m), 3.85(3H, s), 4.98(1H, m), 6.32, 6.37(1H, 2s), 7.27(1H,
  2d, J=8.8Hz, 8.8Hz)
- 2d, J=8.8Hz, 8.8Hz)
- 2d, J=8.8Hz, 8.8Hz)
  14-40 0.94(6H, m), 1.62, 1.65(3H, 2s), 1.96(1H, m), 3.21(1H, m), 3.54(3H, s), 3.62(1H, m), 3.84(3H, s), 3.92(2H, m), 6.32, 6.37(1H, 2s), 7.27(1H, 2d, J=8.8Hz, 8.8Hz)
  14-41 1.63, 1.66(3H, 2s), 3.22(1H, m), 3.53(3H, s), 3.63(1H, m), 3.79(3H, s), 5.16(2H, m), 6.29, 6.36(1H, 2s), 7.25(1H, 2d, J=8.8Hz, 8.8Hz), 7.35(5H, m)
  14-42 1.64, 1.67(3H, 2s), 3.23(1H, m), 3.52, 3.55(3H, 2s), 3.66(1H, m), 3.52(3H, 2s), 3.64(2H, m), 3.54(3H, 2s), 3.64(2H, m), 3.54(3H, 2s), 3.65(3H, 2s), 3.66(1H, m), 3.54(3H, 2s), 3.65(3H, 2s), 3.66(3H, m), 3.54(3H, 2s), 3.64(3H, 2s), 3.65(3H, 2s), 3.65(3H, 2s), 3.66(3H, m), 3.54(3H, 2s), 3.64(3H, 2s), 3.65(3H, 2s), 3.66(3H, m), 3.54(3H, 2s), 3.64(3H, 2s), 3.6
- 3.84(3H, s), 4.71(1H, m), 5.00(1H, m), 6.33, 6.37(1H, 2s), 7.18(1H, m), 7.27(1H, 2d, J=8.8Hz, 8.8Hz)
- 14-43 1.63, 1.66(3H, 2s), 3.21(1H, m), 3.54(3H, s), 3.62(1H, m),
- 14-43 1.03, 1.06(3H, 28), 3.21(1H, m), 3.54(3H, 8), 3.02(1H, m), 3.84(3H, s), 4.63(2H, m), 5.31(2H, m), 5.89(1H, m), 6.33, 6.37(1H, 2s), 7.27(1H, 2d, J=8.9Hz, 8.7Hz)

  14-44 1.63(3H, m), 2.52(1H, m), 3.18(1H, m), 3.56(3H, s), 3.60(1H, m), 3.84(3H, s), 4.74(2H, m), 6.34, 6.37(1H, 2s), 7.26, 7.27(1H, 2d, J=8.7Hz, 8.8Hz)

  14-45 1.65, 1.68(3H, 2s), 3.19(1H, m), 3.51(1H, m), 3.55(3H, s), 3.60(4H, 2s), 5.6(4H, 2
- 3.83(3H, s), 4.49(2H, m), 5.86(1H, m), 6.34, 6.37(1H, 2s), 7.28(1H, 2d, J=8.8Hz, 8.8Hz)
- 14-46 1.67, 1.68(3H, 2s), 3.18(1H, m), 3.53, 3.55(3H, 2s), 3.66(1H, m), 3.82, 3.83(3H, 2s), 5.73(1H, m), 6.35, 6.37(1H, 2s),
- 7.31(1H, 2d, J=8.9Hz, 8.8Hz)
  14-47 1.63, 1.65(3H, 2s), 3.20.(1H, m), 3.36, 3.37(3H, 2s), 3.55(3H, s), 3.63(3H, m), 3.84(3H, s), 4.29(2H, m), 6.33, 6.36(1H, 2s), 7.27(1H, 2d, J=8.8Hz, 8.8Hz).

### TABLE XVIII-continued

#### <sup>1</sup>H NMR data

- No. NMR(CDCl<sub>3</sub>, 300MHz) ppm
- 1.62, 1.64(3H, 2s), 2.16(3H, t, J=2.4Hz), 2.73(2H, m), 14-48 1.62, 1.64(3H, 2s), 2.16(3H, t, J=2.4Hz), 2.73(2H, m), 3.20(1H, m), 3.55(3H, s), 3.59(1H, m), 3.84(3H, s), 4.30(2H, m), 6.33, 6.36(1H, 2s), 7.27(1H, 2d, J=8.8Hz, 8.8Hz)

  14-49 1.63, 1.65(3H, 2s), 1.8–2.1(4H, m), 3.20(1H, m), 3.54(3H, s), 3.61(1H, m), 3.81(2H, m), 3.84(3H, s), 4.13(3H, m), 6.33, 6.36(1H, s), 7.27(1H, 2d, J=8.7Hz, 8.9Hz)

  14-50 3.05(2H, m), 3.29(1H, m), 3.52, 3.57(3H, 2s), 3.59(1H, m), 3.68(3H, s), 3.76(3H, s), 3.79, 3.80(3H, 2s), 6.32, 6.35(1H, 2s), 7.29(1H, 2d, J=8.9Hz, 8.8Hz)
- 10
- 7.29(1H, 2d, J=6.9Hz, 6.6Hz)
  14-51 1.31, 1.35(3H, 2t, J=7.2Hz, 7.1Hz), 3.50(1H, m), 3.55, 3.57(3H, 2s), 3.68(1H, m), 3.92, 3.94(3H, 2s), 4.31(2H, m), 6.35, 6.38(1H, 2s), 7.34(1H, 2d, J=8.9Hz, 8.9Hz)
  14-52 1.26(3H, t, J=7.1Hz), 2.48(3H, s), 3.07(1H, m), 3.38(1H, m), 4.21(2H, q, J=7.1Hz), 4.51(1H, m), 7.07(1H, t, g. 2007). 15
- m), 4.21(A, q, J=7.11Z), 4.31(H, m), 7.07(H, t, J=58.0Hz), 7.23(2H, m)

  14-53 1.24(3H, t, J=7.1Hz), 1.90(4H, m), 3.15(1H, m), 3.43(1H, m), 3.65(4H, m), 3.92(3H, s), 4.18(2H, m), 4.48(1H, m), 7.26(1H, d, J=10.5Hz) 20
  - 14-54 1.16(3H, t, J=7.2Hz), 2.36(3H, s), 3.04(1H, m), 3.26(1H, m), 3.48(3H, s), 4.00-4.25(3H, m), 6.30(1H, s), 7.20(1H, d. J=8.8Hz)
- 14-55 1.18(3H, t, J=7.2Hz), 2.37(3H, s), 3.03(1H, m), 3.31(1H, m), 3.50(3H, s), 4.00-4.25(3H, m), 6.28(1H, s), 7.20(1H, d, 25 J=8.7Hz)
  - 14-56 1.21(3H, t, J=7.2Hz), 3.10–3.45(2H, m), 3.90(3H, s), 4.07(3H, br d, J=0.9Hz), 4.16(2H, q, J=7.2Hz), 4.54(1H, br t, J=7.3Hz), 7.20(1H, d, J=8.6Hz)

  - br t, J=7.3Hz), 7.20(1H, d, J=8.6Hz)

    14-57 3.45(3H, d, J=1.1Hz), 6.21(1H, s), 7.30(1H, d, J=8.4Hz), 7.46(2H, t, J=7.5Hz), 7.5-7.8(5H, m)

    14-58 3.31(3H, d, J=0.9Hz), 6.29(1H, s), 6.32(1H, d, J=12.1Hz), 6.80(1H, d, J=12.1Hz), 7.15(1H, d, J=8.5Hz), 7.28(2H, m), 7.35(1H, dd, J=8.5, 2.3Hz), 7.42(2H, m), 7.6-7.8(4H, m)

    14-59 3.48(3H, s), 6.34(1H, s), 6.72(1H, d, J=16.0Hz), 7.02(1H, d, J=8.4Hz), 7.18(1H, d, J=16.0Hz), 7.30(1H, dd, J=10.7, 2.3Hz), 7.39(2H, m), 7.48(1H, dd, J=8.7, 1.2Hz), 7.73(5H, m)

    14-60 1.25(1.5H, t, J=7.1Hz), 1.26(1, 5H, t, J=7.1Hz), 2.92(1H, m), 3.26(1H, m), 3.57(3H, m), 4.22(2H, m), 4.36(1H, m), 6.37(0.5H, s), 6.38(0.5H, s), 7.2-7.3(2H, m)

    14-61 1.21(3H, m), 2.49(3H, s), 3.33(2H, m), 3.90(3H, s), 4.18(2H, m), 4.52(1H, t, J=7.2Hz), 7.05(1H, t, J=58.0Hz), 7.25(1H, d, J=8.9Hz)
- 35 14-60
  - J=8.9Hz)
- 40 14-62 1.46(3H, t, J=7.0), 3.58(3H, s), 4.12(2H, q, J=7.0Hz), 6.37(1H, s), 7.26(1H, d, J=8.7Hz)
  - 14-63 1.22(3H, t, J=7.2Hz), 1.47(3H, t, J=7.0), 3.00(1H, dd, 14.2, 5.2Hz), 3.23(1H, dd, J=14.2, 8.4Hz), 3.55(3H, q, J=0.8Hz), 4.12(2H, q, J=7.0), 4.12(2H, m), 4.57(1H, dd, J=8.4, 5.2Hz), 6.37(1H, s), 7.26(1H, d, J=8.7Hz)
- 45 14-64 1.23(3H, t, J=7.1Hz), 1.46(3H, t, J=7.0Hz), 2.94(1H, dd, 14.4, 6.4Hz), 3.32(1H, dd, J=14.4, 7.0Hz), 3.55(3H, q, J=0.8Hz), 4.10(2H, q, J=7.0Hz), 4.17(2H, q, J=7.1Hz), 4.61(1H, dd, J=7.0, 6.4Hz), 6.34(1H, s), 7.25(1H, d, J=8.9Hz)
- 6.4Hz), 6.34(1H, s), 7.25(1H, d, J=8.9Hz)
  14-65 0.89(3H, t, J=7.3Hz), 1.46(3H, t, J=7.0Hz), 1.62(2H, qt, J=7.3, 6.9Hz), 3.03(1H, dd, J=14.2, 5.4Hz), 3.21(1H, dd, J=14.2, 8.3Hz), 3.55(3H, q, J=1.0Hz), 4.04(2H, q, J=7.0Hz), 4.10(2H, m), 4.59(1H, dd, J=8.3, 5.4Hz), 6.37(1H, s), 7.25(1H, d, J=8.8Hz) 50
  - 14-66 0.90(3H, t, J=7.3Hz), 1.46(3H, t, J=7.0Hz), 1.63(2H, qt, J=7.3, 6.9Hz), 2.94(1H, dd, J=14.4, 6.8Hz), 3.32(1H, dd, J=14.4, 6.9Hz), 3.55(3H, q, J=1.0Hz), 4.07(2H, q, J=7.0Hz), 4.10(2H, m), 4.64(1H, dd, J=6.9, 6.8Hz), 6.35(1H, s), 7.25(1H, d, J=8.9Hz)
- 1.38(6H, t, J=6.2), 3.57(3H, q, J=1.2Hz), 4.58(1H, q, J=6.2Hz), 6.37(1H, s), 7.27(1H, d, J=8.7Hz) 55 14-67
  - 14-68 1.22(3H, t, J=7.1Hz), 1.34, 1.39(6H, t, J=6.2Hz), 3.03(1H, dd, J=14.2, 5.3Hz), 3.26(1H, dd, J=14.2, 8.3Hz), 3.55(3H, s), 4.14(3H, q, J=7.1Hz), 4.58(1H, dd, J=8.3, 5.3Hz), 4.68(1H, q, J=6.2Hz), 6.36(1H, s), 7.25(1H, d, J=8.9Hz)
- 60 14-69 1.22(3H, t, J=7.1Hz), 1.35, 1.37(6H, t, J=6.2Hz), 2.94(1H, dd, J=14.4, 6.5Hz), 3.35(1H, dd, J=14.4, 7.1Hz), 3.56(3H, s), 4.16(3H, q, J=7.1Hz), 4.64(1H, q, J=6.2Hz), 4.66(1H, dd,
  - 1-7.1, 6.5Hz), 6.34(1H, s), 7.25(1H, d, J=8.9Hz) 1.25(3H, t, J=7.1Hz), 2.39(3H, s), 2.89(1H, dd, J=14.8, 7.8Hz), 3.23(1H, dd, J=14.8, 6.1Hz), 3.56(3H, q, J=1.0Hz), 4.17(2H, q, J=7.1Hz), 4.39(1H, dd, J=7.8, 6.1Hz), 6.37, 6.38(1H, s), 7.00(1H, d, J=8.0Hz), 7.22(1H, d, J=8.0Hz), 7.27(1H, s)

No.

#### <sup>1</sup>H NMR data

### NMR(CDCl<sub>3</sub>, 300MHz) ppm 0.89(3H, m), 1.63(2H, m), 3.00(1H, m), 3.30(1H, m), 3.54, 3.55(3H, s), 3.93(3H, s), 4.09(1H, m), 6.36, 6.38(1H, s), 7.23(1H, d, J=8.2Hz), 8.07(1H, dd, J=8.2, 1.9Hz), 8.16(1H, d, 14-72 0.86, 0.88(3H, t, J=6.8Hz), 1.57, 1.62(2H, m), 2.43(3H, s), 2.96(1H, dd, J=14.2, 6.9Hz), 3.39(1H, dd, J=14.2, 7.2Hz), 3.93(3H, s), 4.05(2H, m), 4.54(1H, dd, J=7.2, 6.9Hz), 7.28, 7.29(1H, d, J=8.8Hz), 8.02, 8.04(1H, s) 3.14(2H, m), 3.54(3H, 2s), 3.94(3H, 2s), 4.63(1H, m), 6.38(1H, 2s), 7.25(1H, d, J=8.9Hz), 9.5(1H, broad) 14-73

- 4.06(3H, s), 7.47(1H, d, J=8.4Hz), 8.10(1H, m), 8.80(1H, m) 3.87(3H, s), 6.61(1H, d, J=9.4Hz), 8.12(1H, m), 8.88(1H, m) 14-74
- 3.53(3H, s), 3.7(2H, broad), 6.4(1H, broad), 6.29(1H, s), 6.68(1H, d. J=9.1Hz)
- (3, 3-3112) (0, 7-0.9(4H, m), 1.23(1H, m), 3.51(3H, s), 3.87(3H, s), 6.24(1H, s), 6.47(1H, s), 6.83(1H, d, J=9.0Hz), 8.02(1H, s) 3.02(3H, s), 3.92(3H, s), 5.99(1H, s), 6.74(1H, s), 6.79(1H, d, J=9.0Hz), 7.38(2H, 2d), 7.53(1H, 2d), 7.72(2H, d, J=7.2Hz),
- 8.85(1H, s)
- 3.31(3H, s), 4.05(3H, s), 6.20(1H, s), 6.86(2H, m), 6.89(1H, d, J-9.1Hz), 7.03(1H, m), 8.12(1H, m), 8.23(1H, m) 15-4
- 2.91(3H, s), 3.91(3H, s), 5.99(1H, s), 6.76(1H, d, J=9.0Hz), 6.81(1H, s), 7.59(2H, m), 7.82(4H, m), 8.32(1H, s), 8.98(1H, s) 1.21(3H, t, J=7.1Hz), 3.55(3H, s), 3.95(3H, s), 4.07(2H, q, 15-5
- J=7.1Hz), 6.28(1H, bs), 6.31(1H, s), 6.43(1H, bs), 6.87(1H, d, J=9.1Hz)
- 3.46(3H, s), 3.93(3H, s), 6.29(1H, s), 6.52(1H, bs), 6.90(1H, d, J=9.0Hz), 7.10(3H, m), 7.21(1H, m), 7.34(2H, m)
  3.28(3H, s), 3.90(3H, s), 6.11(1H, s), 6.66(1H, s), 6.84(3H, m), 15-7
- 6.93(1H, d, J=8.9Hz), 7.87(1H, s), 8.07(1H, m) 1.33(3H, t, J=7.1Hz), 3.16(3H, s), 3.50(3H, s), 4.05(3H, s), 4.18(2H, m), 6.29(1H, s), 6.68(1H, s), 6.85(1H, d, J=9.1Hz),
- 15-10 3.54(3H, s), 3.85(3H, s), 5.40(2H, m), 5.96(1H, m), 6.32(1H, s),
- 13-10 3.34(311, s), 3.83(3H, s), 3.40(2H, m), 5.96(1H, m), 6.32(1H, s), 6.72(1H, d), I-9.2Hz), 7.32(1H, d), 8.13(1H, s)
  15-11 0.51(2H, m), 0.82(2H, m), 1.27(1H, m), 3.56(3H, s), 3.83(3H, s), 6.34(1H, s), 6.67(2H, m), 7.82(1H, s)
  15-12 1.76(3H, s), 1.80(3H, s), 3.54(3H, s), 3.87(3H, s), 6.31(1H, s), 6.69(1H, d, J-9.1Hz), 7.63(1H, s)
  15-13 1.69(3H, s), 3.39(3H, s), 3.54(3H, s), 3.83(3H, s), 4.13(2H, s), 6.31(1H, s), 6.31(1H, s), 6.66(1H, d, L-9.34;-), 2.76(1H, s), 6.96(1H, d, L-9.34;-), 2.76(1H, s), 2.76(
- 6.30(1H, s), 6.66(1H, d, J=9.3Hz), 9.79(1H, s)
- 15-14 2.07(3H, s), 2.33(2H, m), 2.52(2H, m), 3.56(3H, s), 3.86(3H, s), 6.33(1H, s), 6.72(1H, d, J=9.2Hz), 7.06(1H, t, J=5.2Hz), 7.92(1H, s)
- 15-15 3.30(2H, d, J=5.9Hz), 3.52(3H, s), 3.84(3H, s), 6.33(1H, s), 6.72(1H, d, J=9.1Hz), 7.07(2H, d, J=7.5Hz), 7.28(4H, m), 7.95(1H, s)
- 15-16 1.25(3H, t, J=7.1Hz), 1.91(3H, s), 2.98(2H, 2d), 3.54(3H, s), 3.89(3H, s), 4.11(2H, q, J-7.1Hz), 6.30(1H, s), 6.74(1H, d, J-9.1Hz), 7.76(1H, s)
- 15-17 1.24(3H, m), 3.46(1H, m), 3.50, 3.55(3H, 2s), 3.84(3H, s), 6.33, 6.37(1H, 2s), 6.71(1H, d, J=9.2Hz), 7.10(3H, m), 7.28(3H, m),
- 15-18 2.32(2H, m), 2.81(2H, m), 3.55(3H, s), 3.57(2H, m), 3.94(3H, s), 6.32(1H, s), 6.74(1H, d, J=9.1Hz), 7.18(4H, m), 7.75(1H, s) 15-19 2.46(2H, m), 2.91(2H, m), 3.25(2H, m), 3.57(3H, s), 3.87(3H, s),
- 6.36(1H, s), 6.69(1H, d, J=9.1Hz), 7.19(4H, m), 7.64(1H, s)
- 15-20 3.51(3H, s), 3.90(3H, s), 6.35(1H, s), 6.77(3H, m), 7.25(1H, m), 7.83(1H, s), 8.36(1H, s)
- 3.54(3H, s), 3.86(3H, s), 6.31(1H, s), 6.37(1H, s), 6.79(1H, d, J=9.3Hz)
- 15-22 3.53(3H, s), 3.90(3H, s), 6.41(1H, s), 6.74(1H, d, J=9.2Hz), 7.39(1H, m), 7.48(2H, m), 7.66(2H, m), 7.80(3H, m), 8.34(1H, s) 16-1 3.55(3H, s), 6.36(1H, s), 6.61(1H, d, J=2.1Hz), 7.04(1H, d,
- J=2.1Hz), 7.97(1H, s)
- 16-2 3.56(3H, s), 3.81(3H, s), 6.35(1H, s), 6.94(1H, d, J=2.0Hz), 7.16(1H, d, J=2.0Hz)
- 3.54(3H, s), 5.11(2H, s), 6.34(1H, s), 6.8-6.9(2H, m), 6.96(1H, d, J=2.0Hz), 7.19(1H, d, J=2.1Hz), 7.25(1H, m) 3.53(3H, s), 6.35(1H, s), 6.86(1H, m), 7.00(1H, m), 7.49(1H, d, J=2.2Hz), 7.71(1H, d, J=2.2Hz), 8.02(1H, m) 16-4
- 3.42(3H, s), 6.31(1H, s), 7.49(1H, d, J=2.2Hz), 7.61(3H, m),
- 7.93(4H, m), 8.58(1H, m) 3.54(3H, s), 3.86(3H, s), 6.35(1H, s), 6.82(1H, d, J=9.2Hz), 6.9(1H, broad)

### TABLE XVIII-continued

### <sup>1</sup>H NMR data

3	No.	NMR(CDCl <sub>3</sub> , 300MHz) ppm
	16-7	3.44(3H, s), 3.88(3H, s), 6.26(1H, s), 7.29(1H, d, J=8.9Hz), 7.63(2H, m), 7.95(3H, m), 8.09(1H, 2d, J=1.7Hz, 8.6Hz),
		8.71(1H, s)
	17-1	2.39(3H, s), 3.56(3H, s), 3.97(3H, s), 6.37(1H, s), 7.28(1H, d,
10		J=9.0Hz)
	17-2	1.15(6H, m), 3.56(3H, s), 3.73(2H, m), 3.95(3H, s), 6.36(1H, s), 7.28(1H, d, J=9.0Hz)
	17-3	3.51(3H, s), 4.01(3H, s), 4.10(2H, m), 6.32(1H, s), 7.24(5H, m), 7.30(1H, d, J=8.6Hz)
	17-4	3.14(3H, s), 3.83(3H, s), 6.19(1H, s), 7.46(8H, m)
15	17-5	3.14(2H, m), 3.57(5H, m), 3.96(3H, s), 6.40(1H, s), 7.28(1H, d,

The compounds of the present invention exhibit excellent herbicidal effects when used as an active ingredient of a 20 herbicide. The herbicide can be used for a wide range of applications, for example on crop lands such as paddy fields, upland farms, orchards and mulberry fields, and non-crop lands such as forests, farm roads, playgrounds, and factory sites. The application method may be suitably selected for

25 soil treatment application and foliar application. The compounds of the present invention are capable of controlling noxious weeds including grass (gramineae) such as barnyardgrass (Echinochloa crus-galli), large crabgrass (Digitaria sanguinalis), green foxtail (Setaria virgidis), goosegrass (Eleusine indica L.), wild oat (Avena fatua L.), Johnsongrass (Sorghum halepense), quackgrass (Agropyron repens), alexandergrass (Brachiaria plantaginea), paragrass (Panicum purpurascen), sprangletop (Leptochloa chinensis) and red sprangletop (Leptochloa panicea); sedges (or Cyperaceae) such as rice flatsedge (Cyperus iria L.), purple nutsedge (Cyperus rotundus L.), Japanese bulrush (Scirpus Juncoides), flatsedge (Cyperus serotinus), small-flower umbrellaplant (Cyperus difformis), slender spikerush (Eleocharis acicularis), and water chestnut (Eleocharis kuroguwai); alismataceae such as Japanese ribbon wapato 40 (Sagittaria pygmaea), arrow-head (Sagittaria trifolia) and narrowleaf waterplantain (Alisma canaliculatum); pontederiaceae such as monochoria (Monochoria vaginalis) and monochoria species (Monochoria korsakowii); scrophulanaceae such as false pimpernel (Lindernia pyxidaria) and 45 abunome (Dopatrium Junceum); lythraceae such as toothcup (Rotala indica) and red stem (Ammannia multiflora); and broadleaves such as redroot pigweed (Amaranthus retroflexus), velvetleaf (Abutilon theophrasti), morningglory (Ipomoea hederacea), lambsquarters (Chenopodium 50 album), prickly sida (Sida spinosa L.), common purslane (Portulaca oleracea L.), slender amaranth (Amaranthus viridis L.), sicklepod (Cassia obtusifolia), black nightshade (Solanum nigrum L.), pale smartweed (Polygonum lapathifolium L.), common chickweed (Stellaria media L.), 55 conunon cocklebur (Xanthium strumarium L.), flexuous bittercress (Cardamine flexuosa WITH.), henbit (Lamium

- amplexicaule L.) and threeseeded copperleaf(Acalypha australis L.). Accordingly, it is useful for controlling noxious weeds non-selectively or selectively in the cultivation of a 60 crop plant such as corn (Zea mays L.), soybean (Glycine max Merr.), cotton (Gossypium spp.), wheat (Triticum spp.), rice (Oryza sativa L.), barley (Hordeum vulgare L.), oat (Avena
- 65 (Beta vulgaris L.), sugar cane (Saccharum offcinarum L.), Japanese lawngrass (Zoysia Japonica stend), peanut (Arachis hypogaea L.) or flax (Linum usitatissimum L.).

sativa L.), sorgo (Sorghum bicolor Moench), rape (Brassica

napus L.), sunflower (Helianthus annuus L.), sugar beet

For use as herbicides, the active ingredients of this invention are formulated into herbicidal compositions by mixing herbicidally active amounts with inert ingredients known to the art to facilitate either the suspension, dissolution or emulsification of the active ingredient for the desired suse. The type of formulation prepared recognizes the facts that formulation, crop and use pattern all can influence the activity and utility of the active ingredient in a particular use. Thus for agricultural use the present herbicidal compounds may be formulated as water dispersible granules, granules for direct application to soils, water soluble concentrates, wettable powders, dusts, solutions, emulsifiable concentrates (EC), microemulsion, suspoemulsion, invert emulsion or other types of formulations, depending on the desired weed targets, crops and application methods.

These herbicidal formulations may be applied to the target area (where suppression of unwanted vegetation is the objective) as dusts, granules or water or solvent diluted sprays. These formulation may contain as little as 0.1% to as much as 97% active ingredient by weight.

Dusts are admixtures of the active ingredient with finely ground materials such as clays (some examples include kaolin and montmorillonite clays), talc, granite dust or other organic or inorganic solids which act as dispersants and carriers for the active ingredient; these finely ground materials have an average particle size of less than 50 microns. A typical dust formulation will contain 1% active ingredient and 99% carrier.

Wettable powders are composed of finely ground particles which disperse rapidly in water or other spray carriers. Typical carriers include kaolin clays, Fullers earth, silicas and other absorbent, wettable inorganic materials. Wettable powders can be prepared to contain from 1 to 90% active ingredient, depending on the desired use pattern and the absorbability of the carrier. Wettable powders typically contain wetting or dispersing agents to assist dispersion in water or other carriers.

Water dispersible granules are granulated solids that freely disperse when mixed in water. This formulation 40 typically consists of the active ingredient (0.1% to 95% active ingredient), a wetting agent (1-15% by weight), a dispersing agent (1 to 15% by weight) and an inert carrier (1-95% by weight). Water dispersible granules can be formed by mixing the ingredients intimately then adding a 45 small amount of water on a rotating disc (said mechanism is commercially available) and collecting the agglomerated granules. Alternatively, the mixture of ingredients may be mixed with an optimal amount of liquid (water or other liquid) and passed through an extruder (said mechanism is 50 commercially available) equipped with passages which allow for the formation of small extruded granules. Alternatively, the mixture of ingredients can be granulated using a high speed mixer (said mechanism is commercially available) by adding a small amount of liquid and mixing at 55 high speeds to affect agglomeration. Alternatively, the mixture of ingredients can be dispersed in water and dried by spraying the dispersion through a heated nozzle in a process known as spray drying (spray drying equipment is commercially available). After granulation the moisture content of 60 granules is adjusted to an optimal level (generally less than 5%) and the product is sized to the desired mesh size

Granules are granulated solids that do not disperse readily in water, but instead maintain their physical structure when applied to the soil using a dry granule applicator. These 65 granulated solids may be made of clay, vegetable material such as corn cob grits, agglomerated silicas or other agglom-

erated organic or inorganic materials or compounds such as calcium sulfate. The formulation typically consists of the active ingredient (1 to 20%) dispersed on or absorbed into the granule. The granule may be produced by intimately mixing the active ingredient with the granules with or without a sticking agent to facilitate adhesion of the active ingredient to the granule surface, or by dissolving the active ingredient in a solvent, spraying the dissolved active ingredient and solvent onto the granule then drying to remove the solvent. Granular formulations are useful where in-furrow or banded application is desired

Emulsifiable concentrates (EC) are homogeneous liquids composed of a solvent or mixture of solvents such as xylenes, heavy aromatic naphthas, isophorone or other proprietary commercial compositions derived from petroleum distillates, the active ingredient and an emulsifying agent or agents. For herbicidal use, the EC is added to water (or other spray carrier) and applied as a spray to the target area. The composition of an EC formulation can contain 0.1% to 95% active ingredient, 5 to 95% solvent or solvent mixture and 1 to 20% emulsifying agent or mixture of emulsifying agents.

Suspension concentrate (also known as flowable) formulations are liquid formulations consisting of a finely ground suspension of the active ingredient in a carrier, typically water or a non-aqueous carrier such as an oil. Suspension concentrates typically contain the active ingredient (5 to 50% by weight), carrier, wetting agent, dispersing agent, anti-freeze, viscosity modifiers and pH modifiers. For application, suspension concentrates are typically diluted with water and sprayed on the target area

Solution concentrates are solutions of the active ingredient (1 to 70%) in solvents which have sufficient solvency to dissolve the desired amount of active ingredient. Because they are simple solutions without other inert ingredients such as wetting agents, additional additives are usually added to the spray tank mix before spraying to facilitate proper application.

Microemulsions are solutions consisting of the active ingredient (1 to 30%) dissolved in a surfactant or emulsifier, without any additional solvents. There are no additional solvents added to this formulation. Microemulsions are particularly useful when a low odor formulation is required such as in residential turfgrass applications.

Suspoemulsions are combinations of two active ingredients. One active ingredient, is made as a suspension concentrate (1-50% active ingredient) and the second active is made as a emulsifiable concentrate (0.1 to 20%). A reason for making this kind of formulation is the inability to make an EC formulation of the first ingredient due to poor solubility in organic solvents. The suspoemulsion formulation allows for the combination of the two active ingredients to be packaged in one container, thereby minimizing packaging waste and giving greater convenience to the product

The herbicidal compounds of this invention may be formulated or applied with a insecticides, fungicides, acaricides, nematicides, fertilizers, plant growth regulators or other agricultural chemicals. Certain tank mix additives, such as spreader stickers, penetration aids, wetting agents, surfactants, emulsifiers, humectants and UW protectants may be added in amounts of 0.01% to 5% to enhance the biological activity, stability, wetting, spreading on foliage or uptake of the active ingredients on the target area or to improve the suspensibility, dispersion, redispersion, emulsifiability, UW stability or other physical or physicochemical property of the active ingredient in the spray tank, spray system or target area

The compositions of the present invention may be used in admixture with or in combination with other agricultural chemicals, fertilizers, adjuvants, surfactants, emulsifiers, oils, polymers or phytotoxicity-reducing agents such as herbicide safeners. In such a case, they may exhibit even 5 better effects or activities. As other agricultural chemicals, herbicides, fungicides, antibiotics, plant hormones, plant growth regulators, insecticides, or acaricides may, for example, be mentioned. Especially with herbicidal compositions having the compounds of the present invention used 10 in admixture with or in combination with one or more active ingredients of other herbicides, it is possible to improve the herbicidal activities, the range of application time(s) and the range of applicable weed types. Further, the compounds of the present invention and an active ingredient of another 15 6. Those which exhibit herbicidal effects specifically to herbicide may be separately formulated so they may be mixed for use at the time of application, or both may be formulated together. The present invention covers such herbicidal compositions.

The blend ratio of the compounds of the present invention 20 with the active ingredient of other herbicides can not generally be defined, since it varies depending on the time and method of application, weather conditions, soil type and type of formulation. However one active ingredient of other herbicide may be incorporated usually in an amount of 0.01 25 7. Those which are believed to exhibit herbicidal effects by to 100 parts by weight, per one part by weight of the compounds of the present invention. Further, the total dose of all of the active ingredients is usually from 1 to 10000 g/ha, preferably from 5 to 500 g/ha. The present invention covers such herbicidal compositions.

As the active ingredients of other herbicides, the following (common name) may be mentioned. Herbicidal compositions having the compounds of the present invention used in combination with other herbicides, may occasionally exhibit a synergistic effect.

- 1. Those that are believed to exhibit herbicidal effects by disturbing auxin activities of plants, including a phenoxy acetic acid type such as 2,4-D, 2,4-DB, 2,4DP, MCPA, MCPP, MCPB or naproanilide (including the free acids, esters or salts thereof), an aromatic carboxylic type such 40 as 2,3,6 TBA, dicamba, dichlobenil, a pyridine type such as picloram (including free acids and salts thereof), triclopyr or clopyralid and others such as naptalam, benazolin, quinclorac, quinmerac or diflufenzopyr (BAS
- 2. Those that are believed to exhibit herbicidal effects by inhibiting photosynthesis of plants including a urea type such as diuron, linuron, isoproturon, chlorotoluron, metobenzuron, tebuthiuron or fluometuron, a triazine type such as simazine, atrazine, cyanazine, terbuthylazine, 50 atraton, hexazinone, metribuzin, simetyn, ametryn, prometryn, dimethametryn or triaziflam, a uracil type such as bromacil, terbacil or lenacil, an anilide type such as propanil or cypromid, a carbamate type such as desmedipham or phenmedipham, a hydroxybenzonitrile type 55 such as bromoxynil or ioxynil, and others such as pyridate, bentazon and methazole.
- 3. A quaternary ammonium salt type such as paraquat, diquat or difenzoquat, which is believed to be converted to free radicals by itself to form active oxygen in the plant and 60 thus to exhibit quick herbicidal effects.
- 4. Those which are believed to exhibit herbicidal effects by inhibiting chlorophyll biosynthesis in plants and abnormally accumulating a photsensitizing peroxide substance in the. plant body, including a diphenyl ether type such as 65 nitrofen, lactofen, acifluorfen-sodium, oxyfluorfen, fomesafen, bifenox, or chlomethoxyfen, a cyclic imide

- type such as chlorphthaliim, flumioxazin, cinidon-ethyl, or flumiclorac-pentyl, and others such as oxadiazon, sulfentrazone, thidiazimin, azafenidin, carfentrazone, isopropazole, fluthiacet-methyl, pentoxazone, pyraflufenethyl and oxadiargyl.
- 5. Those which are believed to exhibit herbicidal effects characterized by whitening activities by inhibiting chromogenesis of plants such as carotenoids including a pyridazinone type such as norflurazon, chloridazon or metflurazon, a pyrazol type such as pyrazolate, pyrazoxyfen or benzofenap, and others such as fluridone, fluramone, diflufencam, methoxyphenone, clomazone, amitrole, sulcotrione, mesotrione, isoxaflutole and isoxachlortole.
- gramineous plants including an aryloxyphenoxypropionic acid type (either as a mixture of isomers or as a resolved isomer) such as diclofop-methyl, pyrofenop-sodium, fluazifop butyl or fluazifop-p-butyl, hailoxyfop-methyl, quizalofop p-ethyl, quizalafop p-tefiryl, fenoxaprop ethyl or fenoxaprop-p-ethyl, flamprop-M-methyl or flampropm-isopropyl or cyhalofop-butyl and a cyclohexanedione type such as alloxydim-sodium, sethoxydim, clethodim, tepraloxydim or tralkoxydim.
- inhibiting amino acid biosynthesis of plants, including a sulfonylurea type such as chlorimuron-ethyl, nicosulfuron, metsulfuron-methyl, triasulfuron, primisulfuron, tribenuron-methyl, chlorosulfuron, bensulfuron-methyl, sulfometuron-methyl, prosulfuron, halosulfuron or halosulfuron-methyl, thifensulfuronmethyl, rimsulfuron, azimsulfuron, flazasulfuron, imazosulfuron, cyclosulfamuron, flupyrsulfuron, iodosulfuron, ethoxysulfuron, flucarbazone, sulfosulfuron, oxasufluron a triazolopyrimidinesulfonamide type such as flumetsulam, metosulam, chloransulam or chloransulam-methyl, an imidazolinone type such as imazapyr, imazethapyr, imazaquin, imazamox, imazameth, imazamethabenz methyl, a pyrimidinesalicylic acid type such as pyrthiobac-sodium, bispyribacsodium, pyriminobac-methyl or pyribenzoxim (LGC40863), and others such as glyphosate, glyphosateammonium, glyphosate-isopropylamine or sulfosate.
- 8. Those which are believed to exhibit herbicidal effects by interfering with the normal metabolism of inorganic nitrogen assimilation such as glufosinate, glufosinateammonium, phosphinothricin or bialophos.
- 9. Those which are believed to exhibit herbicidal effects by inhibiting cell division of plant cells, including a dinitroaniline type such as trifluralin, oryzalin, nitralin, pendamethalin, ethafluralin, benefin and prodiamine, an amide type such as bensulide, napronamide, and pronamide, a carbamate type such as propham, chlorpropham, barban, and asulam, an organophosphorous type such as amiprofos-methyl or butamifos and others such as DCPA and dithiopyr.
- 10. Those which are believed to exhibit herbicidal effects by inhibiting protein synthesis of plant cells, including a chloroacetanilide type such as alachlor, metolachor (including combinations with safeners such as benoxacor, or resolved isomeric mixtures of metolachlor including safeners such as benoxacor) propachlor, acetochlor (including combinations with herbicide safeners such as dichlonnid or MON 4660 or resolved isomeric mixtures of acetochlor containing safeners such as dichlormid or MON 4660), propisochlor or dimethenamid or an oxyacetamide type such as flufenacet.

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11. Those in which the mode of action causing the herbicidal effects are not well understood including the dithiocarbaamates such as thiobencarb, EPTC, diallate, triallate, molinate, pebulate, cycloate, butylate, vernolate or prosulfocarb and miscellaneous herbicides such as MSMA, 5 DSMA, endothall, ethofumesate, sodium chlorate, pelargonic acid and fosamine. A few formulation examples of the present invention are given as follows.

Formulation Example 1. Emulsifiable Concentrate

Ingredient Trade Name	Chemical Name	Supplier	Function	% wt./wt.
Compound 2-			Active Ingredient	5.0
Toximul H-A	Calcium sulfonate and nonionic surfactant blend	Stepan Co.	Emulsifier	2.5
Toximul D-A	Calcium sulfonate and nonionic surfactant blend	Stepan Co.	Emulsifier	7.5
Aromatic 200	Aromatic hydrocarbon	Exxon Chemical Co.	Solvent	QS to 100%

### Formulation Example 2. Suspension Concentrate

Ingredient Trade Name	Chemical Name	Supplier	Function	% wt./wt.
Compound 2- 75			Active Ingredient	10.00
Proylene gylcol			Anti-freeze	5.00
Antifoam 1530	Silicone defoamer	Dow Corning	Anti-foam	0.50
Rhodopol 23	Xanthan gum	Rhone-Poulenc	Suspending Aid	0.25
Morwet D425	Napthalene formaldehyde condensate	Witco Corp.	Dispersant	3.00
Igepal CA-720	Octylphenol ethoxylate	Rhone-Poulenc	Wetting agent	3.00
Proxel GXL Water	1,2 benziso- thiazolin-3-one	ICI Americas	Preservative Diluent	0.25 68.00

### Formulation Example 3. Wettable Powder

Ingredient Trade Name	Chemical Name	Supplier	Function	% wi./wi.
Compound 2-75	<del>,</del>		Active Ingredient	50.00
Geropon T-77	Sodium-N-methyl methyl-N-olcoyl taurate	Rhone-Poulenc	Wetting agent	3.00
Lomar PW	Napthalene Sulfonate	Henkel Corp.	Dispersant	5.00
Kaolin clay	Kaolin clay	J. M. Huber	Filler	42.00

### Formulation Example 4. Water Dispersible Granule

Ingredient Trade Name	Chemical Name	Supplier	Function	% wt./wt.
Compound 2- 75 Morwet EFW	Witco Corp.	Wetting	Active Ingredient 2.00	50.00

-continued

Ingredient Trade Name	Chemical Name	Supplier	Function	% wi./wl.
Morwet D-425	Napthalene formaldehyde condensate	Witco Corp.	Dispersant	10.00
ASP 400	Kaolin Clay	Engelhard Corp.	Filler	38.00

Test Example

A standard greenhouse herbicide activity screening system was used to evaluate the herbicidal efficacy and crop safety of these test compounds. Seven broadleaf weed species including redroot pigweed (Amaranthus retroflexus, AMARE, velvetleaf (Abutilon theophrasti, ABUTH), sicklepod (Cassia obtusifolia, CASOB), ivyleaf morningglory (Ipomoea hederacea, IPOHE), lambsquarters (Chenopodium album, CHEAL), common ragweed (Ambrosia artemisizfolia L., AMBEL), and cocklebur (Xanthium strumarium, XANST) were used as test species. Four grass weed species including green foxtail (Setaria viridis, SETVI), barnyardgrass (Echinochloa crus-galli, ECHCG), johnsongrass (Sorghum halepense, SORHA), and large crabgrass (Digitaria sanguinalis, DIGSA) were also 25 used. In addition, three crop species, field corn (Zea mays L., var. Dekalb 535, CORN), soybean (Glycine max L., var. Pella 86, SOY), and is upland rice (Oryza sp., var. Tebonnet, RICE) were included.

Pre-emerge Test

All plants were grown in 10 cm square plastic pots which were filled with a sandy loam soil mix. For pre-emerge tests, seeds were planted one day prior to application of the test compounds. For post-emerge tests, seeds were planted 8-21 days prior to the test to allow emergence and good foliage development prior to application of the test substances. At the time of the post-emerge application, plants of all species were usually at the 2-3 leaf stage of development.

All test compounds Were dissolved in acetone and applied to the test units in a volume of 187 l/ha. Test materials were applied at rates ranging from 15 g ai/ha to 1000 g ai/ha using a track sprayer equipped with a TJ8001E even flow flat fan spray nozzle. Plants were arranged on a shelf so that the top of the canopy (post-emerge) or top of the soil surface (pre-emerge) was 40-45 cm below the nozzle. Pressurized air was used to force the test solution through the nozzle as it was mechanically advanced (via electrically driven chain drive) over the top of all test plants/pots. This application simulates a typical commercial field herbicide application.

Post-emerge Test

In the post-emerge test, a commercial non-ionic surfactant was also included (0.25% v/v) to enhance wetting of the leaf surfaces of target plants. Immediately after application, test units of the pre-emerge applications were watered at the soil surface to incorporate the test materials. Subsequently, these test units were bottom-watered. Post-emerge test units were always bottom-watered.

At 14 days after application of the test materials, phytotoxicity ratings were recorded. A rating scale of 0-100 was used as previously described in Research Methods in Weed Science, 2nd edition, B. Truelove, Ed., Southern Weed Science Society, Auburn University, Auburn, Ala. 1977. Briefly, "0" corresponds to no damage and "100" corresponds to complete death of all plants in the test unit. This scale was used both to determine efficacy against weed species and damage to crop species. Herbicide activity data for various compounds of this invention, which are shown by compound No. in Tables 1-8, are shown in Tables 11 and

12. The data demonstrate significant differences between compounds for both efficacy against weeds and selectivity for crop species. For selected compounds, excellent activity against a majority of the weed species was observed with minimal damage to at least one of the crop species.

Following table XIX shows comparative data for the pre-emerge herbicidal activity of compound 1.4 of present invention and the compound 2 reported in the Japanese Pat. No. Toku Kai Hei 5-25144 (1993). The data clearly shows the high level of activity observed with compound 1.4.

TABLE XIX

Comparative herbicidal activity of compounds 1.4 and 2

Cmpd. no.	Rate (g ai/ha)	AMARE	АВИТН	CASOB	ІРОНЕ	CHEAL	XANST	SETVI	ECHCG	SORHA	DIGSA	MAIZE	SOY	RICE
1.4	3.9	30	95	0	0	30	0	0	0	0	0	0	0	0
	7.8	100	100	30	0	60	0	60	0	0	30	0	0	0
	15.6	90	100	100	0	100	20	80	0	0	30	0	0	10
	31.3	100	100	0	50	100	10	80	10	30	30	0	15	20
	62.5	100	100	80	90	100	50	100	30	40	95	0	40	50
	125	100	100	95	100	100	50	100	95	95	100	40	90	60
	250	100	100	100	100	100	90	100	100	100	100	95	100	65
2	3.9	0	0	0	0	0	0	0	0	0	0	0	0	0
	7.8	0	0	0	0	0	0	0	0	0	0	0	0	0
	15.6	0	0	0	0	0	0	0	0	0	0	0	0	0
	31.3	0	0	0	0	0	0	0	0	0	0	0	0	0
	62.5	0	0	0	0	0	0	0	0	0	0	0	0	0
	125	0	0	30	0	30	0	0	0	0	0	0	0	0
	250	0	50	50	0	50	60	0	0	0	0	0	0	15

TABLE XX

					<u>P</u>	re-emerge	Herbicidal A	<u>Activity</u>						
Cmpd.	Rate g ai/ha	AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
1-2	63	0	50	90	0	0	_	20	0	0	0	0	0	0
	250	0	10	100	0	0	_	0	0	0	30	0	0	10
1-4	63	100	100	90	100	100	100	100	100	85	100	90	70	60
	250	100	100	100	100	100	100	100	100	100	100	100	100	80
1-5	63	20	30	0	0	60	0	0	0	0	0	0	0	0
	250	95	100	0	10	100	20	90	0	20	70	10	0	10
1-9	63	100	100	100	100	100	100	100	100	100	100	100	90	95
1-10	63	70	100	20	0	30	0 -	0	0	0	0	0	0	0
	250	100	100	50	60	100	60	100	0	0	30	50	0	0
1-11	63	100	100	20	20	100	50	80	0	90	90	10	0	20
	250	100	100	60	90	100	100	100	95	98	100	70	60	70
1-13	63	100	100	80	100	100	100	100	90	95	100	95	60	95
	250	100	100	100	100	100	100	100	100	100	100	100	95	100
1-15	63	0	20	0	0	40	_	70	0	0	0	0	0	10
	250	20	90	0	0	50	_	80	0	20	90	0	0	30
1-16	63	0	0	0	0	0	0	0	0	0	0	0	0	0
	250	0	0	0	0	70	0	0	0	0	0	0	0	0
1-18	63	100	100	70	100	100	_	95	0	70	70	100	40	30
	250	100	100	100	100	100	_	99	80	100	90	95	90	70
1-19	63	95	100	90	60	100	_	100	90	90	95	60	50	80
	250	100	100	100	100	100	_	100	100	100	100	70	80	95
1-20	63	100	100	100	40	100		80	50	30	50	0	15	60
	250	100	100	100	100	100		100	100	70	90	70	65	70
1-21	63	98	70	0	0	100	0	95	0	0	70	0	0	0
	250	100	100	0	40	100	100	100	95	90	100	0	0	10
1-22	63	95	100	0	0	95		90	0	0	0	0	0	0
	250	100	100	0	20	100	_	100	60	60	60	20	10	50
1-30	63	0	50	0	0	85		10	0	0	0	0	0	10
	250	60	100	70	70	100	_	100	0	10	90	20	10	30
1-31	63	80	100	70	0	95	_	50	0	0	0	0	0	0
	250	100	100	95	80	100	_	100	40	90	90	90	50	10

TABLE XX-continued

							Herbicidal A							
Cmpd.	Rate g ai/ha	AMARE	ABUTH	CASOB		CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
1-32	63	0	90	40	0	90	0	0	0	0	0	0	0	20
1-37	250 63	100 100	100 100	40 80	50 100	100 100	50	100 100	95 90	30 90	100 100	20 90	0 15	40 60
1-37	250	100	100	100	100	100	=	100	100	100	100	100	90	90
1-38	63	100	100	100	100	100	_	100	95	90	100	80	70	90
1-51	250 63	100 90	100 90	100 0	100 50	100 90	30	100 30	100 0	100 10	100 20	90 10	90 0	100 10
	250	100	100	30	80	100	80	90	50	60	90	60	70	70
1-53	63 250	100 100	100 100	0 50	50 95	100 100	50 90	50 95	0 80	50 90	40 90	50 90	95 100	50 90
1-54	63	100	100	30	100	100	100	90	45	80	80	100	95	60
1 66	250 63	100 70	100 90	80 0	100	100 95	100	100 0	100	100	100 50	100 0	99	95
1-55	250	70 70	90	0	0 0	95 95	_	0	10 10	20 20	50 50	0	10 10	0
1-59	63	100	100	30	100	100	<u> </u>	30	0	30	30	70	30	30
1-60	250 63	100 100	100 100	80 70	100 90	100 100	_	90 95	70 50	85 80	90 95	90 90	90 50	70 40
1 00	250	100	100	100	100	100	_	100	95	100	100	100	95	95
1-61	63 250	95 100	100 100	30 80	60	100	60 100	95 100	75 99	70 99	40 99	30 90	60 95	50 95
1-63	63	100	100	20	90 20	100 100	100	95	40	50	80	90	95 10	80
	250	100	100	90	95	100	_	100	100	99	100	30	90	95
2-1	63 250	60 100	100 100	80 100	95 100	90 100	50 100	80 95	10 70	30 70	20 1 <b>00</b>	50 <b>10</b> 0	30 80	80 90
2-2	63	100	100	60	90	100	40	90	30	60	90	50	10	10
2-3	250 63	100 100	100 100	90 60	100 60	100 100	100	100 90	90 0	90 10	95 30	90 40	70 0	50 20
4-3	250	100	100	100	100	100	_	100	40	30	60	80	30	50
2-4	63	80	30	0	20	50	_	0	0	0	0	0	0	0
2-5	250 63	95 90	100 100	0 40	70 90	100 100	_	80 100	0 10	0 60	50 50	20	20 10	10 70
	250	100	100	100	100	100		100	90	90	95	_		80
2-6	63 250	0 50	0 0	0 0	0	0 0	_	0 80	0 <b>0</b>	0 20	0 10	0	0 0	0 0
2-7	63	90	100	60	0 70	100	_	95	40	90	95	0 70	10	60
	250	100	100	100	100	100		100	100	95	100	95	70	90
2-8	63 250	40 100	0 100	0	0 100	60 100	_	90 90	0 0	40 40	80 80	60 60	15 15	50 50
2-10	63	50	100	50	60	100	100	40	0	0	90	45	0	0
2-11	250 63	100 30	100 90	90 0	100 70	100 100	100	100 0	95 0	80 0	95 0	100 0	40 0	30 10
2-11	250	100	100	60	100	100	_	100	40	50	60	20	10	50
2-12	63 250	30	50	30	40	0	0	0	0	0	0	0	0	0
2-14	63	100 50	70 90	40 0	70 0	30 50	0	0 60	0	0	0	0	0	0 0
	250	100	100	60	100	100	_	100	0	30	20	60	0	30
2-15	63 250	0 80	20 90	0	20 30	60 40	0 0	0	0	0 0	0 0	0	0	10 0
2-16	63	50	80	ŏ	0	95	_	Ö	ŏ	ŏ	ŏ	ő	ŏ	ŏ
2-18	250	100 40	100 0	100	90 0	100 10	_	100	40 0	80 0	100	0	20	15 0
2-10	63 250	100	100	0 40	40	90	_	0 80	0	0	0 0	30	0	20
2-19	63	80	90	0	10	95		20	0	0	40	30	5	10
2-23	250 63	100 100	100 100	10 70	100 100	100 100	100	100 100	50 80	60 60	100 70	90 25	40 60	60 80
	250	100	100	100	100	100	100	100	100	90	100	90	100	95
2-24	63 250	100 100	100 100	90 100	100 100	100 100	100 100	100 100	95 100	95 100	100 100	90 100	95 98	90 99
2-26	63	0	0	0	0	0	0	0	0	0	0	0	0	0
2.27	250	80	0	0	0	90	0	0	0	0	0	0	0	0
2-27	63 250	100 100	100 100	60 100	80 100	100 100	100 100	100 100	50 100	30 80	95 100	30 70	20 90	70 90
2-28	63	100	100	5	80	100	_	50	0	10	50	10	0	60
2-29	250 63	100 100	100 100	100 60	100 30	100 100	<u>_</u>	100 100	20 0	50 0	90 60	70 0	10 0	70 40
	250	100	100	100	100	100	60	100	50	80	90	45	15	65
2-30	63	0	0	0	0	0	0	0	0	0	0	0	0	0
2-31	250 63	0 100	20 100	0 100	0 100	70 100	0	20 100	0 40	0 60	0 90	0 70	0 20	0 40
	250	100	100	100	100	100	_	100	100	85	100	100	40	90
2-32	63 250	100 100	100 100	95 100	100 100	100 100	_	100 100	99 100	90 100	100 100	90 100	40 95	80 99
2-33	63	100	100	100	100	100		100	30	60	100	10	70	70
	250	100	100	100	100	100	_	100	95	90	100	90	90	80

TABLE XX-continued

							Herbicidal		·					
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
2-34	63 250	100 100	100 100	100 100	100 100	100 100	100 100	95 100	70 99	20 85	70 100	15 90	25 90	40 90
2-36	63 250	100 100	100 100	10 70	0 60	90 100	0 30	25 90	0 10	0 20	0 30	0	0	0 40
2-37	63 250	0 30	0 <b>30</b>	0	0	0 50	0	0	0	0	0	0	0	0
2-39	63 250	100 100	100 100	100 100	95 100	100 100	100 100	100 100	90 100	60 100	99 100	70 90	70 95	90 99
2-40	63 250	100 100	100 100	80 100	90 100	100 100	100 100	100 100	85 100	60 100	90 100	95 100	50 95	95 100
2-41	63 250	100 100	100 100	80 100	90 100	100 100	100 100	95 100	50 100	· 30 100	70 100	80 100	35 95	50 90
2-42	63 250	100 100	100 100	80 100	100 100	100 100	100 100	100 100	100 100	95 100	100 100	100 100	85 98	90 99
2-44	63 250	100 100	100 100	60 100	90 100	100 100	100 100	90 100	30 99	50 95	60 100	80 100	10 70	. 20 80
2-45 2-46	63 250 63	70 100 100	0 70 50	0 0 30	0 0 0	60 90 100	_	0 30 90	00 0 0	0	0 0	0	0	0
2-40	250 63	100 100 100	100 100	100 90	60 100	100 100 100	50	100 100	50 0	30 90 60	30 70 100	30 100 90	0 90 40	20 70 70
2-48	250 63	100 100	100 100	100 100	100 100 100	100 100	100 100	100 100	99 85	90 95	100 100 95	100 100	99 90	95 90
2-49	250 63	100 100	100 100	100	100	100 100	100 100	100 80	100 0	95 0	100 20	100	99	99 20
2-50	250 63	100 100	100 100	100 60	90 70	100 100	100 60	95 90	10 10	0 30	100 70	40 90	15 5	60 25
2-52	250 63	100 100	100 10	100 0	100 0	100 50	100 0	100 40	100 0	80 0	100 0	100 0	70 0	90 0
2-53	63 250	100 100	100 100	95 100	100 100	100 100	80 100	100 100	40 99	40 100	90 100	95 100	25 90	80 90
2-54	63 250	100 100	100 100	100 100	85 100	100 100	100 100	100 100	90 100	90 <b>10</b> 0	100 1 <b>0</b> 0	90 100	90 98	70 90
2-56	63 250	100 100	100 100	100 100	100 100	100 100	100 100	100 100	90 100	99 100	100 100	40 90	80 95	30 95
2-58	63 250 63	100 100 100	100 100 100	30 99 30	20 100 20	100 100 100	50 100 50	100 100 100	50 100 40	70 100 40	90 100 70	15 100 10	40 95 10	80 95 25
2-61	250 63	100 100 100	100 100 100	100 40	100 90	100 100 100	90 80	100 100 10	9 85	90 80	100 90	100 30	70 10	75 75
2-63	250 63	100 100	100 100	100 100	100 100	100 100	100 100	100 100	100 95	100 90	100 100	80 95	95 60	95 95
2-64	250 63	100 90	100 100	100 0	100 0	100 90	100	100 100	100	100 10	100 40	100	100 0	100 10
2-66	250 63	100 100	100 100	100 35	40 40	100 100	60 0	100 95	60 <b>0</b>	50 20	70 <b>60</b>	20 10	35 0	60 10
2-67	250 63	100 100	100 100	90 100	80 100	100 100	60 100	100 100	60 50	95 80	99 100	20 100	15 90	60 90
2-69	250 63	100 90	100 100	100	100 0	100 100	100 50	100 70	95 0	100 0	100 20	100 0	99 0	90 20
2-70	250 63	100 70	100 100	80 0	40 0	100 80	60 0	95 0	10 0	30 0	90 0	0	10 0	30 0
2-72	250 63 250	100 100 100	90 100 100	40 30 90	60 20 20	100 100 100	30 0 50	60 60 100	0 0 50	0 0 50	20 30 100	10 0 50	0 0 5	10 10 40
2-73	63 250	100 100 100	100 100 100	100 100	90 100	100 100 100	<del>-</del>	100 100 100	90 100	75 100	100 100 100	40 100	50 95	80 100
2-74	63 250	100 100	100 100	40 70	0 50	100 100	=	70 100	0 100	0 100	20 100	0 40	0 15	30 60
2-75	63 250	100 100	100 100	100 100	20 60	100 100	_	100 100	20 100	20 60	70 100	0 20	0	30 80
2-77	63 250	70 100	30 100	0 30	0 30	90 100	Ξ	0 60	0	0	0 30	0 20	0	0 20
2-78	63 250	100 100	100 100	100 100	20 100	100 100	=	90 100	10 100	10 80	30 100	10 100	0 10	40 95
2-81	63 250	100 100	100 100	90 100	60 100	100 100	_	100 100	70 100	90 90	100 100	70 80	0 90	70 90
2-82	63 250	100 100	100 100	80 100	0	100 100	=	80 100	0	0 20	30 ·· 80		0	10 10
2-83	63 250	60 100	10 100	0	0	30 90	=	0 40	0	0 20	0 30	0	0	0
2-84	63 250	80 100	0 80	0	0	40 100	=	0 50	0	0 0	00 0	0	0	0

TABLE XX-continued

					<u>P</u> )	re-emerge	Herbicidal A	Activity					•	
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
2-86	63	100	100	100	90	100	_	100	95	99	100	20	95	90
2-87	250 63	100 100	100 100	95 100	100 90	100 100	_	100 90	100 60	100 50	100 80	100 15	99 5	99 40
2-07	250	100	100	100	100	100	_	100	90	85	100	100	75	90
2-89	63	100	100	95	95	100	_	100	50	60	99	20	10	40
2-92	250 63	100 50	100 100	100 40	100 30	100 100	_	100 30	90 0	90 0	100 0	40 0	30 0	90 0
	250	100	100	100	100	100	_	90	20	40	20	90	20	10
2-98	63	100	100	100	100	100	100	80	30	30	40	50	70	60
2-100	250 63	100 100	100 100	100 30	100 100	100 100	100 90	100 100	100 70	95 85	95 90	90 100	90 45	90 75
	250	100	100	100	100	100	100	100	90	100	100	90	95	90
2-102	63 250	30 0	0 0	0 0	0 0	0	_	0	0	0	0	0	0	0
2-105	63	100	100	30	20	0 100	_	0 100	0 20	0 40	0 90	0	0 10	0 50
	250	100	100	60	95	100	_	100	100	95	100	0	10	<b>7</b> 0
2-115	63	100	100	20	0 90	100	_	90	80	20	90	0	0	40
2-117	125 63	100 90	100 100	80 80	100	100 100	_	99 30	95 10	30 10	100 30	0 10	0 10	40 30
	250	100	100	100	100	100	_	100	70	60	90	95	35	90
2-118	63 250	40 100	90	90 40	10 80	90		0	0	0	0	0	0	0
2-119	63	100	100 100	40 60	70	100 100	_	50 100	0 70	0 75	20 70	25 30	10 20	30 70
	250	100	100	90	100	100	_	100	95	100	100	40	90	100
2-120	63 250	90 100	100 100	40 70	50 80	100 100	_	70 100	60	50	80	0	0	80
2-121	63	100	100	0	0	80	_	100	90 0	95 0	100 0	60 0	90 0	95 0
	250	100	100	50	90	100	_	60	0	0	30	10	10	0
2-122	63 250	100 100	100 100	30 50	30 90	100 100	30 90	80 100	20 80	30 60	100 100	0 50	0 10	20 45
2-123	63	100	100	60	100	100	95	80	40	30	60	0	0	30
	250	100	100	90	100	100	100	100	80	70	100	90	15	80
2-124	63 250	40 100	0 80	0 20	0 20	20 80	_	0 10	0 0	0 0	0	0	0 0	0 10
2-125	63	100	100	30	0	100	_	50	10	20	30	10 0	0	0
	250	100	100	70	100	100		90	50	50	90	50	10	45
2-126	63 250	100 100	100 100	10 70	10 90	100 100	_	40 100	10 40	_	30 90	0 30	0 5	10 60
2-127	63	90	100	ő	0	100	_	20	0		30	0	ő	0
	250	100	100	40	50	100	_	80	40	_	100	0	0	10
2-128	63 250	100 100	30 90	0 0	0 40	100 100	_	30 100	0 30	_	20 70	0 10	0 5	0 0
2-129	63	90	100	60	50	100	_	90	30	_	60	40	50	40
2 120	250	100	100	90	70	100		100	80	_	100	90	90	80
2-130	63 250	40 100	100 100	0 40	0 30	60 100	_	50 100	0 30	_	20 90	0 25	0 5	0 15
2-131	63	90	85	60	30	90	_	40	10	_	40	10	0	15
2-132	250 63	95 100	100 100	95 50	85 20	100 100	_	90	60 30	-	80	20	0	50
2-132	250	100	100	100	40	100	_	90 100	40	30 50	60 100	0 10	5 15	15 45
2-133	63	100	100	55	80	100	=	95	65	75	95	5	20	70
2-134	250 63	100 100	100 60	100 10	100 20	100 100	_	100 35	95 0	100	100	70	80	93
£-134	250	100	80 80	30	30	100	_	33 80	30	0 30	20 90	0	0	10 20
2-135	63	95	90	10	20	100	_	80	10		60	0	0	0
2-136	250 63	100 40	100 80	60 0	100 0	100 90		100 10	30 0	_	95 0	5 0	0	35 0
2-130	250	90	100	40	100	100	=	40	0	=	10	10	ő	10
2-137	63	100	80	50	30	100	_	30	10	0	50	0	0	20
2-140	250 63	100 100	100 100	50 40	30 10	100 100	_	60 50	50 40	70 10	100 40	70 0	10 0	50 30
2-370	250	100	100	100	100	100	_	80	80	60	95	60	15	85
2-141	63	100	100	30	40	100	_	30	30		35	10	0	30
2-142	250 63	100 0	100 0	90 0	100 0	100 40	_	70 0	95 0	<u> </u>	70 0	50 0	45 0	<b>7</b> 0 0
	250	40	0	0	ő	70	_	0	ő	ő	ő	0	ő	ő
2-143	63	100	100	0	0	100	_	30	30	30	30	0	0	20
2-144	250 63	100 99	100 70	90 0	35 0	100 100	_	70 40	85 20	80 10	100 20	5 0	5 0	65 20
2-144	250	100	100	20	30	100	_	90	75	70	70	Ö	0	45
2-145	63	100	90	40	10	100	_	60	35	30	90	10	0	10
2-146	250 63	100 30	100 70	90 20	100 40	100 90	_	100 0	60 0	50 0	100 0	10 0	0 0	60 0
2 340	250	80	10	20	20	100	_	ő	ő	0	0	ŏ	ő	ŏ
				-				-	-	-	-	-		-

2.447						P	re-emerge	Herbicidal /	Activity						
2-148 ( 33 100 100 100 100 100 100 100 100 100			AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
2.148	2-147	63		100	40	80	100	80	100	90	90	90	90	100	99
2-149	0.140														100
2-149	2-148														0 60
2-1512 63 0 0 100 70 40 80 - 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0 0	2-149	63	100	99	0	30	100								0
250	2 151							100							50
2-152	2-131							_							0 30
2-153	2-152	63		60	0	0	95	_	30	10	0	50	0	0	0
250 100 100 95 100 100 100 95 90 90 90 90 90 90 90 90 90 90 90 90 90	2-152							_							30
250 100 100 100 95 100 100 — 100 95 90 90 90 90 90 90 90 90 90 90 90 90 90	2-133							=							0 20
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	2-154							_							35
$\begin{array}{c} 2.157 \\ 2.157 \\ 6.3 \\ 100 \\ 1$	2-155							_							70 30
$\begin{array}{c} 250 \\ 2158 \\ 30 \\ 30 \\ 30 \\ 30 \\ 30 \\ 30 \\ 30 \\ 3$	2 200														60
$\begin{array}{c} 2.158 \\ 2.50 \\ 2.50 \\ 100 \\ 0.$	2-157							_			_				30
$\begin{array}{c} 250 \\ 2161 \\ 63 \\ 63 \\ 100 \\ $	2-158														70 0
$\begin{array}{c} 250 \\ 2163 \\ 63 \\ 63 \\ 100 \\ 200 \\ $	2 150		100												10
$\begin{array}{c} 2.163 \\ 2.250 \\ 2.250 \\ 100 \\ 2.250 \\ 2.250 \\ 100 \\ 2.250 \\ 100 \\ 2.250 \\ 100 \\ 2.250 \\ 100 \\ 2.250 \\ 2.250 \\ 100 \\ 2.250 \\ 100 \\ 2.250 \\ 100 \\ 2.250 \\ 100 \\ 2.250 \\ 2.250 \\ 100 \\ 2.250 \\ 100 \\ 2.250 \\ 100 \\ 2.250 \\ 100 \\ 2.250$	2-161							_							0.
$\begin{array}{c ccccccccccccccccccccccccccccccccccc$	2-163							65							40 0
$\begin{array}{c ccccccccccccccccccccccccccccccccccc$			100												30
$\begin{array}{c} 2.169 \\ 0.00 \\ 0$	2-168							_						0	20
$\begin{array}{c ccccccccccccccccccccccccccccccccccc$	2-169							_							60 10
$\begin{array}{c} 250 \\ -2.171 \\ -63 \\ -50 \\ -50 \\ -50 \\ -50 \\ -50 \\ -50 \\ -50 \\ -50 \\ -50 \\ -50 \\ -50 \\ -50 \\ -50 \\ -50 \\ -2.172 \\ -63 \\ -50 \\$		250	80	90	30	20									40
$\begin{array}{c} 2\cdot171 & 63 & 50 & 90 & 10 & 10 & 70 & & 30 & 0 & 10 & 20 & 10 & 0 \\ 2\cdot50 & 100 & 100 & 30 & 66 & 100 & & 90 & 10 & 30 & 80 & 10 & 0 \\ 2\cdot172 & 63 & 70 & 90 & 20 & 60 & 30 & & 20 & 0 & 0 & 20 & 30 & 0 \\ 2\cdot50 & 100 & 100 & 80 & 95 & 100 & & 65 & 66 & 40 & 100 & 100 & 10 \\ 2\cdot173 & 63 & 30 & 95 & 30 & 0 & 90 & & 40 & 0 & 0 & 30 & 0 & 0 \\ 2\cdot50 & 100 & 100 & 70 & 40 & 100 & & 80 & 20 & 10 & 80 & 95 & 20 \\ 2\cdot174 & 63 & 90 & 100 & 40 & 30 & 80 & 10 & 20 & 0 & 10 & 20 & 0 & 0 \\ 2\cdot50 & 100 & 100 & 80 & 100 & 100 & 90 & 90 & 66 & 90 & 70 & 90 & 60 \\ 2\cdot175 & 63 & 100 & 100 & 30 & 70 & 100 & & 60 & 40 & 30 & 60 & 50 & 0 \\ 2\cdot50 & 100 & 100 & 30 & 50 & 100 & & 60 & 40 & 30 & 60 & 50 & 0 \\ 2\cdot50 & 100 & 100 & 30 & 50 & 100 & & 60 & 40 & 30 & 60 & 50 & 0 \\ 2\cdot176 & 63 & 50 & 0 & 0 & 0 & 40 & 100 & & 20 & 0 & 0 & 20 & 0 & 0 \\ 2\cdot177 & 63 & 100 & 70 & 0 & 40 & 100 & & 20 & 0 & 0 & 20 & 0 & 0 \\ 2\cdot178 & 63 & 100 & 100 & 40 & 90 & 100 & & 40 & 0 & 0 & 30 & 10 & 0 \\ 2\cdot178 & 63 & 0 & 0 & 0 & 0 & 0 & 20 & & 0 & 0 & 0 & 30 & 10 & 0 \\ 2\cdot178 & 63 & 100 & 100 & 40 & 90 & 100 & & 40 & 0 & 0 & 30 & 10 & 0 \\ 2\cdot178 & 63 & 0 & 0 & 0 & 0 & 0 & 20 & & 0 & 0 & 0 & 0 & 0 & 0 \\ 2\cdot178 & 63 & 100 & 100 & 40 & 90 & 100 & & 40 & 0 & 0 & 30 & 10 & 0 \\ 2\cdot178 & 63 & 100 & 100 & 30 & 60 & 100 & & 90 & 85 & 95 & 5 & 10 \\ 2\cdot180 & 63 & 100 & 100 & 100 & 70 & 100 & & 90 & 85 & 95 & 5 & 5 & 10 \\ 2\cdot181 & 63 & 100 & 100 & 100 & 50 & 100 & & 90 & 85 & 95 & 5 & 5 & 10 \\ 2\cdot181 & 63 & 100 & 100 & 100 & 90 & 80 & 100 & & 90 & 85 & 95 & 5 & 5 \\ 2\cdot181 & 63 & 100 & 100 & 100 & 100 & & 90 & 85 & 95 & 5 & 5 & 10 \\ 2\cdot183 & 63 & 100 & 100 & 90 & 80 & 100 & & 90 & 60 & & 90 & 60 & 0 \\ 2\cdot184 & 63 & 100 & 100 & 100 & 100 & & 90 & 60 & & 90 & 60 & 0 \\ 2\cdot184 & 63 & 100 & 100 & 100 & 100 & & 90 & 60 & & 90 & 60 & 20 & 0 \\ 2\cdot185 & 63 & 100 & 100 & 100 & 100 & & 90 & 60 & & 90 & 60 & 20 & 0 \\ 2\cdot186 & 63 & 100 & 100 & 100 & 100 & & 90 & 60 & & 90 & 60 & 20 & 0$	2-170							-							10
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	2-171							_							0
$\begin{array}{cccccccccccccccccccccccccccccccccccc$		250	100	100	30	60	100	_	90	10	30	80		0	10
$\begin{array}{cccccccccccccccccccccccccccccccccccc$	2-172														10
$\begin{array}{c ccccccccccccccccccccccccccccccccccc$	2-173							_							50 0
$\begin{array}{c ccccccccccccccccccccccccccccccccccc$			100	100	70	40	100	_	80	20	10	80	95	20	50
$ \begin{array}{cccccccccccccccccccccccccccccccccccc$	2-174														10
$\begin{array}{c ccccccccccccccccccccccccccccccccccc$	2-175							<del>90</del>							50 20
$ \begin{array}{c ccccccccccccccccccccccccccccccccccc$								_							40
$ \begin{array}{c ccccccccccccccccccccccccccccccccccc$	2-176							_							0
2-178         63         0 <td>2-177</td> <td></td> <td></td> <td></td> <td></td> <td></td> <td></td> <td>_</td> <td></td> <td></td> <td></td> <td></td> <td></td> <td></td> <td>10</td>	2-177							_							10
2-180         63         100         100         40         60         100         —         30         10         —         20         60         0           2-181         63         100         100         10         30         100         —         70         40         —         60         80         0           2-182         63         100         100         90         80         100         —         95         80         —         90         70         5           2-182         63         90         100         10         0         100         —         95         80         —         90         70         5           2-183         63         0         0         0         0         —         90         60         —         90         60         10           2-183         63         0         0         0         0         —         0         0         —         90         60         10         0         0         —         0         0         —         0         0         0         0         0         0         0         0         0         0	0.400				-			_							20
2-180         63         100         100         40         60         100         —         30         10         —         20         60         0           2-181         63         100         100         10         30         100         —         70         40         —         60         80         0           2-182         63         100         100         90         80         100         —         95         80         —         90         70         5           2-182         63         90         100         10         0         100         —         95         80         —         90         70         5           2-183         63         0         0         0         0         —         90         60         —         90         60         10           2-183         63         0         0         0         0         —         0         0         —         90         60         10         0         0         —         0         0         —         0         0         0         0         0         0         0         0         0         0	2-178							_							0
2-180         63         100         100         40         60         100         —         30         10         —         20         60         0           2-181         63         100         100         10         30         100         —         70         40         —         60         80         0           2-182         63         100         100         90         80         100         —         95         80         —         90         70         5           2-182         63         90         100         10         0         100         —         95         80         —         90         70         5           2-183         63         0         0         0         0         —         90         60         —         90         60         10           2-183         63         0         0         0         0         —         0         0         —         90         60         10         0         0         —         0         0         —         0         0         0         0         0         0         0         0         0         0	2-179							_							20
250   100   100   50   100   100     90   80     90   100   50	2 100										95				60
2-181         63         100         100         10         30         100         —         70         40         —         60         80         0           250         100         100         90         80         100         —         95         80         —         90         70         5           2-182         63         90         100         100         60         100         100         —         30         10         —         30         30         0           2-183         63         0         0         0         0         0         —         0         0         —         0         0         —         0         0         —         0         0         —         0         0         0         0         0         0         —         0         0         —         0         0         —         0	2-180							_			=				40 70
2-182         63         90         100         10         0         100         —         30         10         —         30         30         0           250         100         100         60         100         100         —         90         60         —         90         60         —         90         60         —         90         60         10           2-183         63         0         0         0         0         0         —         0         0         —         0         0         —         0	2-181	63	100	100	10	30	100	_	70		_			0	15
250 100 100 60 100 100 — 90 60 — 90 60 — 90 60 10  2-183 63 0 0 0 0 0 0 0 — 0 0 0 — 0 0 0  2-184 63 100 100 50 50 50 100 — 50 30 — 60 35 0  250 100 100 75 100 100 — 100 80 — 100 70 30  2-185 63 100 90 0 60 100 — 30 10 20 20 20  250 100 100 60 60 100 — 50 10 20 40 50 5  2-187 63 100 100 60 60 75 100 — 50 20 — 90 35 0  2-188 63 100 100 100 60 75 100 — 50 20 — 90 35 0  2-188 63 100 90 0 100 100 100 — 100 60 — 100 90 90  2-188 63 100 90 0 100 100 100 — 50 20 — 90 35 0  2-189 40.5 95 70 0 0 10 100 — 50 60 30 60 20 0  2-190 63 95 100 30 30 0 100 — 0 0 0 0 0 0 0  2-191 63 100 80 10 100 30 0 100 — 30 0 0 0 0 0 0 0  2-192 63 — — — — — — — — — — — — — — — — — —	2 102							_			_				80
2-183         63         0         0         0         0         0         —         0         0         —         0         0         —         0         0         —         0 <td>2-102</td> <td></td> <td></td> <td></td> <td></td> <td></td> <td></td> <td>_</td> <td></td> <td></td> <td>_</td> <td></td> <td></td> <td></td> <td>15 70</td>	2-102							_			_				15 70
2-184         63         100         100         50         50         100         —         50         30         —         60         35         0           250         100         100         75         100         100         —         100         80         —         100         70         30           2-185         63         100         100         60         60         100         —         30         10         20	2-183	63	0	0	0	0	0	_	0		_			0	Ö
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TABLE XX-continued

Campa   Rate		-						Herbicidal A					a t		
2-196			AMARE	АВИТН	CASOB	_				ECHCG	SORHA	DIGSA	SOY	CORN	RICE
2-197 63 100 100 100 60 70 100 100 100 100 85 20 1100 0 0 50 2.198 23 100 100 100 100 100 100 100 100 100 10	2-196	63	100	100	0	20	100	30	90	40	10	90	10	0	20
250   100   100   50   50   100   100   50   5						70	100	90		85			0	0	50
2-198	2-197														
2-199	2-198	63	100	100	50			100		50	50	100	50	50	30
250	2 100							100							
2-200	2-199							=							
2-201	2-200	63	100	100	80	100	100		100	99	100	100	100	75	80
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2-202	2-201														
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4-28         63         40         20         0         0         70         —         20         0	4-27	63	70	80				_							10
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4-30         63         100         100         90         60         100         —         100         60         30         90         20         30         90           250         100         100         95         100         100         —         100         90         80         100         45         70         90           4-31         63         100         100         10         40         100         —         90         10         10         50         0         0         0         0         0         0         0         0         10 <t< td=""><td>4-29</td><td>63</td><td>100</td><td>100</td><td>40</td><td>70</td><td>100</td><td></td><td>100</td><td>70</td><td>70</td><td>100</td><td>25</td><td>80</td><td>50</td></t<>	4-29	63	100	100	40	70	100		100	70	70	100	25	80	50
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4-34       63       100       100       40       30       100       —       80       50       40       90       25       10       50         250       100       100       95       80       100       100       —       100       90       80       95       80       90       95         4-36       63       90       100       80       100       100       —       60       30       —       30       70       90       65         4-37       63       100       100       30       30       100       —       90       10       —       60       0       0       0       100       95         4-38       63       100       90       40       50       100       —       100       60       —       90       15       0       30         250       100       100       80       30       60       100       —       100       60       —       95       10       10       35         4-39       63       100       100       30       80       100       —       100       60       —       100       10 <td>4-33</td> <td>63</td> <td>100</td> <td>50</td> <td>0</td> <td></td> <td>100</td> <td>_</td> <td></td> <td></td> <td>30</td> <td>45</td> <td></td> <td>10</td> <td>20</td>	4-33	63	100	50	0		100	_			30	45		10	20
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4-37     63     100     100     30     30     100     —     90     10     —     60     0     0     10       250     100     90     40     50     100     —     100     60     —     90     15     0     30       4-38     63     100     80     30     60     100     —     100     30     —     95     10     10     10     30       250     100     100     30     80     100     —     100     60     —     100     30     70     80       250     100     100     90     100     100     —     100     80     —     100     30     90     80       4-40     63     100     100     90     90     100     —     100     80     —     100     10     0     30       250     100     100     90     90     100     —     100     60     —     100     10     0     30       4-40     63     100     100     90     90     100     —     100     85     —     100     80     75     70       4-41	4-36			100				_							60
4-38     63     100     80     30     60     100     —     100     30     —     95     10     10     35       250     100     100     60     50     100     —     100     60     —     100     10     20     60       4-39     63     100     100     30     80     100     —     100     60     —     100     30     70     80       250     100     100     90     100     100     —     100     80     —     100     30     90     80       4-40     63     100     100     60     30     100     —     100     60     —     100     10     0     30       250     100     100     90     90     100     —     100     85     —     100     80     75     70       4-41     63     100     100     100     100     —     100     80     —     100     40     15     40	4-37							_			_				95 10
4-38     63     100     80     30     60     100     —     100     30     —     95     10     10     35       250     100     100     60     50     100     —     100     60     —     100     10     20     60       4-39     63     100     100     30     80     100     —     100     60     —     100     30     70     80       250     100     100     90     100     100     —     100     80     —     100     30     90     80       4-40     63     100     100     60     30     100     —     100     60     —     100     10     0     30       250     100     100     90     90     100     —     100     85     —     100     80     75     70       4-41     63     100     100     100     100     —     100     80     —     100     40     15     40		250	100	90	40	50	100	_	100	60		90	15	0	30
4-39     63     100     100     30     80     100     —     100     60     —     100     30     70     80       250     100     100     90     100     100     —     100     80     —     100     30     90     80       4-40     63     100     100     60     30     100     —     100     60     —     100     10     10     30       250     100     100     90     90     100     —     100     85     —     100     80     75     70       4-41     63     100     100     100     100     —     100     80     —     100     40     15     40	4-38							_							35 60
250 100 100 90 100 100 — 100 80 — 100 30 90 80 4-40 63 100 100 60 30 100 — 100 60 — 100 10 0 30 250 100 100 90 90 100 — 100 85 — 100 80 75 76 4-41 63 100 100 100 100 100 — 100 80 — 100 40 15 40	4-39							_			_				80
250 100 100 90 90 100 — 100 85 — 100 80 75 70 4-41 63 100 100 100 100 100 — 100 80 — 100 40 15 40		250	100	100	90	100	100		100	80	_	100	30	90	80
4-41 63 100 100 100 100 100 — 100 80 — 100 40 15 40	4-40			100							_				30 70
	4-41														70 40
	_							_			_				80

TABLE XX-continued

					P	re-emerge	Herbicidal A	Activity					-	<del></del>
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
4-42	63	30	60	0	0	40	_	30	0		10	10	0	0
4-43	250 63	90 10	100 20	100 0	40 0	100 30	_	100 0	40 0	_	60 0	0	0	0 <b>0</b>
	250	60	50	40	10	. 80	_	70	10	_	60	10	ŏ	10
4-44	63 250	100 100	100 100	100 100	100 100	100 100	_	100 100	80 95	_	100	60	70	70
4-45	63	30	50	0	100	50	_	0	93	_	100 0	50 0	95 0	65 0
	250	100	90	40	40	95	_	50	40	_	70	15	20	10
4-46	63 250	80 100	50 100	30 40	10 85	100 100	_	40 100	20 60	20 60	70 95	0 15	0 5	10 50
4-47	63	70	100	0	30	100	_	80	60	_	70	0	30	20
4-48	250 63	100 100	100 100	70 40	100 80	100 100	_	100 100	98 50	60	100 100	15 40	90 30	70 20
4-40	250	100	100	100	100	100	_	100	70	90	100	35	50	70
4-49	63 250	100	95	30	40	100	80	70	10	50	70	10	0	30
4-50	63	100 20	100 20	60 0	100 0	100 40	60 0	100 0	75 0	90 0	100 0	10 0	15 0	25 0
	250	100	100	0	30	100	ō	10	, О	0	30	20	0	10
4-53	63 250	100 100	100 100	20 60	30 80	100 100	_	80 100	10 85	30	40 100	20 90	0 25	10
4-54	63	100	100	30	30	90	_	90	50	85 30	60	0	0	50 10
4.55	250	100	90	80	70	100	_	100	85	80	100	10	5	60
4-55	63 250	30 90	80 100	0 30	20 70	90 100	_	40 90	0 30	0 60	0 70	0 70	0 30	0 60
4-56	63	100	100	10	40	100	_	90	50	50	50	ő	35	50
4.57	250	100	100	100	100	100	_	95	80	90	95	50	80	90
4-57	63 250	95 100	100 100	10 100	50	100 100	_	80 100	20 75	10 70	60 95	20 50	0 45	20 70
4-58	63	100	100	70	30	100	_	80	30	20	30	10	0	30
4-59	250 63	100 100	100 100	100 20	100 95	100 100	_	100 30	70 10	60 40	100 40	50 50	25 5	70
4-55	250	100	100	90	100	100	=	90	90	95	100	90	80	0 <b>7</b> 0
4-60	63	100	100	90	50	100	_	90	40	30	100	10	0	60
4-61	250 63	100 100	100 60	100 40	100 30	100 100	_	100 80	70 30	50 20	100 50	60 0	30 0	70 0
	250	100	70	30	60	100	_	75	50	50	90	ŏ	ő	50
4-62	63 250	100 100	100 100	50 100	60 30	100 100	_	80 100	10 60	10	50 90	10	0	10
4-63	63	100	100	40	40	100	_	70	30	50 30	<b>7</b> 0	10 10	10 0	70 40
	250	100	100	100	100	100	_	100	70	50	95	75	35	90
4-64	63 250	100 100	100 100	20 90	40 70	100 100	_	100 100	30 70	40 80	100 100	30 80	0 45	30 80
4-65	63	100	100	80	90	100		100	80	_	100	10	55	65
1 66	250	100	100	90	100	100	_	100	90	-	100	70	75	90
4-66	63 250	100 100	100 100	30 70	60 90	100 100	_	70 100	30 90	_	80 100	30 95	0 50	20 65
4-67	63	100	100	40	80	100		90	40		100	10	5	60
4-68	250 63	100 100	100 100	60 40	90 40	100 100	_	100 100	80 60		100 100	10	15 45	40 50
4-00	250	100	100	100	100	100	_	100	98	_	100	35 80	80	50 90
4-69	63	30	80	0	0	70		95	30	_	100	0	0	10
4-70	250 63	80 100	90 100	50 50	40 100	90 100	=	100 60	70 40	=	100 60	10 80	0 90	30 40
	250	100	100	80	100	100	_	100	95	_	100	95	95	70
5-3	63 250	60 100	100 100	0 30	0 10	100 100	_	70 1 <b>0</b> 0	0 20	0	30	0	0	20
5-15	63	100	100	30 0	0	100	_	100	20 0	95 0	100 0	10 0	0	20 0
	250	0	0	0	0	0	_	0	0	0	0	0	0	0
5-16	63 250	0	0	0 0	0	0 20	_	0 0	0 0	0 0	0 0	0 0	0	0 0
5-17	63	30	40	0	0	70	_	95	0	40	30	0	0	20
	250	100	100	30	0	100	_	100	40	70	50	0	0	20
5-18	63 250	30 0	40 70	0 10	0	70 95		95 90	0 0	40 30	30 20	0	0	20 10
5-26	63	100	70	10	0	100	_	60	20	0	30	ŏ	0	15
5-20	250 63	100	100	80	40 40	100	_	90	30	30	80	10	0	40
5-28	250	100 100	100 100	100 100	60 100	100 100	_	50 85	30 60	20 30	30 90	15 20	10 20	30 60
6-13	63	60	10	0	0	40	_	80	10	_	80	0	0	0
6-14	250 63	100 90	40 1 <b>0</b> 0	0 40	0 60	100 100	_	100 100	40 100	_	90 100	0 70	0	10 90
•	250	100	100	40 60	100	100	_	100	100	=	100	70 90	90 100	100
6-15	63	100	100	70	70	100	=	95	50	50	80	40	0	20
	250	100	100	80	40	100	_	100	80	100	100	30	5	60

TABLE XX-continued

					P	re-emerge	Herbicidal A	Activity						
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	РОНЕ	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
6-16	63	30	10	0	0	100	_	60	10	_	30	0	0	0
6-17	250 63	50 90	20 30	0	0	100 100	_	90 70	30 10	_	90 30	0 0	0 0	20 0
	250	100	95	20	30	100	_	99	90	_	99	0	0	40
6-19	63	30	20	0	0	70	_	0	0	0	0	0	0	0
6-20	250 63	70 10	50 0	0 0	0 0	90 50	_	10 0	0 0	0 0	0 0	0	0	0
	250	0	0	0	0	30	_	ŏ	ŏ	ŏ	ŏ	ŏ	ŏ	ŏ
6-22	63 250	30 100	20 100	0 60	0 0	40	_	10	0	0	0	0	0	0
6-23	63	50	0	0	0	100 30	=	50 0	0 0	10	20 0	0 0	0 0	10 0
	250	100	50	10	20	100	_	60	0	_	10	0	0	0
6-24	63 250	20 100	0 70	0 0	0 0	30 90	_	0 40	0 0	_	0 20	0 10	0	0 10
7-2	63	100	95	30	60	100	80	95	70	95	100	50	20	20
7-14	250 63	100 40	100 40	30	80	100	100	100	100	100	100	10	40	30
7-14	250	80	40 60	10 50	30 20	70 100	_	0 70	0 40	_	60 70	15 10	0 0	5 20
7-15	63	80	40	0	0	100	_	0	0	0	0	0	0	0
8-2	250 63	100 90	90 80	10 0	50 0	100 80	=	60 20	10 0	20 0	60 0	0 0	0 0	10
0-2	250	100	100	90	0	100	_	100	40	20	70	10	20	20 30
8-3	63	99	98	30	30	99	_	95	0	20	30	0	10	0
8-4	250 63	100 60	100 0	50 0	10 0	100 80	_	98 30	10 0	30 0	60 20	0 0	0	0
	250	100	90	0	0	99	_	70	ŏ	20	20	ŏ	ŏ	20
8-5	63 250	40 95	0 70	0 40	0	. 0		70	0	0	0	0	0	0
8-7	63	99	100	0	0 0	80 95		90 95	0 0	20 10	0 50	0	0 0	0
	250	100	100	0	0	100		99	70	70	80	0	10	0
8-13	63 125	50 70	90 100	0 0	0	100 70		20 40	0 30	0 30	0 40	0 0	0 0	10 10
8-18	63	60	90	ő	ŏ	70	_	50	10	0	10	ő	0	10
8-30	250 63	100 100	100	60	30	100		100	90	50	80	0	10	30
8-30	250	100	70 90	20 20	20 10	100 100	=	20 <b>70</b>	0 20	0 30	30 50	0	0 5	5 10
8-31	63	90	60	0	0	100	_	10	0	0	0	0	0	0
8-36	250 63	100 100	95 80	20 10	30 10	100 100	_	10 0	0 0	10 0	10 0	0	10 0	30 20
	250	100	30	30	20	100	_	30	ő	ő	40	0	0	40
9-4	63	60	90	30	10	30	_	0	0	0	0	0	0	0
9-14	250 63	100 40	100 20	60 0	50 0	80 80	_	70 0	10 0	30 0	60 0	50 0	0	10 0
	250	65	85	0	10	100		30	40	10	30	ŏ	ŏ	10
9-5	63 250	100 100	100 100	10 80	70 100	100 100	_	20 60	0 30	0 20	10 40	0	0	25
9-16	63	70	100	20	70	100	_	39	0	10	10	10 40	10 0	60 80
0.40	250	100	100	60	70	100	_	70	40	40	40	95	90	90
9-19	63 250	100 100	100 100	0 20	30 0	100 100	_	0 60	0 0	0 20	0 80	0 20	0	30 50
11-6	63	100	95	20	0	100	_	100	90	0	100	20	ő	ő
11-13	250 63	100 100	100 95	99 0	80 65	100 100	30	100	100	40	100	20	20	50
11-13	250	10	100	85	80	100	60	70 100	30 80	0 40	60 1 <b>00</b>	0	0 0	0 15
12-2	63	100	100	99	95	100	_	95	40	90	95	90	40	50
12-3	250 63	100 95	100 100	100 30	100 0	100 100	_	100 0	99 0	100 0	100 0	100 0	99 0	99 10
	250	100	100	70	90	100	_	30	ŏ	ŏ	30	20	ő	20
12-5	63	30	70 00	0	0	90	_	0	0	-	0	0	0	0
12-8	250 63	100 100	90 0	80 0	20 0	100 100	_	0 60	40 0	10	10 50	0 0	0 0	10 30
	250	100	100	20	40	100	_	100	40	40	100	0	0	40
13-3	63 250	100 100	0 20	0 30	0 0	90 100	_	10	0	0	0	0	0	0
13-4	63	60	90	0	10	100	_	40 30	10 0	10 10	30 0	0	0 0	0
	250	100	100	50	90	100	_	90	30	40	90	35	15	30
13-5	63 250	30 95	0 0	0 0	0	20 50	0 0	30 50	0 0	0 30	0 10	0 0	0 0	0 0
14-1	63	100	75	0	30	98	0	15	15	0	40	20	0	15
14.2	250	100	100	0	75 50	100	0	55	30	90	85	60	10	45
14-2	63 250	100 100	35 100	0 10	50 85	100 100	0 50	20 30	0 0	10 60	0 65	0 10	0 30	0 10
14-3	63	100	100	20	0	100	0	40	0	0	30	20	0	0
	250	100	100	80	50	100	65	80	40	60	90	90	90	50

TABLE XX-continued

					<u>P</u>	re-emerge	Herbicidal A	Activity						
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	ІРОНЕ	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
14-4	63 250	90 100	50 80	20 20	0 70	60 100		10 40	0	_	20 95	0 95	0 20	0 15
14-5	63 250	70 100	100 100	0 10	20 30	70 100	_	10 60	0 40	_	20 95	25 20	0 <b>7</b> 0	0 20
14-6	63 250	100 100	30 100	30 30	10 20	20 100	_	10 100	0 70	0 30	90 100	30 50	0 5	15 50
14-7	63 250	90 100	100 100	20 0	0 0	40 85	0 50	10 90	0 75	0 70	90 100	0 50	10 90	0 40
14-8	63 250	100 99	0 40	0 0	0 0	70 95	0 40	0 0	0 20	0 0	40 100	0 0	0 0	0 0
14-9	63 250	80 100	0 90	0 0	0 0	60 90	0 0	0 20	0 70	0 30	90 100	0 0	0 75	0 5
14-10	63 250	100 100	0 90	0 0	0 70	0 100	50 100	0 0	0 0	0 30	0 90	0 50	0 40	20 30
14-11	63 250	100 100	50 100	0. 0	30 50	70 100	0 100	0 50	0 0	0 0	50 100	0 0	0 0	0 0
14-12	63 250	60 100	0 20	0 0	0 0	50 60	0 0	0 0	0 0	0 0	0 100	0 20	0 0	0 0
14-13	63 250	80 100	10 80	0	0 0	0 70	0 30	0 10	0 0	0 0	30 100	0 10	0 5	0 0
14-14	63 250	100 100	0 20	0 0	0 20	60 100	=	0 50	0 10	0 0	50 90	20 30	0	0 20
14-15	63 250	100 100	50 100	0 40	0 20	20 95	=	0 30	0 80	0 0	70 1 <b>00</b>	0 20	0 <b>0</b>	0 0
14-16	63 250	100 100	0 90	0	0 <b>0</b>	100 100	_	0 0	0 0	0 0	50 100	0	0 · 0	0 0
14-17	63 250	100 100	50 100	0	0 30	90 100	_	0 80	0 50	0 30	90 100	0	0 <b>40</b>	0
14-18	63 250	100 100	40 70	0 20	0 20	100 100	0 <b>70</b>	0 20	0 20	0 10	0 90	0	0	0 10
14-19	63 250	0 90	0 50	0	0	0 80		0	0	0	0 80	50 50	0	0
14-20	63 250	50 100	0 90	0	0	0 80	=	0 30	0 40	0 20	90 90	0 20	0 50	0
14-21	63 250	60 95	40 100	0 10	0	20 75	0 40	0	0 20	0 35	0 70	0 70 0	0 70 0	0 20 0
14-22 14-23	63 250 63	100 100 95	0 70 0	0 0 0	0 0 0	20 90 0	=	0 0 0	0 0 10	0 0 0	0 50 30	30 0	0	10 0
14-24	250 63	100 50	80 0	0	30 0	90 0	=	40 0	30 0	80 0	90 20	0	0	0
14-25	250 63	100 100	80 100	30 50	0 70	50 100	=	0 90	0 <b>80</b>	0 80	80 70	10 80	0 95	20 90
14-26	250 63	100 100	100 80	100	` 100 0	100 70	Ξ	100	100	100	100 50	100	110 0	. 100
14-27	250 63	100 50	100	20 0	0	100 0	_	99 0	95 0	70 0	95 0	30 0	50 0	80 0
14-28	250 63	100 90	30 0	o o	0	90 0	_	0	o o	Ŏ O	90 0	0	0	0
14-29	250 63	100 20	80 0	0	0	95 0	_	0	20 0	40 0	100 0	40 0	20 0	0
14-30	250 63	95 70	80 0	0	0	<i>5</i> 0	_	0	0	0	50 0	20 0	10 0	20 0
14-31	250 63	100 70	50 0	0	0	80 40	_	0	0	0	90 0	0	0	0
14-32	250 63	100 100	70 10	0 0	50 0	50 80	_	0	0 0	0 <b>0</b>	90 20	20 0	30 0	0
14-33	250 63	100 100	50 20	30 0	0	100 0	_	40 0	30 0	20 0	100 0	20 0	0 10	10 0
14-34	250 63	100 100	90 100	0 20	0 10	90 95	=	50 30	0 20	30 0	99 90	20 30	20 0	10 20
14-35	250 63	100 100	100 100	10 0	10 0	100 50		100 80	90 0	30 0	100 50	100 0	25 0	90 0
14-36	250 63	100 75	100 100	0	0 0	100 75	10	100 10	99 0	50 0	95 30	20	25 0	10 10
14-37	250 63	100 100	100 90	0 0	0 0	100 100	80 —	95 0	90 0	30 0	95 0	40 0	10 0	70 0
14-38	250 63	100 99	100 40	0	0	100 90	_	95 0	99 0	0	100 0	0	0	80 0
14-39	250 63	100 100	100 100	0	0	100 100	_	80 70	70 10	0	90 95	0	0	30 0
14-40	250 63 250	100 100 100	100 100 100	20 0 0	0 0 0	100 95 100	30 90	100 50 100	95 10 80	60 0 40	100 60 100	0 0 20	0 0 0	80 20 60

TABLE XX-continued

					P	re-emerge	Herbicidal A	Activity						_
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
14-41	63 250	100 100	90 100	0	0 40	100 100		30 100	0 80	0	50 100	0 50	0	0 50
14-42	63 250	95 100	80 95	0 0	0 30	90 100	0 100	30 80	0 20	0 0	0 50	0 95	0 20	10 30
14-43	63 250	100 , 100	90 100	0 0	0	100 100	0 100	30 95	0 80	20 30	80 100	0 70	0 20	10 40
14-44	63 250	70 100	80 100	0	0	70 100	_	0 70	0 50	0	0 90	0 100	0	0 50
14-45	63 250	100 100	100 100	0	0	95 100	_	0 95	0 80	0 50	30 99	0 80	0 10	10 80
14-46 14-47	63 250 63	60 95 100	80 100 100	0 0 0	0 0 0	0 90 100	50 100	0 0 0	0 0 0	0 0 0	0 0 30	0 30 0	0 0 0	0 30 0
14-48	250 63	100 90	100 90	0	0	100 50		99 0	95 0	20 0	100	100	0 0	70 30
14-49	250 63	100 100	100 100	0	0 50	100 100	80	50 50	50 0	0 20	70 50	95 0	40 10	70 40
14-50	250 63	100 100	100 0	70 0	90 10	100 100	_	100 0	100 0	90 50	100 0	100 0	95 10	95 0
14-51	250 63	100 100	50 10	30 0	70 0	100 90		50 0	30 0	95 0	40 0	0	90 0	0
14-52	250 63 250	100 40 90	100 70 100	0 0 0	50 0 30	100 80	=	0 0 0	0 0 0	0 0 0	0 0 0	0	0 0 0	. 0
14-54	63 250	20 85	0 70	0 10	0 40	100 10 100	0	20 80	0	0 30	0 30	0 0 0	0	0 15
14-55	63 250	<u> </u>	10	0	ŏ	0	<u> </u>	0	<u> </u>	0	0	ŏ	ŏ	0
14-56	63 250	100 100	30 60	0 10	0 0	80 100	_	20 70	0 0	0 30	30 40	0 0	0 0	10 10
14-57	63 250	0	0	0	0	0	_	0	0	0	0 20	0	0	0
14-59	63 250	0 0	0	0	0 0 90	40 0	=	0	0 0 0	0 0 0	0	0	0 0 0	0
14-60 14-61	63 250 63	100 100 30	100 100 0	0 0 0	100 0	100 100 0	<del>-</del>	10 60 0	20 0	10 0	0 30 0	0 10 0	0	0 20 0
14-62	250 63	95 40	40 15	0	20 0	80 20	0 0	60 0	0	20 0	40 0	ŏ	o o	0
14-63	250 63	100 99	95 70	0	0 <b>0</b>	80 80	30 0	60 30	20 0	60 0	50 70	0 0	5 0	15 0
14-64	250 63	100 100	100 90	50 0	0	99 60	60 20	99	50 0	20 0	100 50	0	0	0
14-65	250 63	100 100	,100 90	0 40	0 40	100 60	60 100	100 20	95 0	20 20	100 90	0 20	0	10 0
14-66	250 63 250	100 100 100	100 90 100	80 0 0	70 0 60	100 90 100	70 0 0	90 20 100	80 50 100	20 0 30	100 90 100	40 0 0	0 0 0	0 0 20
15-1	63 250	100 100 100	100 100	100 100	100 100	100 100	_	100 100	91 100	<del>-</del>	100 100	95 100	95 100	90 100
15-2	63 250	100 100	100 100	100	10 100	100 100	_	100 100	100 100	100 100	100 100	90 100	80 100	99 100
15-3	63 250	100 100	100 100	100 100	100 100	100 100	100 100	100 100	100 100	100 100	100 100	100 100	90 100	95 100
15-4	63 250	100 100	100 100	100 100	100 100	100 100	_	100 100	80 100	=	100 100	90 100	90 100	80 100
15-5 15-6	63 250 63	100 100 100	100 100 100	100 100 90	100 100 100	100 100 100	=	100 100 99	70 99 99	100	100 100 100	90 99 95	80 99 95	70 99 98
15-7	250 63	100 100	100 100	100 100	100 95	100 100	=	100 100	100 80	100 95	100 90	95 95	100 85	100 90
15-8	250 63	100 60	100 40	100 20	100	100 60	· <b>=</b>	100 20	100 0	100	100 30	100 10	100	100 15
15-9	250 63	100 100	100 100	80 90	70 99	100 100	=	0 100	40 99	100	100 90	80 100	90 85	90 95
15-10	250 63	100 100	100 100	100 80	100 100	100 100	100	100 100	100 99	100 100	100 100	100 100	98 75	100 80
15-11	250 63 250	100 100 100	100 100 100	100 100	100 100 100	100 100	100	100 100 100	100 100 100	100 100 100	100 100 100	100 99 100	100 100 100	100 100 100
15-12	63 250	100 100 100	100 100 100	100 100 100	100 100 100	100 100 100	=	100 100 100	100 100 100	100 100 100	100 100 100	99 100	100 100 100	99 100
15-13	46 185	100 100	100 100	100 100	100 100	100 100	_	100 100	99 100	99 100	100 100	60 100	35 100	90 100

TABLE XX-continued

					<u>P</u> 1	re-emerge	Herbicidal A	Activity						
Cmpd.	Rate g ai/ha	AMARE	АВИТН	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
15-14	63	100	100	90	100	100	_	100	100	100	100	99	40	99
	250	100	100	100	100	100	_	100	100	100	100	100	99	100
15-15	63	100	100	100	100	100	_	100	100	100	100	100	95	95
	250	100	100	100	100	100	_	100	100	100	100	100	100	100
15-16	63	100	100	90	90	100	_	100	80	100	100	90	65	90
	250	100	100	100	100	100	_	100	100	100	100	100	100	100
15-17	63	100	100	100	100	100	_	100	100	100	100	100	80	100
	250	100	100	100	100	100	_	100	100	100	100	100	95	100
15-18	63	100	100	100	90	100	_	95	75	75	100	75	80	90
	250	100	100	100	100	100	_	100	100	100	100	100	95	99
15-19	63	100	100	100	100	100	_	100	100	100	100	95	80	90
	250	100	100	100	100	100	_	100	100	100	100	100	100	100
15-20	63	100	100	60	80	100		100	50	_	100	80	65	70
	250	100	100	100	80	100	_	100	100	_	100	95	95	100
15-21	63	100	100	100	100	100		100	99	100	100	100	95	99
	250	100	100	100	100	100		100	100	100	100	100	100	100
15-22	63	100	100	100	50	100	_	90	60	_	100	40	25	30
	250	100	100	100	100	100	_	100	100		100	90	95	99
16-2	63	40	75	0	10	80	_	10	0	0	0	0	0	0
	250	100	100	60	50	100	_	60	10	30	50	15	0	0
16-6	63	70	90	50	50	90	_	0	0	0	0	20	10	0
	250	100	100	70	90	100	_	40	50	50	70	60	90	70
16-7	63	0	0	0	0	0	_	0	0	0	0	0	0	0
	250	50	0	0	0	0	_	0	0	0	0	0	0	0
17-1	63	30	95	0	10	90	_	50	0	0	20	10	0	10
	250	90	100	80	70	100	_	80	70	60	80	10	10	30
17-2	63	30	0	0	0	60	_	0	0	0	0	0	0	0
	250	60	20	Ö	ő	90	_	ő	0	Ö	Õ	ő	0	ő
17-4	63	30	0	Ö	0	20	_	Ö	Ö	Ö	Ö	o	0	o
11-7	250	100	60	10	10	70	_	20	ő	Ö	10	ő	ő	10
17-5	63	80	60	0	30	90	_	0	Ö	Ô	0	0	0	0
11-3	250	100	100	30	35	100	_	50	0	20	60	0	0	10

TABLE XXI

					Po	st-emerge	Herbicidal	Activity	-					
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
1-4	63	30	100	60	100	60	50		. 0	0	0	80	0	0
	250	95	100	100	100	95	90	_	40	70	<i>5</i> 0	100	20	35
1-5	63	0	10	0	0	0	0	0	0	0	0	10	0	0
	250	40	60	30	70	50	30	0	0	0	0	50	5	0
1-9	63	100	100	90	100	100	90	90	70	90	90	100	30	40
1-10	63	10	30	0	20	10	0	0	0	0	0	0	0	0
	250	30	90	10	70	50	30	0	0	0	0	40	0	0
1-11	63	40	70	0	40	50	10	0	0	0	0	10	0	0
	250	60	100	0	70	95	10	50	0	0	0	30	0	0
1-13	63	100	100	30	100	100	70	30	30	10	0	80	20	30
	250	100	100	70	100	100	85	90	70	90	75	100	80	80
1-15	63	0	30	0	0	0	0	Ó	0	0	0	0	0	0
	250	30	50	0	40	20	0	0	0	0	0	15	0	0
1-16	63	30	30	0	20	30	0	0	0	0	0	0	0	0
	250	70	50	0	50	60	0	0	0	0	0	10	0	0
1-18	63	70	100	30	80	70	_	70	0	50	50	50	0	0
	250	100	100	95	100	100	_	70	0	50	50	100	0	0
1-19	63	30	100	10	30	30		20	0	0	0	40	5	25
	250	70	100	30	95	90	_	95	80	70	10	95	45	80
1-20	63	90	100	0	100	90	_	0	30	10	10	100	10	20
	250	100	100	50	100	100	_	60	80	70	20	100	40	50
1-21	63	95	85	10	70	75	10	10	0	0	0	40	5	10
	250	100	100	30	90	95	30	30	0	Ó	0	40	5	10
1-22	63	60	100	0	40	40		0	0	0	0	30	5	10
	250	80	100	0	90	80		80	0	10	0	60	15	40
1-30	63	10	30	0	20	0	0	0	0	0	0	0	0	0
	250	30	100	30	100	60	70	0	0	0	0	20	10	20
1-31	63	10	20	0	10	0	0	0	Ó	0	0	0	0	0
	250	30	50	Ó	50	40	0	Ō	Ō	Ó	Ō	20	0	10
1-32	63	10	30	0	0	0	0	0	0	Ó	Ō	0	0	0
	250	30		0	70	60	30	0	0	Ó	0	10	0	0

TABLE XXI-continued

							Herbicidal .		-					
Cmpd.	Rate g ai/ha	AMARE	АВИТН	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
1-37	63 250	90 100	100 100	65 90	100 100	95 100	_	20 80	30 90	10 70	10 70	60 100	10 60	25
1-38	63 250	80 100	100 100	50 90	80 100	<del>-</del>	=	10 80	0 80	0	10 80	80 100	25 70	60 35
1-51	63	70	60	40	40	30	70	0	0	80 <del></del>	10	10	10	70 10
1-53	250 63	70 80	80 100	60 60	95 95	95 50	90 —	30 0	10 0	<u> </u>	20 0	50 95	20 0	30 10
1-54	250 63	100 100	100 100	95 90	100 100	100 100	100	80 40	50 20	40 —	50 40	100 99	30 50	60 40
1-55	250 63	100 10	100 80	100 10	100 30	100 0	100 70	60 0	75 0	<del>-</del>	70 0	100 0	70 0	45 0
1-59	250 63	10 95	90 100	20 40	95 100	20 100	50	40 10	0 30	0 10	30 0	20 90	10 45	0 30
	250	100	100	100	100	100	_	70	80	50	40	100	80	60
1-60	63 250	90 100	100 100	50 90	90 100	99 100	_	0 10	0 20	0 20	0 50	90 100	0 50	10 40
1-61	63 250	50 70	70 100	60 70	100 100	30 70	70 85	10 30	0 10	_	10 30	20 80	10 30	10 35
1-63	63 250	10 10	70 100	0	95 90	20 50	0 50	0 20	0 30	0	0	0 80	0 0	10 40
2-1	63 250	50 100	100 100	50 100	100 100	95 100	30 85	0 30	0 10	0	0	90 100	10 15	30 70 -
2-2	63	90	95	_	95	60	0	0	0	0	0	50	0	20
2-3	250 63	100 10	100 80	0	100 60	100 30	<del>70</del>	30 0	40 0	50 0	30 0	95 70	0 0	60 0
2-4	250 63	40 0	100 0	0 0	100 0	30 50	_	0 0	0 0	0 10	0 0	90 30	10 0	40 0
2-5	250 63	70 20	50 90	0 10	20 50	50 40	=	0	0 0	10 0	0 0	30 60	0 5	0 30
2-6	250 63	40 0	100 0	50 0	90 0	70 0	_	0	0 0	30 0	0 0	60 0	10 0	40 0
2-7	250 63	10 10	70 70	0	30 50	30 40	_	0	0	0	0	5 70	5 0	0 40
	250	50	95	30	90	50	=	30	0	0	0	90	10	40
2-8	63 250	0 20	0 40	0 0	0 50	0 60	_	0 0	0 0	0 0	0 0	10 10	0 0	0
2-10	63 250	0 30	95 100	0 10	60 100	50 90	0 50	0 70	0 60	0 20	0 40	20 65	5 0	0 5
2-11	63 250	0 30	80 100	_	50 60	40 65	=	0 20	0	0 0	0	5 15	5 5	0
2-12	63 250	0 10	20 65	0	0 50	0 40	0 10	0 0	0 0	0	0 0	0	0 0	0
2-14	63 250	40 70	90 95	10 10	40 80	30 40	=	0	0	0 0	0	15 20	0 10	10 30
2-15	63	0	70	10	40	40	10	0	0	0	0	10	0	0
2-16	250 63	20 50	90 100	10 10	90 99	60 80	40	0 50	0 90	0 40	0 20	30 70	0 70	0 40
2-18	250 63	100 0	100 0	80 0	100 0	100 0	100	100 0	100 0	95 0	60 0	100 0	90 0	45 0
2-19	250 63	95 40	40 90	10 0	30 70	50 50	_	0	0 0	0 0	0	20 80	0 0	0 0
2-23	250 63	75 70	100 100	10 95	100 100	50 70	30 90	30	0 0	0 50	0	100 100	0 40	20 50
2-24	250 63	100 100	100 100	100 100	100 100	90 99	100 100		30 70	90 60	70 10	100 100	90 70	95 70
	250	100	100	100	100	100	100	70	95	90	50	100	95	90
2-26	63 250	0 20	0 40	0	0 30	0 20	0	0 0	0	0	0	0	0	0
2-27	63 250	100 100	100 100	50 90	90 100	90 100	60 90	=	0 80	20 85	10 70	100 100	50 95	60 95
2-28	63 250	100 100	100 100	30 20	60 50	60 60	_	30 30	0 0	0 0	0	60 90	15 25	90 90
2-29	63 250	90 100	100 100	20 40	75 100	80 90	50 60	20 50	0 20	0 10	0 20	60 90	10 25	40 60
2-30	63 250	0 20	30 70	0	0 20	0 50	0 10	=	0	0	0	0 10	0	0
2-31	63	100	100	_	90	80	_	10	10	10	0	90	40	50
2-32	250 63	90 100	100 100	80	100 100	95 95	_	20 0	40 0	30 50	20 0	95 100	60 30	70 30
2-33	250 63	100 90	100 100	100 70	100 100	100 80	70	90 50	90 10	95 0	70 0	100 60	95 10	80 20
<b>'2-34</b>	250 63	95 95	100 100	80 100	100 75	95 85	95 70	40 —	60 0	20 40	40 10	90 90	40 60	60 65
	250	95	100	100	95	90	85	_	30	80	30	95	90	85

					Pc	ost-emerge	Herbicidal .	Activity	-					
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	ІРОНЕ	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
2-36	63 250	100 100	100 100	60 60	100 100	95 100	80 95	50 60	10 0	10 10	20 20	75 100	10 20	25 40
2-37	63 250	0 10	0 30	0 0	0 0	0 20	0 0	=	0 0	0 0	, O O	0 10	0 0	0 0
2-39	63 250	70 95	100 100	60 90	99 100	90 95	50 95	20 80	0 60	10 60	0 50	95 99	20 70	30 70
2-40	63 250	90 100	100 100	50 90	100 100	80 95	80 100	10 90	0 100	30 100	10 90	100 100	40 90	50 95
2-41	63 250 63	95 100 95	100 100	60 95 65	100 100	95 100	50 95	20 30	0 30	0 70	0 20	90 100	15 80	10 70
2-42 2-44	250 63	100 50	100 100 100	100 20	100 100 50	90 100 60	70 95 60	50 80 0	30 80 0	10 95 0	0 30 0	100 100 90	10 60 0	90 90 0
2-45	250 63	90 10	100 30	70 0	100 20	90 30	90	50 0	10 0	30 0	10 0	100 10	20 0	10 0
2-46	250 63	20 30	40 50	20 0	30 0	30 10	_	40 0	0 0	ŏ o	ŏ	20 10	5 0	10 10
2-47	250 63	70 90	100 100	0 70	20 70	80	50	30 20	10 0	0	0	80 100	20 10	30 20
2-48	250 63	100 95	100 100	100 50	100 100	100 95	90 85	30 20	10 0	. 30	0 0	100 100	15 50	45 80
2-49	250 63 250	100 20 40	100 90 100	100 30 50	100 70 70	100 60	100 50	<del>70</del>	80 0	60 0	20 0	100 70	70 0	86 0
2-50	63 250	90 100	100 100 100	80 90	100 100	60 70 90	40 40 65	40 60	0 0 30	0 0 40	0 10 30	60 90 90	10 10 70	10 60 65
2-52 2-53	63 63	30 90	30 100	0 70	10 90	10 95	20 70	0 20	0	0	0	0 100	0 10	0 30
2-54	250 63	100 100	100 100	100 90	100 100	100 100	90 90	40 10	70 20	50 50	20 10	100 100	70 80	70 90
2-56	250 63	100 100	100 100	100 100	100 100	100 100	100 70	50 80	90 0	80 0	20 0	100 100	80 30	95 45
2-58	250 63 250	100 100 100	100 100 100	100 100 100	100 95 100	100 100 100	100 100 100	60 50 60	50 20 90	60 20 90	30 10 40	100 100 100	80 40 90	90 50 85
2-59	63 250	100 100	100 100	90 100	100 100	100 100 100	70 100	20 20	0 30	30 65	0 30	75 90	70 80	70 80
2-61	63 250	100 100	100 100	100 100	100 100	100 100	100 95	60 90	40 70	20 70	20 50	100 100	35 90	60 90
2-63	63 250	100 100	100 100	100 100	100 100	99 100	80 100	50 95	10 100	20 100	0 70	100 100	50 95	70 95
2-14	63 250	85 100	100 100	40 70	70 90	80 100	60 90	20 50	30 40	20 20	10 20	50 60	50 60	60 90
2-66 2-67	63 250 63	100 100 100	100 100 100	100 70 100	100 90 99	100 100	100 75	90 80	70 50 0	.10 50	10 20 0	95 90	15 70	50 90
2-69	250 63	100 100 40	100 100 100	100 100	100 50	100 100 50	100 100 40	20 30 0	40 0	0 80 0	40 0	100 100 20	20 95 0	70 80 0
2-70	250 63	50 70	100 100	30 10	40 60	60 50	30 30	0 20	0	0	0	30 10	0	0
2-72	250 63	70 <b>70</b>	100 100	20 70	60 50	70 70	30 70		0	0 0	0 0	20 15	0	0 0
2-73	250 63	90 100	100 100	95 60	60 100	80 70	60 100	50	0 30	0 10	0 30	30 95	10 25	20 20
2-74	250 63	100 95	100 100	100 30	100 60	95 70	100 70	90 0	60 0	50 0	30 0	100 60	50 0	70 25
2-75	250 63 250	100 100 100	100 100 100	70 100 100	60 100 100	70 100 100	95 —	20 30 80	0 10 50	0 20 40	0 0 20	100 100 100	15 10 15	50 70 80
2-77	63 250	10 100	100 100	40 100	60 95	100 80	=	0	0	0	0 0	40 90	0 10	20 40
2-78	63 250	100 100	100 100	70 100	80 100	100 100	_	30 30	10 10	0 10	0	80 100	25 30	50 65
2-81	63 250	100 100	100 100	70 100	100 100	90 100	_	40 60	50 90	20 80	20 80	90 100	30 90	60 90
2-82	63 250	100 100	100 100	100 100	60 90	90 90	_	40 50	20 30	0 30	0 30	60 50	10 25	50 40
2-83	63 250	40 90	50 70	0	10 20	20 50	_	0	0	0	0	10 10	0	100
2-84	63 250	80 100	30 100	20 100	30 70	30 80	_	30 0	0	0	0	10 70	10 10	0 20
2-86	63 250	100 100	100 100	100 100	100 100	100 100	=	90 100	90 100	70 100	30 95	100 100	90 100	80 100

TABLE XXI-continued

						TABLE 2	XXI-cont	inued						
					Po	st-emerge	Herbicidal	Activity						
Cmpd.	Rate g ai/ha	AMARE	ABUTH	CASOB	ІРОНЕ	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
2-87	63	100	100	40	100	80		30	10	10	10	95	30	40
2-89	250 63	100 70	100 100	100 50	100 90	90 60	=	40 20	50 0	50 0	50 0	100 80	80 0	75 60
	250	100	100	60	100	90	_	20	10	10	0	99	o	70
2-92	63 250	20 50	100 100	0 30	20 100	20 80	_	0 0	0 0	0 0	0 0	10 50	0 30	10 60
2-98	63	75	100	100	100	70	80	_	ŏ	ŏ	ő	100	20	40
2-100	250 63	100 80	100 100	100 70	100 100	90 80	100 70	60	20 0	90 0	50 0	100 100	90 15	90 45
2-100	250	100	100	60	100	80	90	70	30	60	20	100	80	<b>7</b> 0
2-102	63 250	0 40	0 30	0 0	0 0	0 0	_	0 0	0 0	0	0 0	0 40	0 0	0
2-105	63	100	100	80	100	80	100	70	10	0	ŏ	100	0	0
2-115	250 63	100 100	100 100	80 90	100 90	90	100	100	30	30	10	100	10 5	30
2-113	250	100	100	95	95	100 100	=	0 0	10 20	0 0	20 50	50 50	5	20 50
2-117	63 250	10	80	40	90	_	_	0	0	0	0	30	5	10
2-118	63	70 30	100 60	95 0	100 50	_	_	0 0	0 0	0 0	0 0	90 40	20 10	40 10
2 110	250	30 90	80	30	90	_	_	0	0	0	0	90	20	10
2-119	63 250	100	100 100	30 60	100 100	80 100	_	40 90	40 90	60 90	30 80	100 95	70 90	60 90
2-120	63	90	100	40	100	80	=	20	40	40	10	70	50	50
2-121	250 63	100 90	100 70	30 10	100 100	80 60	_	60 0	30 0	50 0	60 0	90 25	70 10	90 15
0.100	250	100	100	60	100	80	_	20	0	10	10	60	20	25
2-122	63 250	100 100	100 100	100 100	100 80	100 100	_	90 95	30 50	30 60	40 60	90 100	40 40	35 60
2-123	63	100	100	100	100	100	_	20	10	10	10	70	35	60
2-124	250 63	100 30	100 40	100 0	100 20	100 30	_	30 0	20 0	30 0	30 0	95 15	40 0	70 0
0.105	250	90	95	20	30	50	_	0	0	0	0	15	5	0
2-125	63 250	100 100	100 · 100	90 100	100 100	100 100	_	40 60	20 40	30 40	20 40	90 100	10 20	40 70
2-126	63	80	90	60	80	80	_	30	0	0	20	30	10	0
2-127	250 63	100 100	100 100	60 100	100 100	95 70	=	40 30	10 30	10 20	30 20	60 35	10 10	50 30
0.100	250	100	100	60	95	100	-	40	20	20	30	70	15	35
2-128	63 250	100 100	100 100	60 80	100 100	100 100	_	50 60	10 20	_	10 35	60 60	5 15	10
2-129	63 250	100	100	80	100	100	_	80	30	_	30	100	70	35
2-130	63	100 100	100 100	100 80	100 100	100 100	_	90 60	50 50	_	70 30	100 80	100 10	75 20
0 121	250	100	100	70	100	100	_	70 70	60	_	50	90	30	25
2-131	63 250	100 100	100 100	80 100	100 100	100 100	_	70 90	30 60	_	30 70	90 100	15 70	10 75
2-132	63 250	100 100	100	100	100	100		40	20	_	10	80	60	50
2-133	63	100	100 100	99 80	100 90	100 100	=	60 70	40 50	_	25 30	100 100	75 70	80 80
2-134	250 63	100 100	100 100	100 90	100 100	100	_	75	85	10	70	95	95	95
2-13-4	250	100	100	100	100	100 100	_	20 60	10 30	30	0 30	70 90	10 20	50 80
2-135	63 250	100 100	100 100	70 100	100 95	100	_	15 40	0	_	0	50	10	20
2-136	63	100	100	60	80	100 95	=	20	20 0	_	10 0	90 70	25 15	40 5
2-137	250 63	100 100	100 100	100 100	100 100	100	_	30	10	_	20 0	90	10	10
2-13/	250	100	100	90	90	100 100	_	10 30	0 30	=	10	70 90	10 60	10 70
2-140	63 250	100 100	100 100	100 100	100 100	100	_	40	30	_	20	100	10	50
2-141	63	95	100	50	100	100 95	_	60 20	85 10	=	65 10 ,	100 70	70 5	80 15
2-142	250 63	95 0	100	95	95 0	100	_	40	30	-	30	70	10	65
	250	40	0 40	0 10	50	20 60		0 0	0 0	_	0	15 30	10 15	10 0
2-143	63 250	100 100	100 100	70 100	60 100	_	_	0 40	0 30	_	0 40	100 95	10 35	40 70
2-144	63	75	85	60	60	=	=	40 0	0	<b>-</b> .	0	40	33 40	15
2-145	250 63	90 95	100 100	85	70 100		_	30	10	_ `	0	40 80	20	35
	250	100	100	100 100	100 100	_	_	0 40	0 20	0 10	10	80 95	10 20	35 60
2-146	63 250	· 30	70 75	20 50	40 50	30 40	_	0	0	0	0 0	10	10 5	10 10
2-147	63	100	100	80	100	40 100	95	20	0 10	<u> </u>	20	10 90	15	50
	250	100	100	95	100	100	100	40	50	_	50	100	90	75

TABLE XXI-continued

							Herbicidal			<del></del> -				
Count	Data				PC	osi-emerge	<u>Herbicidal</u>	Activity	-					
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
2-148	63 250	100 90	100 100	95 100	80 90	100 100	_	50 40	50 20	0 10	40 40	80 90	25 30	0 10
2-149	63 250	80 80	100 100	95 95	70 95	90 95	_	10 20	20 50	0	0 20	80 90	0 15	0 10
2-151	63 250	10 20	0 50	10 50	30 100	10 10	20 30	0 0	0	0	0 0	0	0 0	0 10
2-152	63 250	100 100	100 100	100 100	100 100	98 100	=	30 50	30 50	20 40	20 30	60 70	15 30	40 65
2-153	63 250	60 90	95 100	0 30	100 95	80 95	=	20 30	10 20	0 20	0 10	70 50	5 5	0 10
2-154	63 250	100 100	100 100	50 100	100 100	100 100	_	40 95	80 95	=	10 85	90 100	10 85	65 90
2-155	63 125	100 100	100 100	100 100	100 100	100 100	=	50 70	30 60	30 50	40 50	80 99	70 90	25 80
2-158	63 250	100 100	95 100	90 100	20 80	90 95	50 100	10 70	0 50	0	0 30	40 50	. O O	20 60
2-161	63 250	100 100	100 100	100 100	100 100	100 100	30 100	0 20	20 60	0 30	0 50	80 80	0 20	20 70
2-163	63 250	100 100	99 100	90 90	98 95	100 100	=	50 60	55 70	0 10	30 45	80 85	35 40	20 55
2-168	63 250	20 50	60 100	0 80	60 100	30 50	=	0 10	0 0	0 0	0 0	30 80	5 10	10 30
2-169	63 250	10 0	70 80	10 10	50 40	30 40	=	0 <b>0</b>	0 0	0 0	0 <b>0</b>	70 50	10 10	10 10
2-170	63 250	20 30	35 90	10 60	50 95	60 50	=	10 20	0 20	0 10	0 30	30 90	5 15	5 10
2-171	63 250	30 50	60 100	30 40	60 100	40 90	_	0 10	0 0	0 10	0 10	20 80	0 10	0 10
2-172	63 250	60 60	60 100	50 90	90 100	35 60	30 80	0 10	0 0	0	0 0	20 80	5 10	0 10
2-173	63 250	50 50	60 100	50 70	100 80	40 65	30 50	0 0	0 0	0 0	0 0	20 40	0 25	0 10
2-174	63 250	60 60	60 80	60 75	70 80	55 85	_	10 10	0 0	0 0	0 10	30 80	10 25	20 20
2-175	63 250	100 100	100 100	100 100	100 100	100 100	=	0 20	0 20	0 10	0 10	80 90	10 10	50 80
2-176	63 250	100 100	50 90	10 30	70 95	80 90	=	0 0	0 0	0 0	0 0	15 35	0 5	10 30
2-177	63 250	100 100	100 100	100 100	100 100	100 100	=	45 60	20 40	30 40	30 50	95 100	15 20	50 60
2-178	63 250	50 70	40 50	0 0	30 20	30 40	=	0 0	0 0	0 0	<b>0</b> 0	10 15	0 0	0
2-179	63 250	100 100	100 100	80 100	80 100	80 100	_	30 55	70 50	10	0 50	90 100	15 15	30 60
2-180	63 250	100 100	100 100	100 100	100 100	100 100	=	60 70	40 60	_	40 40	100 100	5 20	20 65
2-181	63 250	100 100	100 100	100 100	100 100	100 100	_	70 80	40 60	_	30 70	90 95	10 20	15 60
2-182	63 250	90 95	100 100	60 95	100 100	95 100	=	10 80	0 30	=	0 20	70 100	5 70	0
2-183	63 250	0 10	0 50	0	0 40	0 80	=	0	0 0	_	0 0	10 30	0	0
2-184	63 250	100 100	100 100	100	100 100	100 100	_	60 80	40 50	_	20 90	95 100	10 75	40 65
2-185	63 250	80 100	100 100	40 60	60 80	70 100	=	0 20	0	=	0 10	50 75	0 5	20 35
2-187	. 63 250	99 100	100 100	70 100	100 100	100 100	=	40 60	20 40	=	10 40	100 100	15 75	50 90
2-188	63 250	85 90	100 100	55 90	100 100	80 100	_	10 20	30 40	_	0 10	70 95	25 45	20 40
2-189	40.5 162	100 100	85 100	40 60	60 100	100 100	=	0	0 10	0	0	15 60	0 5	0 5
2-190	63 250	95 99	90 90 70	20 80	50 90	90 100	_	0	0 10	0 50	0	20 95	5 10	0 5
2-191	63 250	40 90 70	70 90	30 80	80 100	-	_	0 10	0	0	0	20 35	10 20	10 20
2-192	63 250	70 70 99	85 85	40 60	50 70	60 50		0 0 20	0	0	0	40 70	5 15	0 20 30
2-194	63 250	99	95 100	50 70	95 99	90 100	95 90 100	20 20	0 10	0 10	0 20	50 95	5 5	30 40
2-196 2-197	63 250 63	100 100	100 100	100 100 80	90 100 100	100 100	100 90	30 20 10	10 10	10 10 0	10 20 10	100  70	10 15 10	30 — 20
2-17/	63 250	100 100	100 100	80	90	100 100	=	20	0 20	50	10 10	100	10	30

Campb.         Rate by MARR         AMARIC         CASON         POME         CHEAL         AMBEL         SCTIV         ECHEO         SORILA         DIGIS         COORN         RICE           2-198         6.3         6.0         80         80         80         80         80         100         90         10         90         10         90         10         90         10         90         10         90         10         90         10         10         100						Po	ost-emerge	Herbicidal	Activity	<u> </u>					
259			AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
2-199	2-198		80			80	80		10	5	0	10	90	10	10
250   100   100   100   100   100     90   100   95   95   100   90   90   90   200   201	2 100							_							
2-200 63 100 100 100 100 100 50 0 0 0 0 80 100 10 100 100 100 1 50 0 0 0 0 80 100 10 100 100 100 100 100	2-199							_							
2-201 63 99 95 60 95 95 95 60 95 95 95 96 70 20 50 0 35 75 30 60 20 20 20 20 20 20 20 20 20 20 20 20 20	2-200	63	100	100	100	100	100	_	30	0					
250   100   59   85   55   58     30   60   0   45   85   35   65   200   200     30   100     00   00   15   20   200   200   200   100   100   100   100   100     40   40     30   100   30   60   30   60   20   200   30   30   60   20   200   200   200   30   30   60   20   20								_							
2-202	2-201							_							
250   100   100   100   100   100     40   40     30   100   30   60   20   20   20   100   100   30   100   25   250   20   30   30   30   30   30   30   20   30   3	2-202							_							
250 100 100 100 95 100 — 30 30 20 30 60 10 10 30 20 10 20 10 0 0 0 0 30 5 5 0 0 20 20 30 60 10 30 50 20 20 20 30 60 10 30 50 20 20 20 30 60 10 30 50 20 20 20 60 10 30 50 20 20 20 60 10 80 10 30 20 30 60 10 30 30 50 20 20 80 80 80 70 70 70 70 10 10 0 0 0 10 80 10 30 30 20 20 80 80 20 20 80 80 80 70 70 70 70 10 10 0 0 0 0 10 80 10 30 30 20 20 80 20 20 80 80 80 70 70 70 70 10 10 0 0 0 0 10 80 10 30 30 20 30 30 30 20 20 30 30 30 20 20 80 30 30 30 30 20 20 80 30 30 30 20 20 80 30 30 30 20 20 80 30 30 30 20 20 80 30 30 30 20 20 80 30 30 30 20 20 80 30 30 30 20 20 80 30 30 30 20 20 80 30 30 30 20 20 80 30 30 30 30 20 20 80 30 30 30 30 20 20 80 30 30 30 30 30 30 30 30 30 30 30 30 30								-						30	60
2-204	2-203							_							
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4-41 63 100 100 100 100 100 95 80 90 100 75 50 250 100 100 100 0 40 80 0 100 0 20 0 85 4-42 63 75 100 100 0 30 90 0 10 0 20 0 0 20 0 4-43 63 70 60 50 40 80 0 0 10 0 30 0 0 4- 4-44 63 100 100 60 40 90 40 10 0 40 10 90 80 4- 444 63 100 100 100 100 100 100 95 70 70 100 90 70 4- 4-44 63 100 100 100 100 100 100 95 70 95 100 100 80	4-40							_			_		90	30	
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250 100 100 60 40 90 — 40 10 — 0 40 10 0 0 40 40 40 40 40 40 — 95 70 — 70 100 90 70 250 100 100 100 100 100 — 90 90 — 95 100 100 80	4-43							_			_				
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		250	100	100	100	100	100	_	90	90	_	95	100	100	80

TABLE XXI-continued

			· · · · · · · · · · · · · · · · · · ·				Herbicidal_				_			
Cmpd.	Rate								-					
no.	g ai/ha	AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
4-45	63 250	90 95	100 100	80 80	95 100	100 100	_	30 60	20 20	_	10 20	30 80	10 20	10 20
4-46	63	100	95	80	100	90	_	10	20	_	0	50	10	10
4-47	250 63	100 75	90 100	95 100	90 85	100 100	_	10 40	30 30	_	20 40	100 90	80 30	70 30
4-48	250 63	95 100	10 100	100 95	100	100	-	60 30	50 20	_	30	95	65	60
4-40	250	100	100	100	100 100	100 100	=	40	80	_	20 40	95 100	90 90	80 80
4-49	63 250	100 100	100 100	80 100	80	100	_	30	10	0	10	40	20	10
4-50	63	30	60	0	100 30	100 40	_	30 0	10 0	10 0	10 0	90 15	80 0	70 0
4-53	250 63	70 70	95 100	20 30	90 100	95	_	0	0	0	0	20	10	0
4-33	250	100	100	90	100	60 90	_	10 <b>7</b> 0	0 60	0 40	0 40	60 90	5 70	30 65
4-54	63 250	100 100	100 100	90	100	100	_	80 90	70	40	30	70	40	90
4-55	63	50	100	100 20	100 40	100 50	=	90 10	80 0	70 0	60 0	100 30	75 10	100 0
	250	80 100	100	40	85	90	_	40	20	10	10	60	5	35
4-56	63 250	100	100 100	100 100	100 100	100 100	_	90 1 <b>0</b> 0	50 90	40 90	20 70	100 100	80 100	65 85
4-57	63	100	100	60	100	95	_	50	30	30	10	95	40	50
4-58	250 63	100 100	100 100	100 90	100 100	100 100	_	90 50	40 30	50 30	60 20	100 100	90 30	80 60
4.50	250	100 90	100	100	100	100	_	90	40	50	60	100	70	75
4-59	63 250	100	100 100	30 60	100 100	100 100	_	0 30	0 20	0 30	0 20	60 90	5 25	50 60
4-60	63	100	100	60	100	100	_	65	60	50	40	90	25	50
4-61	250 63	100 100	100 100	100 100	100 100	100 100	_	90 70	50 40	50 50	60 50	100 100	55 50	70 40
	250	100	100	100	100	100		80	60	70	70	95	70	80
4-62	63 250	100 100	100 100	90 100	100 100	100 100	_	60 80	20 40	30 30	10 50	100 90	30 45	30 30
4-63	63	100	100	60	100	80	_	0	0	0	0	90	10	10
4-64	250 63	100 100	100 100	100 60	100 100	100 100	_	30 50	20 20	10 10	10 10	100 100	30 30	60 15
	250	100	100	100	100	100	_	80	30	30	30	90	40	50
4-65	63 250	100 100	100 100	100 100	100 100	100 100	_	100 100	60 70	70 80	60 80	100 100	80 90	70 80
4-66	63	80	100	20	100	80	_	10	0	0	10	40	15	30
4-67	250 63	100 100	100 100	90 100	100 100	100 100		60 90	40 40	50	50 70	80 100	60 55	70 50
	250	100	100	100	100	100	_	90	70		80	100	70	70
4-68	63 250	100 100	100 100	100 100	100 100	100 100	=	100 100	90 98	_	75 90	100 100	95 100	60 80
4-69	63	100	100	40	70	90	_	40	20	_	20	40	5	0
4-70	250 63	100 90	100 100	70 60	100 100	100 90	_	80 60	40 40		50 30	80 100	35 40	40 30
4-70	250	100	100	90	100	100	_	95	80	_	70	100	90	70
5-17	63	0	90	0	30	20	_	0	0	0	0	15	0	10
5-18	250 63	30 0	100 70	30 0	40 0	60 10	=	80 0	20 0	10 0	0 0	70 10	10 0	50 20
E 26	250	10	70	0	0	10	_	0	0	0	0	20	0	20
5-26	63 250	100 90	100 100	90 100	90 70	100 100		40 70	20 60	_	20 30	70 80	10 5	50 60
5-28	63	100	100	90	100	100	_	10	0	_	10	60	25	60
6-13	250 63	100 70	100 100	100 0	100 50	100 85	_	50 50	50 0	<u>_</u>	30 20	30	15	10
6 1 4	250	90	90	30	60	90	_	90	30	10	30	45	20	30
6-14	63 250	80 100	100 100	60 90	100 100	100 100	_	90 100	20 90	30 90	60 90	100 100	60 100	60 90
6-15	63	100	100	100	100	100	_	50	40	-	30	90	5	60
6-16	250 63	100 100	100 100	100 80	100 100	100 100	_	85 30	70 20	_	60 20	90 40	25 20	90 20
	250	90	100	60	75	100		60	40	_	30	70	35	70
6-17	63 250	60 70	90 100	50 70	50 70	90 90	_	0 30	0 30	_	0 20	20 20	5 15	0 10
6-19	63	0	0	0	0	0	_	0	0	0	0	0	0	0
6-20	250 63	30 0	50 0	0	30 0	60 0	_	0	0	0 0	0 0	10 0	0	0
	250	60	50	0	40	60	_	0	0	0	0	10	0	0
6-22	63 250	70 100	60 80	0	20 70	50 70	_	0	0 0	0 0	0 0	30 30	0	0 30
6-23	63	20	40	0	10	20	_	0	0	0	0	10	0	0
	250	85	55	10	30	. <b>70</b>	_	30	0	0	10	30	15	15

TABLE XXI-continued

							XXI-cont	-	_		<u> </u>			
					_ <u>P</u>	st-emerge	Herbicidal .	Activity	-					
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
6-24	63 250	0 80	20 95	0 10	0 60	30 90	=	0 40	0	0	0	10 20	0	0
7-2	63 250	100 100	100 100	_	80 100	95 100	0 10	20 50	30 90	20 40	20 70	40 80	10 10	30 20
7-14	63 250	<del></del> 70	<del></del> 95	<del>-</del>	100	<u>_</u>		20	10		10	40	25	15
7-15	63	100	100	40	70	100	=	10	0	<u> </u>	0	30	10	0
8-2	250 63	100 95	99 100	80 50	70 50	100 40	30	10 40	10 20	0 10	0 30	30 40	10 10	30 20
8-3	250 63	100 90	100 90	30 0	90 40	80 70	90	60 0	30 0	0 0	30 0	10 20	20 0	15
	250	100	100	50	60	90	_	70	0	20	0	30	0	20 80
8-4	63 250	70 90	60 80	0 10	0 20	70 90	_	0 30	0 0	0 0	0 0	30 50	0 0	50 50
8-5	63	40	70	0	0	70	_	0	0	0	0	20	0	40
8-7	250 63	100 95	90 95	10 0	40 10	70 95	_	20 0	0 0	0 0	0 0	50 50	0 10	50 0
8-13	250 63	100 100	100 100	90 30	70 50	99 90	_	90 30	20 20	0 10	10 20	90 30	10 10	90 30
	125	100	100	50	60	90	=	30	40	20	10	60	40	70
8-18	63 250	100 100	100 100	30 40	50 60	<b>7</b> 0 80	_	30 30	10 30	10 30	10 20	30 70	5 30	50 60
8-30	63 250	100 100	100 100	80	100 100	100	_	40 70	30	30 50	20 40	90 95	10	40
8-31	63	100	100	100 60	100	100 100	=	20	<del>7</del> 0	10	10	80	15 10	35 45
8-36	250 63	100 95	100 100	80 70	100 100	100 95	_	20 10	<u> </u>	30 0	30 10	90 30	10 10	30 10
9 4	250	90	100	95	85	100	_	10	20	10	5	40	15	20
94	63 250	50 80	50 100	20 60	45 100	70 85	_	20 30	_	0 10	0 0	40 90	5 10	10 40
9-14	63 250	85 100	100 100	40 40	80 90	95 100		10 20	0 10	_	0 10	60 90	15 50	0 40
9-15	63	100	100	100	100	100	=	10	0	0	0	100	5	40
9-16	250 63	100 30	100 100	100 50	100 90	100 65	_	35 0	10 0	10 0	20 10	100 90	10 5	70 30
9-19	250 63	75 80	100 100	85	100	80	_	20	10	0	0	100	65	70
	250	98	100	80 95	75 90	100 100	_	10 20	0 10	0 0	0 10	60 80	10 10	15 25
11-6	63 250	100 100	100 100	100 100	70 100	80 100	100 100	10 50	50 80	0 0	0	10 40	0 20	20 60
11-13	63 250	100 100	95 100	85 85	98 98	100 100	_	55	65	0	40 60	65	45 45	45
12-2	63	30	100	50	90	100	_	40 0	55 30	15 10	0	85 60	10	65 20
12-3	250 63	100 95	100 90	95 20	100 50	100 90	_	50 0	80 0	90 0	<b>7</b> 0 0	100 20	100 5	80 0
	250	99	90	80	90	100	-	0	10	50	0	95	10	5
12-5	63 250	70 85	100 100	40 60	100 99	100 99	_	20 10	10 10	_	0 10	40 30	25 20	20 15
12-8	63 250	80 90	100 100	50 70	90 80	95 99	_	20 25	10 10	0 10	10 0	40 80	5 10	20 15
13-3	63	90	100	90	75	100	_	10	0	_	0	30	10	25
13-4	250 63	90 100	90 100	100 95	70 85	100 95	=	30 20	20 10	=	10 0	40 70	10 5	20 30
13-5	250 63	95 70	100 70	100 40	85 50	100 95	_	40 0	30 0	<u> </u>	10 0	90 10	5 7	50 10
	250	75	70	30	60	90		10	Ō	0	20	25	15	20
14-1	63 250	100 100	85 98	55 70	85 100	80 98	_	40 70	85 95	25 75	80 95	100 100	85 100	40 80
14-2	63 250	100 100	80 100	50 60	75 100	95 95	_	30 20	0 45	0 30	20 40	35 40	35 45	25 30
14-3	63	95	100	10	50	90	_	30	99	80	99	100	100	5
14-4	250 63	100 100	100 80	75 50	100 50	100 100	_	70 30	100 85	70 —	100 75	100 100	100 70	80 15
14-5	250 63	100 100	100 100	100 10	60 30	100 100	_	65 60	99 40	=	95 95	100 20	80 70	40 20
	250	100	100	50	100	100	<del>-</del>	95	100	=	100	95	100	30
14-6	63 250	95 100	90 100	50 100	70 100	80 100	40 80	30 80	99 100	50 65	50 75	100 100	50 60	0 35
14-7	63	95	50	0	20	90	50	60	100	70	80	85	95	10
14-8	250 63	100 90	100 / 60	20 30	60 30	99 70	90 10	85 10	100 95	90 —	100 40	99 95	100 40	25 5
14-9	250 63	100 90	100 70	80 0	95 0	99 60	50 10	40 30	99 98	_	85 75	100 80	80 70	30 5
	250	99	100	ŏ	80	99	70	60	100	_	75	90	99	20

TABLE XXI-continued

					Pc	st-emerge	Herbicidal .	Activity						
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	ІРОНЕ	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
14-10	63	90	80	80	70	90	_	50	95	20	10	100	20	0
14-11	250 63	100 95	100 80	90 50	80 90	100 50	_	90 80	100 100	50 50	100 99	100 60	60 100	20 10
14-12	250 63	100 85	100 10	70 20	100 40	100 90	80	100 30	100 98	99 —	100 50	100 95	100 30	20 0
14-13	250 63	90 90	85 30	80 0	70 40	99 60	80 30	40 20	99 100	_	60 65	100 75	85 55	10 0
14-14	250 63	100 50	80 50	20 0	60 30	100 50	40 70	40 50	99 100	0	90 90	98 100	100 10	15 0
14-15	250 63	100 100	100 60	60 0	50 50	90 50	70 20	70 70	100 100	20 50	100 95	100 40	50 20	30 0
14-16	250 63	100 60	90 60	50 20	90 40	100 95	100	80 0	100 95	40 0	100 90	100 100	60 0	0
14-17	250 63	100 100	100 100	40 20	50 20	100 90	=	30 20	100 100	30 50	100 100	100 80	70 70	10 0
14-18 ·	250 63	100 100	· 100 30	30 20	70 30	100 99	<u> </u>	70 10	100 99	90 10	100 20	100 10	95 20	0 10
14-19	250 63	100 90	60 60	60 40	60 30	100 90	10	20 0	100 100	30 0	60 100	40 100	<i>5</i> 0	25 0
14-20	250 63	100 95	100 99	70 0	90 50	100 80	_	30 0	100 100	0 10	100 100	100 70	40 0	20 0
14-21	250 63	100 90	100 100	50 30	70 40	100 70	50	50 10	100 99	90 10	100 70	100 95	80 50	10 10
14-22	250 63	100 50	95 30	60 0	50 10	95 50	95 100	40 30	100 100	70 40	98 80	100 95	100 10	45 0
14-23	250 63	100 50	100 50	50 20	80 80	90 50	100 50	60 60	100 100	60 40	95 50	100 100	50 0	10 0
14-24	250 63	100 40	90 70	<b>7</b> 0 0	95 20	80 80	40 50	<b>7</b> 0 0	100 100	50 0	95 95	100 30	40 10	20 10
14-25	250 63	90 95	100 100	0 50	40 70	90 80	50 100	50 50	100 100	50 40	100 95	100 100	20 100	50 10
14-26	250 63	100 90	100 70	80 50	100 20	100 60	100 100	80	100 100	95 30	100 100	100 100	100 40	50 10
14-27	250 63	100 50	100 70	90 50	100 70	100 90	100	0	100 99	70 0	100 70	100 95	100	10 0
14-28	250 63	90 90	80 100	30 30	50 50	100 95	_	20 0	100 100	10 0	95 20	100 80	10 10	0
14-29	250 63	100 90	100 50	30 0	70 80	100 30	100	30 20	100 100	50 50	50 70	100 100	70 15	40 0
14-30	250 63	100 70	100 60	40 20	80 0	60 50	100	70 0	100 100	70 0	95 60	100 100	50 10	10 0
14-31	250 63	100 90	100 90	60 50	50 10	100 70	=	30 0	100 100	50 0	100 95	100 100 50	20	0
14-32	250 63	100 95	100 90	50 20	70 0	90 0	40	50 40	100 100	20 0	100 70	100 70	50 0	0
14-33	250 63	100 100	95 90	40 0	20 20	80 20	40 0	70 40	100 100	10 10	90 70	100	0	0
14-34	250 63	100 100	100 99	0 40	20 60	70 100	100	90	100	95	100	10 70	10 95	0
14-35	250 63	100	100	100	90	100	40 100	100 100	100 100	60 80	70 99	99 100	80 100	25 70
	250	100 100	95 100	0 90	70 100	100 100	100 100	50 95	100 100	30 70	50 95	100 100	100 100	80 100
14-36	63 250 63	100 100	95 100	20 40	30 70	99 100	100 95	85 99	99 100	20 40	40 65	95 100	95 95	35 60
14-37	250	100 100	100 100	20 50	30 100	100 100	100 100	90 100	100 100	0 30	80 100	90 100	20 95	70 100
14-38	63 250	100 100	100 100	· 0	70 70	100 100		90 100	100 100	0 30	95 100	0 20	50 100	0 40
14-39	63 250	100 100	99 100	60 100	20 50	100 100	50 50	95 100	100 100	30 40	60 100	90 100	30 50	90 100
14-40	63 250	100 100	90 100	20 60	30 60	100 100	90 100	85 99	100 100	20 20	20 40	50 95	35 70	15 75
14-41	63 250	100 100	100 100	0	30 50	100 100	=	90 100	100 100	40 70	100 100	100 100	100 100	20 95
14-42	63 250	100 100	100 100	60 90	100 100	100 100	=	100 100	100 100	60 95	95 100	100 100	100 100	95 100
14-43	63 250	100 100	100 100	40 90	95 1 <b>0</b> 0	100 100	_	90 100	100 100	80 95	80 100	100 100	95 100	95 100
14-44	63 250	100 100	100 100	0 0	50 90	100 100	_	100 100	100 100	30 80	100 100	100 100	100 100	90 100
14-45	63 250	100 100	100 100	30 30	100 100	100 100	100 100	70 95	100 100	70 90	90 100	90 100	70 100	70 100
14-46	63 250	95 100	100 100	60 90	100 100	100 100	=	90 100	100 100	80 90	80 100	100 100	95 100	60 100

						•	Herbicidal							
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	IPOHE	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
14-47	63 250	100 100	100 100	0 70	80 100	100 100	100 100	90 100	100 100	70 80	95 100	100 100	40 100	100 100
14-48	63 250	100 100	100 100	0 100	0 100	100 100	80	50 100	50 100	0 90	70 90	95 100	40 100	70 100
14-49	63 250	100 100	100 100	70 95	100 100	100 100	100 100	50 100	100 100	70 95	70 95	95 100	80 100	50 95
14-50	63 250	100 100	30 50	90 100	0	50 100	_	0	0	0	0 50	0 20	10 30	30 50
14-51 14-52	63 250 63	0 0 20	0 50 90	0 0 0	0 30 100	0 10	0 20	0 0 0	10 20 0	0 0 0	0 0 0	0 30 0	0 0 0	0 0 0
14-54	250 63	50 40	100 60	70 0	100 100 30	20	=	0 10	10 30	0	0	100 30	10 5	0 10
14-55	250 63	50 30	80 70	30 10	80 70	60 30	_	10 0	30 10	0	10 0	35 10	15 5	10 20
14-56	250 63	100	70	30	100	99	90	30	20	30	10	40	10.	<u> </u>
14-59	250 63	100 20	100 50	40 0	100 30	100 10	80 —	70 0	80 0	70 0	50 0	50 0	30 0	25 0
14-60	250 63 250	20 70 100	30 100 100	10 40 70	30 100 100	10 99 100	<u> </u>	0 20 30	0 50 75	0 20 50	0 10 60	10 100	0	0 10 20
14-61	63 250	20 60	30 60	0 30	20 50	40 75	=	0 20	0 60	0 20	0 70	100 0 40	60 0 70	0 30
14-62	63 250	30 50	40 60	0 30	30 50	10 20	40 30	0	0	=	0	15 15	5	0 5
14-63	63 250	95 100	90 100	95 100	70 70	80 100	20 50	50 70	100 99	=	40 90	95 100	35 80	5 15
14-44	63 250	100 100	100 100	10 20	30 80	70 99	30 40	30 70	100 100	_	40 99	90 90	99 100	5 0
14-65 14-66	63 250 63	100 100 95	100 100 100	90 100 80	50 70 70	90 100 80	Ξ	100 100 95	100 100 100	30 60 60	99 100 100	100 100 80	0 50 90	0 10 0
15-1	250 63	100 100	100 100	90 100	90 100	99 100	_	100 80	100 80	80	100 90	99 100	100 80	10 75
15-2	250 63	100 20	100 80	100 10	100 90	_ 100	_	100 0	99 0	<u> </u>	100 0	100 40	95 15	95 50
15-3	250 63	95 95	100 100	75 70	100 95	95	=	80 30	90 10	70 0	80 20	100 90	80 25	75 50
15-4	250 63 250	100 70 100	100 100 100	100 50 80	100 100 100	100 100 100	_	80 50 80	90 40 90	90	80 30 70	100 90 100	80 50 90	90 70 95
15-5	63 250	70 100	100 100	40 80	90 100	100 100	=	40 80	40 90	=	30 70	100 100	70 90	60 90
15-6	63 <b>25</b> 0	50 85	100 100	30 70	50 100	=	_	30 75	20 95	=	0 90	60 100	25 80	60 95
15-7	63 250	100 100	100 100	60 100	100 100	100 100	Ξ	30 90	40 95	_	20 75	90 100	60 80	50 90
15-8 15-9	63 250 63	20 60 10	70 100 80	0 40 10	50 90 100	95 90	=	0 30 0	0 20	=	0 0 0	60 90	0 55	10 60 50
15-10	250 63	95 100	100 100	60 100	85 100	100	Ξ	80 30	0 90 10	 30	80 60	40 95 95	30 70 45	90 50
15-11	250 63	100 95	100 100	100 90	100 100	100 100	_	75 40	80 50	70 50	90 50	95 95	65 45	80 65
15-12	250 63	100 95	100 100	100 90	100 100	100 100	_	80 70	99 70	99 80	95 95	100 100	85 80	99 80
15-13	250 63	100 80	100 100	100 60	100 100	100 95	_	100 20	100 20	99 10	100 10	100 95	95 15	99 60
15-14	250 63 250	95 100 100	100 100 100	100 80 100	100 100 100	100 100 100	=	60 20 55	85 20 90	70 20 70	70 20 60	100 100 100	50 20 65	90 40 <b>5</b> 0
15-15	63 250	100 100	100 100	80 100	90 100	100 100	=	40 95	30 100	30 99	40 100	95 100	45 90	70 95
15-16	63 250	80 100	100 100	80 100	100 100	100 100		30 60	10 90	10 70	20 80	95 100	15 45	40 80
15-17	63 250	90 100	100 100	80 100	100 100	=	_	40 90	50 99	20 95	40 99	90 100	35 80	75 90
15-18	63 250	100 100	100 100	40 100 75	90 100	100 100	=	20 80	10 95	0 99 40	10 100	90 100	20 80	30 85
15-19 15-20	63 250 63	100 100 100	100 100 100	75 100 80	100 100 100	100 100 100	=	40 100 80	50 100 50	100	50 100 30	90 100 95	35 85 40	65 90 35
	250	100	100	100	100	100	_	100	100	_	90	100	85	80

					Pc	st-emerge	Herbicidal.	Activity						
Cmpd. no.	Rate g ai/ha	AMARE	ABUTH	CASOB	ГРОНЕ	CHEAL	AMBEL	SETVI	ECHCG	SORHA	DIGSA	SOY	CORN	RICE
15-21	63	95	100	100	100	100	_	50	60	40	30	90	30	80
	250	100	100	100	100	100	<b>—</b> .	90	100	95	95	100	90	90
15-22	63	100	100	70	100	100	_	40	40	_	30	90	30	50
	250	100	100	100	100	100	_	95	100	_	90	100	90	90
16-2	63	60	40	0	0	30	_	0	0	0	0	10	0	0
	250	60	70	10	0	70		0	0	0	0	40	10	20
16-4	63	0	0	0	0	0	_	0	0	0	0	0	0	0
	250	0	0	0	0	0	_	0	0	0	0	0	0	0
16-1	63	70	· 70	0	30	0	_	0	0	20	20	0	0	0
	250	70	100	0	70	70		0	0	40	80	0	0	10
16-7	63	0	0	0	0	0		0	0	0	0	0	0	0
	250	0	0	30	30	0	_	0	0	0	0	0	0	0
17-1	63	10	70	20	80	30	_	0	0	0	0	10	0	0
	250	30	70	10	. 80	60		0	0	0	0	30	5	0
17-2	63	0	0	0	0	0	_	0	0	0	0	0	0	0
	250	30	50	10	20	40	_	0	0	0	0	10	0	0
17-4	63	20	35	10	20	20	_	0	0	0	0	10	0	0
	250	70	70	20	80	40	_	0	0	0	0	15	0	0
17-5	63	10	50	10	30	40		0	0	0	0	20	0	0
	250	40	80	20	70	80	_	0	0	0	0	35	10	0

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What is claimed is:

1. A compound represented by the formula I or its salts

wherein X is hydrogen, halogen, nitro, amino, NHR, N(R)<sub>2</sub>, amide, thioatnide, cyano, alkylcarbonyl, alkoxycarbonyl, alkylsulfonamnde, unsubstituted or substituted alkyl, haloalkyl, alkoxy, haloalkoxy, alkoxycarbonylalkoxy, 45 benzyloxy, amyloxy, or heteroaryloxy;

Y is hydrogen, halogen, or nitro;

W is hydrogen, OR, SR, NHR, N(R)<sub>2</sub>, CH<sub>2</sub>R, CH(R)<sub>2</sub>, C(R)<sub>3</sub>, halogen, nitro, or cyano, where multiple R groups represent any possible combination of substituents described by R; R is hydrogen, alkyl, alkenyl, alkynyi, cycloalkyl, aryl, heteroaryl, alkoxy, cycloalkyloxy, aryloxy, heteroaryloxy, alkylsulfonyl, 55 benzyl, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl, aryloxycarbonyl, or heteroaryloxycarbonyl, where any of these groups may be unsubstituted or substituted with any of the functional groups represented by one or more of the following: halogen, cyano, nitro, amino, carboxyl, alkyl, haloalkyl, alkylsilyl, alkylcarbonyl, haloalkylcarbonyl, haloalkoxy, <sub>65</sub> alkoxybarbonyl, alkoxy, haloalkoxycarbonyl, alkylsulfonyl, haloalkylsulfonyl, aryl, heteroaryl, or cycloalkyl;

Q is a heterocycle:

$$R_{3}$$
 $R_{3}$ 
 $R_{1}$ 
 $R_{2}$ 

$$\begin{array}{c} Q12 \\ \hline \\ R_1 \\ \hline \\ R_2 \end{array}$$

wherein  $R_1$  is hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, amino, alkoxyalkyl, acetyl, alkoxycarbonylamino, alkylcarbonylamino, or alkoxycarbonyl;

R<sub>2</sub> is alkyl or haloalkyl;

R<sub>1</sub> and R<sub>2</sub> could combine to form a five- or six-membered heterocyclic ring;

R<sub>3</sub> is hydrogen, halogen, nitro, amino, alkylamino, haloalkylamino, cyano, or amide;